10/ 648,843

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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JUL 20	Powerful new interactive analysis and visualization software, STN AnaVist, now available
NEWS	4	AUG 11	Derwent World Patents Index(R) web-based training during August
NEWS	5	AUG 11	STN AnaVist workshops to be held in North America
NEWS	6	AUG 30	CA/CAplus -Increased access to 19th century research documents
NEWS	7	AUG 30	CASREACT - Enhanced with displayable reaction conditions
NEWS	8	SEP 09	ACD predicted properties enhanced in REGISTRY/ZREGISTRY

NEWS EXPRESS	JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:35:00 ON 21 SEP 2005

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:35:20 ON 21 SEP 2005
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Property values tagged with IC are from the ZIC/VINITI data file

10/ 648,843

provided by InfoChem.

STRUCTURE FILE UPDATES: 19 SEP 2005 HIGHEST RN 863478-08-4
DICTIONARY FILE UPDATES: 19 SEP 2005 HIGHEST RN 863478-08-4

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

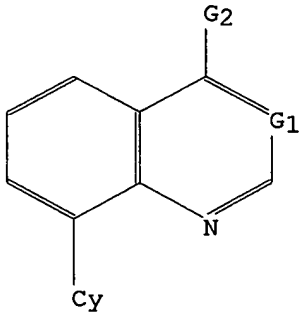
Please note that search-term pricing does apply when conducting SmartSELECT searches.

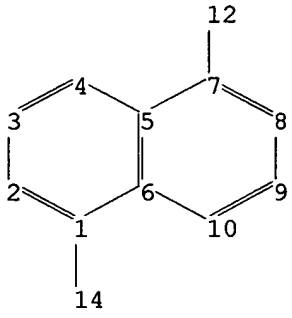
****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10648843.str





chain nodes :
12 14
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
1-14 7-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
1-14 5-7 6-10 7-8 7-12 8-9 9-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:C,N

10/ 648,843

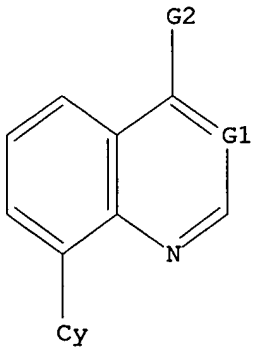
G2:C,O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 14:Atom
Generic attributes :
14:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

Element Count :
Node 14: Limited
C,C5-6
N,N0-1

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,N
G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sample
SAMPLE SEARCH INITIATED 15:35:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 54570 TO ITERATE

3.7% PROCESSED 2000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1077476 TO 1105324
PROJECTED ANSWERS: 232 TO 858

L2 1 SEA SSS SAM L1

```
=> s l1 full
FULL SEARCH INITIATED 15:35:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  1088802 TO ITERATE

 89.5% PROCESSED   974602 ITERATIONS                              317 ANSWERS

 91.8% PROCESSED  1000000 ITERATIONS                              387 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.18

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      1088802 TO  1088802
PROJECTED ANSWERS:             387 TO      482

L3          387 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     161.76     161.97

FILE 'CAPLUS' ENTERED AT 15:36:46 ON 21 SEP 2005
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FILE COVERS 1907 - 21 Sep 2005  VOL 143 ISS 13
FILE LAST UPDATED: 20 Sep 2005  (20050920/ED)

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  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> d his

     (FILE 'HOME' ENTERED AT 15:35:00 ON 21 SEP 2005)

     FILE 'REGISTRY' ENTERED AT 15:35:20 ON 21 SEP 2005
L1             STRUCTURE UPLOADED
L2               1 S L1 SAMPLE
L3             387 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 15:36:46 ON 21 SEP 2005

=> s l3
L4          60 L3
```

L4 ANSWER 1 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:962049 CAPLUS
TITLE: Therapeutic combinations of atypical antipsychotics with corticotropin releasing factor antagonists
INVENTOR(S): Romano, Steven Joseph
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005079807	A1	20050901	WO 2005-IB251	20050201

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

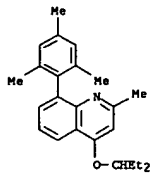
PRIORITY APPLN. INFO.: US 2004-544731P P 20040213

AB The present invention is directed to a pharmaceutical compns. for treating, for example, mood disorders or conditions, psychotic disorders or conditions, or a combination thereof, in a mammal such as a human, the composition comprising (a) an atypical antipsychotic, a prodrug thereof or a pharmaceutically acceptable salt of the atypical antipsychotic or prodrug thereof, (b) a corticotropin releasing factor antagonist, a prodrug thereof, or pharmaceutically acceptable salt of said corticotropin releasing factor antagonist or prodrug thereof, and optionally (c) a pharmaceutically acceptable vehicle, carrier or diluent. A pharmaceutical composition is prepared containing ziprasidone with a corticotropin releasing factor antagonist such a 4-(1-ethylproppoxy)-3,6-dimethyl-2-(2,4,6-trimethylphenoxy)pyridine.

IT 204062-41-9
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic combinations of atypical antipsychotics with corticotropin releasing factor antagonists)

RN 204062-41-9 CAPLUS
CN Quinoline, 4-(1-ethylpropoxy)-2-methyl-8-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



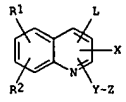
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:409224 CAPLUS
DOCUMENT NUMBER: 142:463617
TITLE: Preparation of quinoline derivatives as selectin inhibitors
INVENTOR(S): Kaila, Neelu; Debernardo, Silvano L.; Janz, Kristin M.; Camphausen, Raymond T.; Bedard, Patricia W.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 26 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005101568	A1	20050512	US 2004-984093	20041109
WO 2005047257	A2	20050526	WO 2004-US37334	20041109
WO 2005047257	A3	20050707		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-518950P P 20031110
OTHER SOURCE(S): MARPAT 142:463617
GI



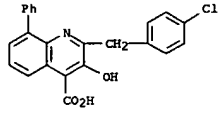
I

AB The title compds. (I) [L = CO2H, its ester, or a pharmaceutically acceptable acid mimetic; Y = O, (CR3R4)p, NR5; p = 1-3; X = H, OH, OR3, OC1-6 alkyl, OC(:O)aryl, OC(:O)C1-6 alkyl, OC(:O)OC1-6 alkyl, or NR3R3'; each R1, R2, R3, R3', R4 = H, halogen, cyano, OH, SH, (CH2)nOSO3H, (CH2)nSO3H, (CH2)nCO2R6, OSO3R6, SO2R6, SO3R6, PO3R6R7, (CH2)nSO2NR8R9, (CH2)nC(:O)NR8R9, NR8R9, C(:O)R12, NHCOR8, each (un)substituted C1-6 alkyl, C1-6 perhaloalkyl, OC1-6alkyl, OC1-6 perhaloalkyl, thioalkyl, , aryl, heterocyclo, C(:O)aryl, C(:O)heterocyclo, OC(:O)aryl, OC(:O)heterocyclo, Oaryl, Oheterocyclo, arylalkyl, C(:O)arylalkyl, or OC(:O)arylalkyl, etc.; R6, R7 = H, (un)substituted C1-6 alkyl; R5, R8, R9 = H, OH, (CH2)nOSO3H, (CH2)nSO3R10, (CH2)nCO2R10, SO3R10, PO3R10R11, (CH2)nSO2(CH2)nNR10R11, (CH2)nCONR10R11, COR10, each (un)substituted C1-6 alkyl, C1-6haloalkyl, thioalkyl, aryl, heterocyclo, C(:O)aryl,

L4 ANSWER 2 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
C(:O)heterocyclo, O-C(:O)aryl, O-C(:O)heterocyclo, Oaryl, or Oheterocyclo, etc.; n = 0-6; l = 1-6; R10, R11 = H, (un)substituted C1-6 alkyl; R12 = H, OH, (CH2)lOSO3H, (CH2)lSO3H, (CH2)lCO2R6, (CH2)lSO2NR8R9, (CH2)nC(:O)NR8R9, NR8R9, NHCOR8, each (un)substituted C1-6 alkyl, C1-6 perhaloalkyl, OC1-6 alkyl, or OC1-6 perhaloalkyl, etc.; Z = each (un)substituted aryl, arylalkyl, heteroaryl, or heterocyclo] are prepd. The present invention relates to the field of anti-inflammatory substances, and more particularly to novel compds. that act as antagonists of the mammalian adhesion proteins known as selectins. A method of inhibiting selectin-mediated intracellular adhesion assocd. with a disease, disorder, condition or undesired process is provided which include administration of the compd. I. The selectin-mediated disease, disorder, condition or undesired process includes inflammation, infection, metastasis, an undesired immunol. process, and an undesired thrombotic process. Thus, 6,7-dimethyl-1H-indole-2,3-dione was added to 6 N aq. NaOH at 100-102° and stirred to give a clear, yellow soln. which was treated dropwsie with a soln. of acetic acid 3-(4-chlorophenyl)-2-oxopropyl ester in luke warm EtOH over 1.5 h while stirring and heating at 100-102°, and the reaction mixt. was gently refluxed for another 1.5 h to give, after workup, 51.2% 2-(4-chlorobenzyl)-3-hydroxy-7,8-dimethylquinoline-4-carboxylic acid. The 12 compds. I showed IC50 of 125-1,000 μM for inhibiting the binding of P-LE to human P-selectin glycoprotein ligand-1 (PSGL-1).

IT 851519-83-0P, 2-(4-Chlorobenzyl)-3-hydroxy-8-phenylquinoline-4-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline derivs. as selectin inhibitors for treating selectin-mediated diseases, disorders, conditions or undesired processes)

RN 851519-83-0 CAPLUS
CN 4-Quinolinecarboxylic acid, 2-[(4-chlorophenyl)methyl]-3-hydroxy-8-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:71176 CAPLUS
DOCUMENT NUMBER: 142:176857
TITLE: Preparation of fused aryl and heteroaryl derivatives, in particular pyrazolo[3,4-d]pyrimidines, as modulators of G-coupled protein receptor and their use in the prophylaxis and treatment of metabolic disorders
INVENTOR(S): Jones, Robert M.; Semple, Graeme; Xiong, Yifeng; Shin, Young-Jun; Ren, Albert S.; Calderon, Imelda; Fioravanti, Beatriz; Choi, Jin Sun Karoline; Sage, Carlton R.
PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 320 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005007658	A2	20050127	WO 2004-US22417		20040713
WO 2005007658	A3	20050616			
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2005059650	A1	20050317	US 2004-890549		20040713
PRIORITY APPLN. INFO.:			US 2003-487443P	P	20030714
			US 2003-510644P	P	20031010
OTHER SOURCE(S):	MARPAT 142:176857				

GI

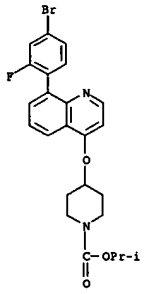
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A, B = independently (un)substituted alkylene; D = O, S, SO, SO2, etc.; E = N, C, CH and derivs.; K = (un)substituted cyclo/alkylene; Q = NH and derivs., O, S, SO, SO2; T, M, J = independently N, CH and derivs.; U, W, Z = independently C, N; V = a bond, N, CH and derivs.; X, Y = independently O, S, N, CH and derivs., NH and derivs.; Ar1 = (un)substituted hetero/aryl; their pharmaceutically acceptable salts, hydrates and solvates] were prepared as modulators, in particular agonists and inverse agonists of G-coupled protein receptor (RUP3), for treating diabetes, hyperglycemia and other metabolic disorders. Ten biol. examples are given. For example, II was prepared, in 5 steps, from 4-(methylsulfonyl)phenylhydrazine·HCl, ethoxymethylenemalononitrile

L4 ANSWER 3 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
and 4-chloro-1-(4-methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidine. Selected I displayed EC50 < 10 μM in a melanophore-based pigment dispersion assay. Selected RUP3 agonists I lowered blood glucose levels in rats in an oral glucose tolerance test. Thus, I are useful in the prophylaxis or treatment of metabolic disorders and complications thereof, such as, diabetes and obesity.

IT 832717-33-6P, 4-[8-(4-Bromo-2-fluorophenyl)quinolin-4-yloxy]piperidine-1-carboxylic acid isopropyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of fused aryl and heteroaryl derivs., in particular pyrazolopyrimidines, as modulators of G-coupled protein receptor and their use in treatment of diabetes, hyperglycemia and related diseases)

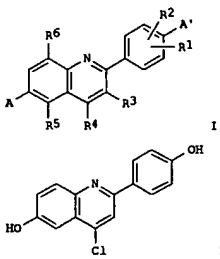
RN 832717-33-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[8-(4-bromo-2-fluorophenyl)-4-quinolinyl]oxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1037076 CAPLUS
DOCUMENT NUMBER: 142:23203
TITLE: Preparation of phenyl quinoline derivatives as estrogen receptor modulators
INVENTOR(S): Vu, An Thien; Cohn, Stephen Todd; Mewshaw, Richard Eric
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004103973	A1	20041202	WO 2004-US15142		20040513
WO 2004103973	C1	20050331			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2005009784	A1	20050113	US 2004-846216		20040513
PRIORITY APPLN. INFO.:			US 2003-471436P	P	20030516
OTHER SOURCE(S):	MARPAT 142:23203				

GI



I

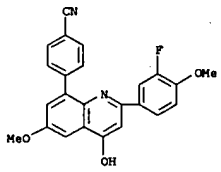
II

AB Title compds. represented by the formula I [wherein A, A' = independently OH or OP; P = alkyl, alkenyl, benzyl, etc.; R1, R2 = independently H, halo, alkyl, alkenyl, alkoxy; R3 = H, halo, alkyl; R4 = H, halo, (cyclo)alkyl, alkenyl, etc.; R5, R6 = independently H, halo, (cyclo)alkyl,

L4 ANSWER 4 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
cyano, etc.; and pharmaceutically acceptable salts or prodrugs thereof] were prepd. as estrogen receptor (ER) modulators. For example, II was given in a multi-step synthesis starting from the reaction of 4-methoxyacetophenone with CO(OEt)2 in 1,4-dioxane. I were tested for binding affinity and receptor selectivity of ERα and ERβ, and showed strong preferential affinity for the ERβ. Thus, I and their pharmaceutical compns. are useful as ERβ modulators for the treatment of ERβ-mediated disorders, such as osteoporosis and cancers (no data).

IT 801235-89-2P, 8-(4-Cyanophenyl)-2-(3-fluoro-4-methoxyphenyl)-6-methoxyquinolin-4-ol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-phenylquinoline derivs. as estrogen receptor β modulators)

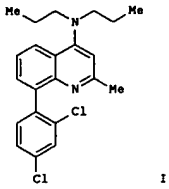
RN 801235-89-2 CAPLUS
CN Benzonitrile, 4-[2-(3-fluoro-4-methoxyphenyl)-4-hydroxy-6-methoxy-8-quinolinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:689678 CAPLUS
DOCUMENT NUMBER: 139:364811
TITLE: Synthesis and SAR of 8-Arylquinolines as potent corticotropin-Releasing factor1 (CRF1) receptor antagonists
AUTHOR(S): Huang, Charles Q.; Wilcoxen, Keith; McCarthy, James R.; Haddach, Mustapha; Webb, Thomas R.; Gu, Jian; Xie, Yun-Feng; Grigoriadis, Dimitri E.; Chen, Chen
CORPORATE SOURCE: Department of Medicinal Chemistry and Department of Pharmacology, Neurocrine Biosciences, Inc., San Diego, CA, 92121, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(19), 3375-3379
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:364811
GI



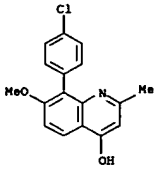
I

AB A series of 4-substituted 8-aryl-2-methylquinolines, e.g., I, was designed and synthesized as highly potent antagonists for the human CRF1 receptor. This series of compds. displayed parallel SAR to other bicyclic systems such as pyrazolo[1,5-a]pyrimidines, with several compds. possessing low nanomolar binding affinity. In addition to the high potency, the basicity of this 4-aminoquinoline core may offer CRF1 antagonists with lower lipophilicity.

IT **215115-11-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (chlorophenyl)chloroquinoline via substitution of aryloxazoline with chlorophenylmagnesium bromide followed by hydrolysis, Curtis rearrangement, decarboxylation, heterocyclization, and chlorination)

RN 215115-11-0 CAPLUS
CN 4-Quinolinol, 8-(4-chlorophenyl)-7-methoxy-2-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



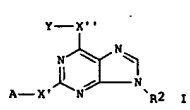
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:301049 CAPLUS
DOCUMENT NUMBER: 138:321058
TITLE: C2-, C6- and 9-Aryl-substituted purine and other heteroaryl kinase inhibitor scaffolds and methods for their preparation
INVENTOR(S): Ding, Sheng; Ding, Qiang; Gray, Nathanael S.
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003031406	A2	20030417	WO 2002-US32680		20021012
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2463563	AA	20030417	CA 2002-2463563		20021012
US 2003191312	A1	20031009	US 2002-270030		20021012
JP 2005512972	T2	20050512	JP 2003-534390		20021012
PRIORITY APPLN. INFO.:			US 2001-328763P	P	20011012
			US 2001-331835P	P	20011120
			US 2002-346480P	P	20020107
			US 2002-348089P	P	20020110
			WO 2002-US32680	W	20021012

OTHER SOURCE(S): CASREACT 138:321058; MARPAT 138:321058
GI



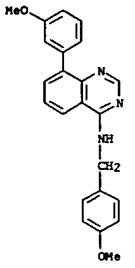
I

AB General methods for the solution phase as well as solid phase synthesis of various substituted heteroaryls, particularly C2-, C6- and 9-acyl-substituted purines (e.g. 2-(2,4-dimethoxyphenyl)-6-(4-methoxybenzylamino)-9-isopropylpurine), was demonstrated. These substituted heteroaryls can be further elaborated by aromatic substitution with amines at elevated temperature or by anilines, boronic acids and phenols via Pd catalyzed cross-coupling reactions. The 1st claim comprises a method of preparing a C2-substituted purine compound, said method comprising: reacting a C2-halogenated purine with A-X (X = -B(OH)2, -OH, and -NHR1; R1 = H, (un)substituted alkyl; A = (un)substituted alkyl, (un)substituted aryl, (un)substituted heterocyclyl) in the presence of a solvent, a base, a carbene ligand and a Pd catalyst. The 2nd claims narrows the 1st claim to purines I wherein R2 = H, (un)substituted alkyl, (un)substituted aryl, (un)substituted heterocyclyl; X' = direct bond, NR1 and O; X'' = direct bond, O and NR3, with the proviso that when X'' is NR3, Y is R4 or A', and when X' is O or a direct bond, Y is A'; A' = (un)substituted alkyl, (un)substituted aryl, (un)substituted arylalkyl, (un)substituted heterocyclyl; R3 = H, (un)substituted alkyl; and R4 = (un)substituted alkyl. Similar claims pertain to C6-substituted purines. Also claimed is a method of prepg. a 9-aryl substituted purines, the method comprising: reacting a 2,6-dihalogenated purine with Ar-B(OH)2 (Ar = (un)substituted aryl, and (un)substituted heterocyclyl) in the presence of a solvent and a Cu catalyst. Also claimed is a method for synthesizing a substituted heteroaryl, the method comprising: providing a dihaloheteroaryl scaffold moiety and capturing the dihaloheteroaryl scaffold moiety on a resin by nucleophilic substitution of a 1st halogen by a resin-bound amine nucleophile to afford a resin-bound amine substituted monohaloheteroaryl. Substitution of the 2nd halogen is done by nucleophilic displacement (e.g. by aniline, phenol, amine, boronic acid) or coupling (e.g. palladium-mediated). An initial substitution (e.g. alkylation, acylation, coupling) can be done prior to substitution of the 1st halogen. Example procedures are included for: boronic acid coupling, aniline coupling, phenol coupling, purine N9 arylation via boronic acids/cupric acetate, reductive amination for synthesis of PAL-resin-bound amine, resin capture of dichloroheterocycles, substitution of remaining chloro group with boronic acids via Suzuki coupling and product cleavage, substitution of remaining chloro group with anilines or amines via palladium-catalyzed reaction and product cleavage, substitution of remaining chloro group with phenols via palladium-catalyzed reaction and product cleavage, substitution of remaining chloro group with amines via non-palladium-catalyzed amination reaction without base and product cleavage, and substitution of remaining chloro group with amines via non-palladium-catalyzed amination reaction with KOtBu as base and product cleavage. Tables of purity and yields for various heteroaryl combinatorial libraries are included as validation of the following methods: palladium catalyzed cross-coupling reactions for derivatizing resin-bound 2-chloro-6-aminopurine with boronic acids, anilines, amines and phenols, resin-bound chloroheterocyclic scaffolds which can be derivatized via Suzuki coupling reaction, resin-bound chloroheterocyclic scaffolds which can be derivatized via palladium catalyzed amination reaction, and resin-bound chloroheterocyclic scaffolds which can be derivatized via palladium catalyzed C-O bond formation reaction.

IT **406932-50-1P**, 4-(4-Methoxybenzylamino)-8-(3-methoxyphenyl)quinazoline
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)
(C2-, C6- and 9-Aryl-substituted purine and other heteroaryl kinase inhibitor scaffolds and methods for their preparation)

RN 406932-50-1 CAPLUS
CN 4-Quinazolinamine, 8-(3-methoxyphenyl)-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



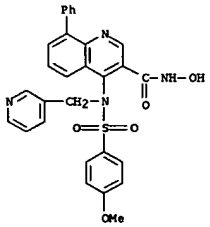
L4 ANSWER 7 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:262940 CAPLUS
DOCUMENT NUMBER: 139:159438
TITLE: Synthesis and SAR of bicyclic heteroaryl hydroxamic acid MMP and TACE inhibitors
AUTHOR(S): Zask, A.; Gu, Y.; Albright, J. D.; Du, X.; Hogan, M.; Levin, J. I.; Chen, J. M.; Killar, L. M.; Sung, A.; DiJoseph, J. F.; Sharr, M. A.; Roth, C. E.; Skala, S.; Jin, G.; Cowling, R.; Mohler, K. M.; Barone, D.; Black, R.; March, C.; Skotnicki, J. S.
CORPORATE SOURCE: Wyeth-Ayerst Research, Pearl River, NY, 10965, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(8), 1487-1490
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:159438

AB Potent and selective bicyclic heteroaryl hydroxamic acid MMP and TACE inhibitors were synthesized by a novel convergent route. Selectivity and efficacy vs. MMPs and TACE could be controlled by appropriate substitution on the scaffolds and by variation of the P1' group. Select compds. were found to be effective in in vivo models of arthritis.

IT 206258-39-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and SAR of bicyclic heteroaryl hydroxamic acid MMP and TACE inhibitors)

RN 206258-39-1 CAPLUS
CN 3-Quinolinecarboxamide, N-hydroxy-4-[[(4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-8-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

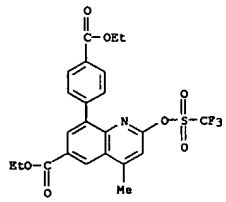
L4 ANSWER 8 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:137709 CAPLUS
DOCUMENT NUMBER: 139:52850
TITLE: Expeditious functionalization of quinolines in positions 2 and 8 via polyfunctional aryl- and heteroarylmagnesium intermediates
AUTHOR(S): Staubitz, Anne; Dohle, Wolfgang; Knochel, Paul
CORPORATE SOURCE: Department fur Chemie und Pharmazie, Ludwig-Maximilians-Universitat Munchen, Munchen, 81377, Germany
SOURCE: Synthesis (2003), (2), 233-242
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:52850

AB An efficient way to prepare functionalized 8-iodo-2-trifluoromethanesulfonyloxyquinolines is presented. The iodo functionality could be selectively converted into other residues via an iodine-magnesium exchange reaction. In addition, the trifluoromethanesulfonate functionality was used as a leaving group in Negishi cross-coupling reactions.

IT 548445-79-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of functionalized quinolines via transmetalation/coupling reactions using aryl- and heteroarylmagnesium intermediates in presence of various electrophiles and organozinc reagents)

RN 548445-79-0 CAPLUS
CN 6-Quinolinecarboxylic acid, 8-[4-(ethoxycarbonyl)phenyl]-4-methyl-2-[[(trifluoromethyl)sulfonyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

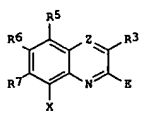
L4 ANSWER 9 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:97401 CAPLUS
DOCUMENT NUMBER: 138:153554
TITLE: Preparation of quinoline and quinoxaline derivatives as inhibitors of factor Xa with therapeutic uses
INVENTOR(S): Schmitt, Martine; Klotz, Evelyne; Macher, Jean-Paul; Bourguignon, Jean-Jacques
PATENT ASSIGNEE(S): NEUROSD, Fr.
SOURCE: PCT Int. Appl., 283 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003010146	A1	20030206	WO 2002-FR2594	20020719
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2827599	A1	20030124	FR 2001-9730	20010720
EP 1451159	A1	20040901	EP 2002-790206	20020719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.: FR 2001-9730 A 20010720
WO 2002-FR2594 W 20020719

OTHER SOURCE(S): MARPAT 138:153554
GI



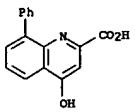
AB The invention concerns compds. quinoline and quinoxaline derivs. (shown as I; variables defined below; e.g. 4,8-dihydroxy-5,7-dichloroquinoline-2-carboxylic acid), their preparation and their uses, in particular in therapeutic treatments and vaccines or for developing active compds. For I: E = COOH, COOR1, CH2OH, CHO, CH2COOH, CH2COOR1, C(O)NHR2, or 1H-tetrazol-5-yl; R1 = (C1-C12)alkyl or (C6-C18)aryl(C1-C12)alkyl; R2 = H, (C1-C12)alkyl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl, hydroxy; R3 = H, halo, hydroxy, (C1-C12)alkyl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl or (C3-C17)heteroaryl. Z = N or CR4; R4 = H, (C1-C12)alkyl, (C2-C12)alkyn-1-yl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl, OR8, NR9R9, (C1-C17)heteroaryl or (C2-C12)alken-1-yl; R5, R6 and R7 = H, halo,

L4 ANSWER 9 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(C1-C12)alkyl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl , NR9R9', COR10, (C2-C12)alken-1-yl, (C2-C12)alkyn-1-yl, (C1-C17)heteroaryl, (C3-C17)heteroaryl(C1-C12)alkyl, cyano or nitro; -R8 = H, (C1-C12)alkyl, (C6-C18)aryl(C1-C12)alkyl. R9 = H, (C1-C12)alkyl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl, acyl, tert-butoxycarbonyl, (C1-C17)heteroaryl or (C6-C18)arylsulfonyl or (C1-C12)alkylsulfonyl; R9', which may be same or different than R9 = H, (C1-C12)alkyl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl, acyl, tert-butoxycarbonyl, (C1-C17)heteroaryl or (C6-C18)arylsulfonyl or (C1-C12)alkylsulfonyl; NR9R9' = cycloheteroalkyl: N(CH2)m(CH2)nY (n = 2 or 3, m = 2 or 3 and Y = CH2, SO2, or NR11, O, S); R10 = H, (C1-C12)alkyl or (C6-C18)aryl or NHR2. R11 = H, (C1-C12)alkyl, (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl, (C1-C17)heteroaryl, (C1-C17)heteroaryl(C1-C12)alkyl or COR10; X = halo, OR8, NR9R9', (C6-C18)aryl, (C6-C18)aryl(C1-C12)alkyl, (C3-C12)alkyl, (C2-C12)alken-1-yl, (C2-C12)alkyn-1-yl, (C1-C17)heteroaryl, COR10, cyano or nitro; addnl. details are given in the claims. Test results for inhibition of factor Xa by .apprx.50 examples of I are included; for example, 4,8-dihydroxy-5,7-dichloroquinoline-2-carboxylic acid exhibits IC50 = 4.6 μM and 163 % of the inhibitory activity of xanthurenic acid at 10 μM. More than 100 example prepns. of I are included. For example, Me 4-hydroxy-6-bromo-8-methoxyquinoline-2-carboxylate was prepd. in 64% yield from Me 2-[(4-bromo-2-methoxyphenyl)amino]but-2-enedioate in Ph2O at 250° for 5-15 min; the reactant was prepd. in 93% yield from 2-methoxy-4-bromoaniline and Me acetylenedicarboxylate in MeOH at reflux for 1 h.

IT **495410-52-1P**, 4-Hydroxy-8-phenylquinoline-2-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinoline and quinoxaline derivs. as inhibitors of factor Xa with therapeutic uses)

RN 495410-52-1 CAPLUS

CN 2-Quinolinecarboxylic acid, 4-hydroxy-8-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:939537 CAPLUS

DOCUMENT NUMBER: 138:321112

TITLE: Convenient synthesis of 3-(2-pyridyl)- and 8-(2-pyridyl)carbostyrils

AUTHOR(S): Kumar, P. Sudhir; Panda, Jagadeesh; Kumar, B. V. V. Ravi

CORPORATE SOURCE: Roland Institute of Pharmaceutical Sciences, Berhampur, 760 010, India

SOURCE: Asian Journal of Chemistry (2003), 15(1), 75-78
CODEN: AJCHEW; ISSN: 0970-7077

PUBLISHER: Asian Journal of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

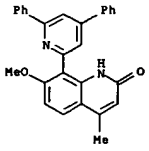
OTHER SOURCE(S): CASREACT 138:321112

AB 3-(ω-Bromoacetyl)carbostyril and 4-methyl-7-methoxy-8-(ω-bromoacetyl)carbostyril on reaction with pyridine in refluxing toluene provide the pyridinium salts, 1-[2-(1,2-dihydro-2-oxo-3-quinolinyl)-2-oxoethyl]pyridinium bromide and 1-[2-(1,2-dihydro-7-methoxy-4-methyl-2-oxo-3-quinolinyl)-2-oxoethyl]pyridinium bromide, resp. Condensation of these salts with chalcones in acetic acid in the presence of ammonium acetate gives 3-(2-pyridyl) and 8-(2-pyridyl)carbostyrils in high yield.

IT **514806-67-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (pyridinyl)carbostyril derivs. by cyclocondensation of [(dihydro(oxo)quinolinyl)oxoethyl]pyridinium bromide with chalcones)

RN 514806-67-8 CAPLUS

CN 2(1H)-Quinolinone, 8-(4,6-diphenyl-2-pyridinyl)-7-methoxy-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:717060 CAPLUS

DOCUMENT NUMBER: 137:247689

TITLE: Preparation and use of ortho-sulfonamido bicyclic heteroaryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors

INVENTOR(S): Levin, Jeremy I.; Zask, Arie; Gu, Yansong; Albright, Jay D.; Du, Xuemei

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 39 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

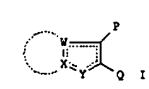
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002132826	A1	20020919	US 2000-734146	20001211
US 6534491	B2	20030318		
PRIORITY APPLN. INFO.:			US 2000-734146	20001211
OTHER SOURCE(S):	MARPAT 137:247689			

GI



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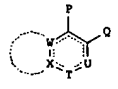

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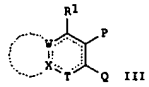

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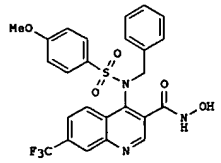


IV

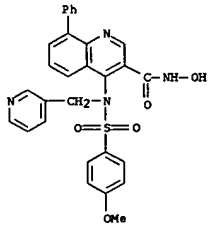
AB The title compds. I, II, and III [wherein P and Q = independently R5CH2NSO2Z or CONHOH; T, U, W, and X = independently C or N, provided that when T or U is C, either may be optionally substituted with R1; Y = C, N, O or S, provided that at least one of T, U, W, X, and Y is not C, and further provided that no more than 2 of T, U, W, and X are N; Z = Ph, naphthyl, heteroaryl, or heteroaryl fused to Ph, wherein the heteroaryl moiety contains 5-6 ring atoms and 1-3 heteroatoms selected from N, O, or S; wherein the Ph, naphthyl, heteroaryl, or Ph fused heteroaryl moieties may be optionally mono-, di-, or tri-substituted with R1; R1 = H, halo, alkenyl, alkynyl, (cyclo)alkyl, (CH2)nZ, OR2, CN, COR2, perfluoroalkyl, CONR2R3, PO(OR2)R3, S(O)xR2OPO(OR2)OR3, OCONR2R3, CO2R2, CONR2R3, SO3H, NR2R3, NR2COR3, NR2CO2R3, SO2NR2R3, NO2, NR2SO2R3, NR2CONR2R3, NR2C(=NR3)NR2R3, SO2NHCOR4, CONHSO2R4, tetrazol-5-yl, SO2NHCN,

L4 ANSWER 11 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
SO2NHCONR2R3, or Z; R2 and R3 = independently H, (cyclo)alkyl, alkenyl, alkynyl, perfluoroalkyl, Z, or V; V = (un)satd. heterocycloalkyl ring of 5-7 ring atoms having 1-3 heteroatoms selected from N, O, or S, which may be optionally mono- or di-substituted with R2; R4 = (cyclo)alkyl, alkenyl, alkynyl, perfluoroalkyl, Z, or V; R5 = H, alkyl, alkenyl, alkynyl, Z, or V; n = 1-6; x = 0-2; and pharmaceutically acceptable salts thereof] were prepd as matrix metalloproteinase and TACE inhibitors. For example, the quinoline-3-carboxylic acid hydroxyamide IV was prepd in a multi-step synthesis concluding with the reaction of 4-[benzyl-(4-methoxybenzenesulfonyl)amino]-7-trifluoromethylquinoline-3-carboxylic acid with oxalyl chloride in CH2Cl2 and DMF, followed by the treatment with HONH2•HCl in the presence of Et3N. I showed matrix metalloproteinase (MMP) inhibition and TACE inhibition with IC50 values in the range of 0.27 nM to 5200 nM and 20 nM to > 1000 nM, resp.

IT **206258-39-1P**, 3-Quinolinecarboxamide, N-hydroxy-4-[[(4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-8-phenyl-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitor; preparation and use of ortho-sulfonamido bicyclic heteroaryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors)

RN 206258-39-1 CAPLUS

CN 3-Quinolinecarboxamide, N-hydroxy-4-[[(4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-8-phenyl- (9CI) (CA INDEX NAME)

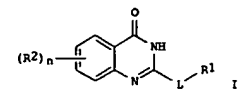


L4 ANSWER 12 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:465983 CAPLUS
DOCUMENT NUMBER: 137:47214
TITLE: Preparation of 2-substituted-4(3H)-quinazolinone derivatives as PARP inhibitors
INVENTOR(S): Matsuoka, Nobuya; Iwashita, Akinori; Yamazaki, Shunji; Miyake, Hiroshi; Ohkubo, Mitsuru; Kamijo, Kazunori; Nakanishi, Isao; Hattori, Kouji; Kido, Yoshiyuki; Ishida, Junya; Yamamoto, Hirofumi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048117	A1	20020620	WO 2001-JP10601	20011205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2431406	AA	20020620	CA 2001-2431406	20011205
AU 2002021047	A5	20020624	AU 2002-21047	20011205
EP 1355888	A1	20031029	EP 2001-270531	20011205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004515544	T2	20040527	JP 2002-549648	20011205
US 2004077667	A1	20040422	US 2003-433947	20030609
PRIORITY APPLN. INFO.:			AU 2000-2016 A	20001211
			WO 2001-JP10601 W	20011205

OTHER SOURCE(S): MARPAT 137:47214
GI

L4 ANSWER 12 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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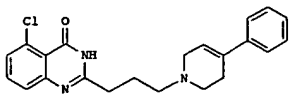
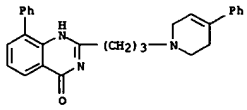


II

AB Title compds. I [R1 = (un)substituted cyclic amino group(s); R2 = substituent; n = 0-4; L = alkylene, alkenylene] were prepared For instance, 2-amino-6-chlorobenzamide was coupled to 4-pentenoyl chloride (THF, i-Pr$_2$NEt, 5°C, 30 min) and the product treated with 1N NaOH to afford 2-(3-butenyl)-5-chloro-4(3H)-quinazolinone. This intermediate was oxidatively cleaved (dioxane, OsO4, t-BuOH; NaIO4) effecting cyclization to 8-chloro-1-hydroxy-2,3-dihydropyrrolo[2,1-b]quinazoline-9(1H)-one isolated as a colorless powder. This was used to alkylate 1,2,3,6-tetrahydro-4-phenylpyridine (CH3CNaq, HOAc, NaCNBH3) to afford II. Selected compds. of the invention had IC50 < 0.5 μM for poly(ADP-ribose)polymerase (PARP). I are useful for the treatment of NMDA- and NO-induced toxicity, tissue damage resulting from apoptosis, etc.

IT **437996-86-6P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug; preparation of 2-[ω-substituted(hetero)aryl-alkyl]substituted-4(3H)-quinazolinone derivs.)

RN 437996-86-6 CAPLUS
CN 4(1H)-Quinazolinone, 2-[3-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)propyl]-8-phenyl- (9CI) (CA INDEX NAME)



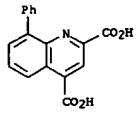
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:319377 CAPLUS
DOCUMENT NUMBER: 137:41270
TITLE: Synthesis and in Vitro Pharmacology of Substituted Quinoline-2,4-dicarboxylic Acids as Inhibitors of Vesicular Glutamate Transport
AUTHOR(S): Carrigan, Christina N.; Bartlett, Richard D.; Esslinger, C. Sean; Cybulski, Kimberly A.; Tongcharoensirikul, Pakamas; Bridges, Richard J.; Thompson, Charles M.
CORPORATE SOURCE: Departments of Pharmaceutical Sciences and Chemistry, The University of Montana, Missoula, MT, 59812, USA
SOURCE: Journal of Medicinal Chemistry (2002), 45(11), 2260-2276
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:41270

AB The vesicular glutamate transport (VGLUT) system selectively mediates the uptake of L-glutamate into synaptic vesicles. Uptake is linked to an H+-ATPase that provides coupling among ATP hydrolysis, an electrochem. proton gradient, and glutamate transport. Substituted quinoline-2,4-dicarboxylic acids (QDCs), prepared by condensation of di-Me ketoglutaconate (DKG) with substituted anilines and subsequent hydrolysis, were investigated as potential VGLUT inhibitors in synaptic vesicles. A brief panel of substituted QDCs was previously reported (Carrigan et al. Bioorg. Med. Chemical Lett. 1999, 9, 2607-2612) and showed that certain substituents led to more potent competitive inhibitors of VGLUT. Using these compds. as leads, an expanded series of QDC analogs were prepared either by condensation of DKG with novel anilines or via aryl-coupling (Suzuki or Heck) to di-Me 6-bromoquinolinedicarboxylate. From the panel of almost 50 substituted QDCs tested as inhibitors of the VGLUT system, the 6-PhCH:CH-QDC (Ki = 167 μM), 6-PhCH2CH2-QDC (Ki = 143 μM), 6-(4'-phenylstyryl)-QDC (Ki = 64 μM), and 6-biphenyl-4-yl-QDC (Ki = 41 μM) were found to be the most potent blockers. A preliminary assessment of the key elements needed for binding to the VGLUT protein based on the structure-activity relationships for the panel of substituted QDCs is discussed herein. The substituted QDCs represent the first synthetically derived VGLUT inhibitors and are promising templates for the development of selective transporter inhibitors.

IT **438589-96-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of substituted quinolinedicarboxylic acids as inhibitors of vesicular glutamate transport)

RN 438589-96-9 CAPLUS
CN 2,4-Quinolinedicarboxylic acid, 8-phenyl- (9CI) (CA INDEX NAME)

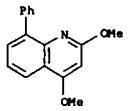


L4 ANSWER 13 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:256236 CAPLUS
DOCUMENT NUMBER: 136:279353
TITLE: Antiparasitic compounds
INVENTOR(S): Jones, Keith; Whitfield, Philip John; Rossiter, Sharon; Matthewson, Michael Derek
PATENT ASSIGNEE(S): King's College London, UK
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

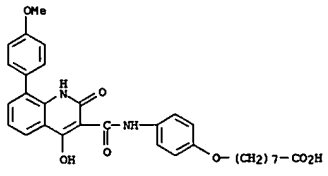
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026713	A1	20020404	WO 2001-GB4337	20010928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001092030	A5	20020408	AU 2001-92030	20010928
PRIORITY APPLN. INFO.:			GB 2000-23918	A 20000929
			WO 2001-GB4337	W 20010928

OTHER SOURCE(S): CASREACT 136:279353; MARPAT 136:279353
AB Approx. 75 quinoline parasiticides were prepared by cyclization of anilines with malonic acid to give quinolines and the subsequent derivatization of the quinolines. Thus, p-toluidine, malonic acid and POCl3 were refluxed 5 h to give 51% 2,4-dichloro-6-methylquinoline (I), which was refluxed in methanolic NaOMe 40 h to give 84% 2,4-dimethoxy-6-methylquinoline. Ten of the quinoline derivs. were tested as anthelmintics and ecto-parasiticides against Haemonchus contortus, Schistosoma mansoni cercariae, Caenorhabditis elegans, Lucilla cuprina, and Boophilus microplus. E.g., the LD50 for I against C. elegans after 60 min was 1.5 μM.
IT 406205-01-4P, 2,4-Dimethoxy-8-phenylquinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiparasitic activity of quinoline derivs.)
RN 406205-01-4 CAPLUS
CN Quinoline, 2,4-dimethoxy-8-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:211232 CAPLUS
DOCUMENT NUMBER: 137:226176
TITLE: Design, synthesis and in-vitro evaluation of potent, novel, small molecule inhibitors of plasminogen activator inhibitor-1
AUTHOR(S): Folkes, Adrian; Brown, S. David; Canne, Lynne E.; Chan, Jocelyn; Engelhardt, Erin; Epshteyn, Sergey; Faint, Richard; Golec, Julian; Hanel, Art; Kearney, Patrick; Leahy, James W.; Mac, Morrison; Matthews, David; Prisbylla, Michael P.; Sanderson, Jason; Simon, Reyna J.; Tesfai, Zerom; Vicker, Nigel; Wang, Shouming; Webb, Robert R.; Charlton, Peter
CORPORATE SOURCE: Xenova Limited, Slough, Berks, SL1 4NL, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(7), 1063-1066
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:226176
AB We have synthesized and evaluated a series of tetramic acid-based and hydroxyquinolinone-based inhibitors of plasminogen activator inhibitor-1 (PAI-1). These studies resulted in the identification of several compds. which showed excellent potency against PAI-1. The design, synthesis and structure-activity relationship (SAR) of these compds. are described.
IT 458550-40-8
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(design, synthesis and in-vitro structure-activity relationship studies of tetramic acid-based and hydroxyquinolinone-based inhibitors of plasminogen activator inhibitor-1)
RN 458550-40-8 CAPLUS
CN Octanoic acid, 8-[4-[[[1,2-dihydro-4-hydroxy-8-(4-methoxyphenyl)-2-oxo-3-quinolinyl]carbonyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



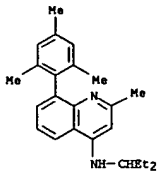
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:184863 CAPLUS
DOCUMENT NUMBER: 136:221516
TITLE: Hair growth stimulants containing CRF1 receptor antagonists
INVENTOR(S): Ikeda, Akiko; Okuyama, Shigeru; Shibasaki, Tamotsu; Kawana, Seiji; Kaneko, Katsumi
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002019975	A1	20020314	WO 2001-JP7537	20010831
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001084417	A5	20020322	AU 2001-84417	20010831
PRIORITY APPLN. INFO.:			JP 2000-269291 A	20000905
			WO 2001-JP7537 W	20010831

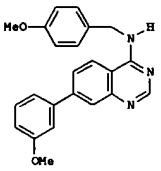
OTHER SOURCE(S): MARPAT 136:221516
AB Disclosed are hair growth stimulants containing a corticotropin release factor (CRF) 1 receptor antagonist as the active ingredient. A CRF1 receptor antagonist 2-[N-(2-methylthio-4-isopropylphenyl)-N-ethylamino]-4-[4-(3-fluorophenyl)-1,2,3,6-tetrahydropyridine-1-yl]-6-methylpyrimidine showed keratinocyte cell proliferation promoting effect in cultured human epidermal keratinocyte cells.
IT 204062-46-4
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(hair growth stimulants containing CRF1 receptor antagonists)
RN 204062-46-4 CAPLUS
CN 4-Quinolinamine, N-(1-ethylpropyl)-2-methyl-8-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

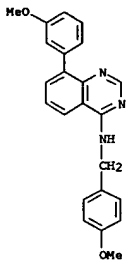
L4 ANSWER 17 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:96165 CAPLUS
DOCUMENT NUMBER: 136:294745
TITLE: A Combinatorial Scaffold Approach toward Kinase-Directed Heterocycle Libraries
AUTHOR(S): Ding, Sheng; Gray, Nathanael S.; Wu, Xu; Ding, Qiang; Schultz, Peter G.
CORPORATE SOURCE: Department of Chemistry and the Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Journal of the American Chemical Society (2002), 124(8), 1594-1596
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:294745
GI



I

AB A novel strategy for efficient synthesis of various substituted nitrogen-heterocycles, e.g., I, as kinase-directed combinatorial libraries is described. The general scheme involves capture of various dichloroheterocycles onto solid support and further elaborations by aromatic substitution with amines at elevated temperature or by anilines, boronic acids, and phenols via palladium-catalyzed cross-coupling reactions, thus the scaffold itself is transformed into a diversity element within the combinatorial scheme. Libraries consisting of discrete and highly diverse heterocyclic small mols. constructed with these chemistries are currently being evaluated in a variety of cell and protein-based assays.
IT 406932-50-1P
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)
(derivatization of resin bound chloroheterocyclic scaffolds via Suzuki coupling reaction with aryl boronic acid and subsequent cleavage of substituted heterocyclic product)
RN 406932-50-1 CAPLUS
CN 4-Quinazolinamine, 8-(3-methoxyphenyl)-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:31438 CAPLUS
DOCUMENT NUMBER: 136:102370
TITLE: Preparation of tetrahydropyridine or piperidine heterocyclic derivatives and their affinity for CRF receptors
INVENTOR(S): Nakazato, Atsuro; Kumagai, Toshihito; Okubo, Taketoshi; Kameo, Kazuya
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002549	A1	20020110	WO 2001-JP5806	20010704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2412287	AA	20020110	CA 2001-2412287	20010704
AU 2001069437	A5	20020114	AU 2001-69437	20010704
EP 1299378	A1	20030409	EP 2001-947819	20010704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012166	A	20030902	BR 2001-12166	20010704
JP 2004502685	T2	20040129	JP 2002-507801	20010704
TW 591022	B	20040611	TW 2001-90116391	20010704
EE 200300007	A	20040816	EE 2003-7	20010704
CN 1535968	A	20041013	CN 2004-10033876	20010704
ZA 2002010041	A	20031211	ZA 2002-10041	20021211
BG 107374	A	20040930	BG 2002-107374	20021211
NO 2002006125	A	20030204	NO 2002-6125	20021219
US 2004034061	A1	20040219	US 2003-311277	20030825
US 6852732	B2	20050208		
US 2005009874	A1	20050113	US 2004-912185	20040806

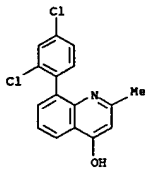
PRIORITY APPLN. INFO.:
JP 2000-204021 A 20000705
JP 2000-270535 A 20000906
WO 2000-JP5806 W 20000704
WO 2001-JP5806 W 20010704
US 2003-311277 A3 20030825

OTHER SOURCE(S): MARPAT 136:102370

AB Tetrahydropyridine or piperidine heterocyclic derivs. with high affinity for CRF receptors were prepared E.g., 5-(4-carbamoyl-1,2,3,6-tetrahydropyridin-1-yl)-2-(N-ethyl-2,4-dichloroanilino)-4-methylthiazole was prepared by bromination of 2-(N-ethyl-2,4-dichloroanilino)-4-methylthiazole hydrochloride, followed by reaction with 5-carbamoyl-1,2,3,6-tetrahydropyridine hydrochloride.

IT 215115-07-4

L4 ANSWER 18 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of tetrahydropyridine or piperidine heterocyclic derivs. and their affinity for CRF receptors)
RN 215115-07-4 CAPLUS
CN 4-Quinolinol, 8-(2,4-dichlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

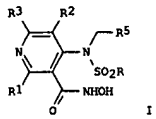
L4 ANSWER 19 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:869015 CAPLUS
DOCUMENT NUMBER: 136:5991
TITLE: Preparation of N-[(hydroxycarbamoyl)heteroaryl]aranesulfonamides as matrix metalloproteinase and TACE inhibitors
INVENTOR(S): Levin, Jeremy I.; Zask, Arie; Gu, Yansong; Albright, Jay D.; Du, Xuemei
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: U.S. Pat. Appl. Publ., 39 pp., Cont.-in-part of U.S. Ser. No. 944,188, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001046989	A1	20011129	US 2000-734140	20001211
US 6548524	B2	20030415		

PRIORITY APPLN. INFO.:
US 1996-28505P P 19961016
US 1997-944188 B2 19971006

OTHER SOURCE(S): MARPAT 136:5991

GI



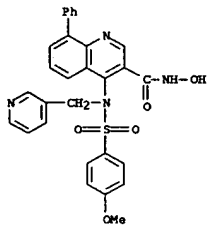
I

AB Title compds. [e.g., I; R = (un)substituted Ph, heteroaryl, etc.; R1 = H, halo, alkyl, alkoxy, etc.; R2R3 = atoms to complete an (un)substituted benzene or -pyrazole ring; R5 = H, alkyl, Ph, etc.] were prepared Thus, 4-(MeO)C6H4SO2NHCH2Ph was N-arylated by Et 4-chloro-7-trifluoromethylquinoline-3-carboxylate and the saponified product amidated by NH2OH to give I [R = C6H4(OMe)-4, R1 = H, R2R3 = CH:CHC(CF3):CH, R5 = Ph]. Data for biol. activity of I were given.

IT 206258-39-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and use of ortho-sulfonamido bicyclic heteroaryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors)

RN 206258-39-1 CAPLUS
CN 3-Quinolinecarboxamide, N-hydroxy-4-[[(4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-8-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 20 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:796238 CAPLUS
DOCUMENT NUMBER: 135:339292
TITLE: Combinations of corticotropin releasing factor antagonists and growth hormone secretagogues
INVENTOR(S): Fossa, Anthony A.
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 58 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

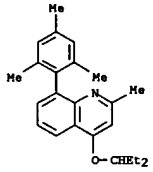
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1149583	A2	20011031	EP 2001-303033	20010330
EP 1149583	A3	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2001001456	A	20011204	BR 2001-1456	20010411
CA 2344089	AA	20011013	CA 2001-2344089	20010412
US 2001041673	A1	20011115	US 2001-834477	20010413

PRIORITY APPLN. INFO.: US 2000-196698P P 20000413
OTHER SOURCE(S): MARPAT 135:339292

AB This invention is directed to pharmaceutical compns. comprising corticotropin releasing factor antagonist and growth hormone or growth hormone secretagogues, prodrugs thereof, or pharmaceutically acceptable salts of said compds. or said prodrugs (Markush structures given). The invention is also directed to the use of such compns. in the treatment or prevention of osteoporosis and heart-related diseases (including congestive heart failure) in mammals, particularly humans (no data).

IT **204062-41-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combinations of corticotropin releasing factor antagonists and growth hormone secretagogues)

RN 204062-41-9 CAPLUS
CN Quinoline, 4-(1-ethylpropoxy)-2-methyl-8-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



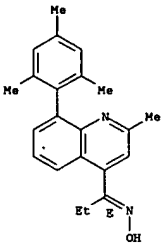
L4 ANSWER 20 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 21 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:396488 CAPLUS
DOCUMENT NUMBER: 135:5624
TITLE: Preparation of substituted heterocyclic derivatives as CRF antagonists
INVENTOR(S): Chen, Yuhpyng Liang
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 34 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1103553	A2	20010530	EP 2000-310357	20001122
EP 1103553	A3	20010801		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6525067	B1	20030225	US 2000-708858	20001108
JP 2001172281	A2	20010626	JP 2000-354443	20001121
CA 2326611	AA	20010523	CA 2000-2326611	20001122
BR 2000005532	A	20020625	BR 2000-5532	20001123

PRIORITY APPLN. INFO.: US 1999-167162P P 19991123
OTHER SOURCE(S): MARPAT 135:5624
GI



L4 ANSWER 21 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I-V; n = 0-1; A = N, CR7; B = CH2NHCONHMe, CH(alkyl)OCONHMe, CH2NHCOMe, etc.; J1, J2 = N, CR5; of J1 or J2 optionally connects to Z1 to form a bicyclic ring; U = N, C; X1 = O, S, NOH, etc.; Z1 = O, S, NH, etc.; D, E = N, CR4, SO, etc.; K = N, CR6; G = N, C; Ar = (un)substituted aryl, heteroaryl; R3 = H, alkyl, halo, etc.; R4, R6 = H, OH, alkyl, etc.; R5 = H, alkyl, halo, etc.; R7 = H, alkyl, halo, etc.], useful as CRF antagonists, were prepared Thus, treating 1-[2,5,6-trimethyl-7-(2,4,6-trimethylphenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]propan-1-one with H2NOH.HCl afforded (E)-VI and (Z)-VI. The CRF binding activities for compds. I-V, expressed as IC50 values, generally range from about 0.5 nM to about 32 μM.

IT **342431-72-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted heterocyclic derivs. as CRF antagonists)

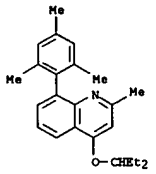
RN 342431-72-5 CAPLUS
CN 1-Propanone, 1-[2-methyl-8-(2,4,6-trimethylphenyl)-4-quinolinyl]-, oxime, (1E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 22 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:338070 CAPLUS
DOCUMENT NUMBER: 134:336224
TITLE: Use of corticotropin releasing factor (CRF) antagonists for treating syndrome X
INVENTOR(S): Chen, Yuhpyng Liang; Hamanaka, Ernest Seiichi
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1097709	A2	20010509	EP 2000-309441	20001026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 776724	B2	20040916	AU 2000-66695	20001024
ZA 2000006008	A	20020426	ZA 2000-6008	20001026
US 6589947	B1	20030708	US 2000-696822	20001026
CA 2325069	AA	20010429	CA 2000-2325069	20001027
NZ 507825	A	20041126	NZ 2000-507825	20001027

PRIORITY APPLN. INFO.: US 1999-162340P P 19991029
OTHER SOURCE(S): MARPAT 134:336224
AB Compns. and methods are provided for achieving a therapeutic effect, including the treatment or prevention of syndrome X in an animal, preferably a mammal including a human subject or a companion animal, using a CRF antagonist alone or together with a glucocorticoid receptor antagonist.
IT 204062-41-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CRF antagonist, alone or with glucocorticoid receptor antagonist, for treating syndrome X)
RN 204062-41-9 CAPLUS
CN Quinoline, 4-(1-ethylpropoxy)-2-methyl-8-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 23 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:331317 CAPLUS
DOCUMENT NUMBER: 134:326529
TITLE: Preparation of N-[(hydroxycarbamoyl)heteroaryl]aranesulfonamides as matrix metalloproteinase and TACE inhibitors
INVENTOR(S): Levin, Jeremy I.; Zask, Arie; Gu, Yansong; Albright, Jay D.; Du, Xuemei
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 55,856, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6228869	B1	20010508	US 1998-59554	19980414
US 2001025047	A1	20010927	US 2000-734056	20001211
US 6498167	B2	20021224		

PRIORITY APPLN. INFO.: US 1996-28505P P 19961016
US 1997-944188 A2 19971006
US 1998-55856 B2 19980406
US 1998-59554 A3 19980414
OTHER SOURCE(S): MARPAT 134:326529
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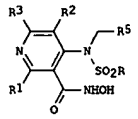
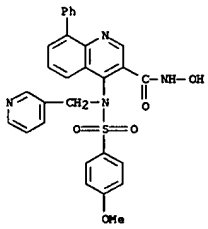


I

AB Title compds. [e.g., I; R = (un)substituted Ph, heteroaryl, etc.; R1 = H, halo, alkyl, alkoxy, etc.; R2R3 = atoms to complete an (un)substituted benzene or -pyrazole ring; R5 = H, alkyl, Ph, etc.] were prepared Thus, 4-(MeO)C6H4SO2NHCH2Ph was N-arylated by Et 4-chloro-7-trifluoromethylquinoline-3-carboxylate and the saponified product amidated by NH2OH to give I [R = C6H4(OMe)-4, R1 = H, R2R3 = CH:CHC(CF3):CH, R5 = Ph]. Data for biol. activity of I were given.
IT 206258-39-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and use of ortho-sulfonamido bicyclic heteroaryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors)
RN 206258-39-1 CAPLUS
CN 3-Quinolinecarboxamide, N-hydroxy-4-[[(4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-8-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:247338 CAPLUS
DOCUMENT NUMBER: 134:280854
TITLE: Preparation of certain alkylene diamine-substituted heterocycles as NPY1 receptor inhibitors
INVENTOR(S): Horvath, Raymond F.; Tran, Jennifer; De, Lombaert Stephane; Hodgetts, Kevin Julian; Carpino, Philip A.; Griffith, David A.
PATENT ASSIGNEE(S): Neurogen Corporation, USA; Pfizer, Inc.; De Lombaert, Stephane
SOURCE: PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

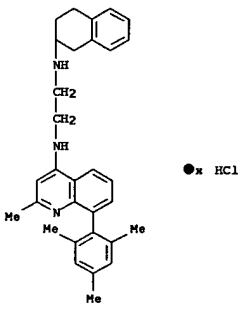
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023389	A2	20010405	WO 2000-US26886	20000929
WO 2001023389	A3	20020510		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2379640	AA	20010405	CA 2000-2379640	20000929
EP 1224187	A2	20020724	EP 2000-967133	20000929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6506762	B1	20030114	US 2000-676941	20000929
JP 2003510327	T2	20030318	JP 2001-526541	20000929
NZ 517575	A	20040430	NZ 2000-517575	20000929
BG 106508	A	20030228	BG 2002-106508	20020311
NO 2002001358	A	20020527	NO 2002-1358	20020319
ZA 2002002518	A	20030630	ZA 2002-2518	20020328
US 2003158197	A1	20030821	US 2002-291446	20021108
US 6696445	B2	20040224		
US 2004229870	A1	20041118	US 2003-705446	20031110
PRIORITY APPLN. INFO.:			US 1999-156870P	P 19990930
			US 2000-676941	A3 20000929
			WO 2000-US26886	W 20000929
			US 2002-291446	A3 20021108
OTHER SOURCE(S):	MARPAT 134:280854			

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I-III, etc.; X = N, CR14; W = S, O, NR15; Y = N, CR3; E, F, G = CR3, N; R1 = H, alkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; A

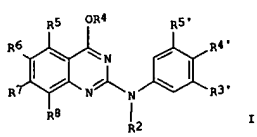
L4 ANSWER 24 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
= (un)substituted (CH2)m (wherein m = 1-3); A and B form a (un)substituted carbocycle; A and R2, or B and R2 form (un)substituted aminocarbocycle, aminoheterocycle; B = (un)substituted (CH2)n (n = 1-3); R3, R16 = H, alkyl, etc.; R4 = (un)substituted aryl, heteroaryl; R5 = (cycloalkyl)alkyl, alkenyl, etc.; R6 = H, alkyl, etc.] which are potent antagonists at the NPY1 receptor, and are useful in treating physiol. disorders assocd. with an excess of neuropeptide Y, including eating disorders, such as, for example, obesity and bulimia, and certain cardiovascular diseases, for example, hypertension, were prepd. E.g., a multi-step synthesis of IV was described. The compds. I showed Ki of 0.1 nM - 10 μM against NPY1 receptor binding.
IT **332140-75-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of certain alkylene diamine-substituted heterocycles as NPY1 receptor inhibitors)
RN 332140-75-7 CAPLUS
CN 1,2-Ethanediamine, N-[2-methyl-8-(2,4,6-trimethylphenyl)-4-quinolinyl]-N'-(1,2,3,4-tetrahydro-2-naphthalenyl)-, hydrochloride (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:228868 CAPLUS
DOCUMENT NUMBER: 134:252356
TITLE: Preparation of 2-(arylamino)-4-quinazolinols as inhibitors of cleavage of protein substrates by caspase-3
INVENTOR(S): Jacobs, Robert Toms; Folmer, James; Simpson, Thomas Richard; Chaudhari, Bipinchandra; Frazee, William Jackson; Davenport, Timothy Wayne
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021598	A1	20010329	WO 2000-GB3555	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1218358	A1	20020703	EP 2000-958907	20000918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509501	T2	20030311	JP 2001-524977	20000918
US 6399603	B1	20020604	US 2000-668322	20000922
PRIORITY APPLN. INFO.:			US 1999-155623P	P 19990923
			WO 2000-GB3555	W 20000918
OTHER SOURCE(S):	MARPAT 134:252356			

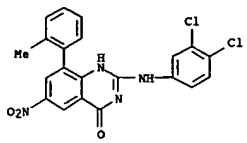
GI



AB I (e.g. [2-[(3,4-dichlorophenyl)amino]-4-hydroxy-6-nitroquinazolin-8-yl]-N-[(4-fluorophenyl)methyl]carboxamide) or a pharmaceutically-acceptable salt thereof and methods of using such compds. for the treatment of various diseases and pharmaceutical comps. comprising such compds. are claimed. In I, R2 is H, acetyl or (C1-C5)alkyl. R4 is H, acetyl or (C1-C5)alkyl. R5, R6 and R7 are independently H, halogen, (C1-C2)alkyl, halo(C1-C2)alkyl, nitro and cyano. R8 is H, Ph, (C1-C6)alkyl, Ri, heterocycle, substituted heterocycle, -(CH2)mC(O)N-[(CH2)pRg]Rb, -(CH2)mN[(CH2)pRg]Rb, -CH:CHRC, halogen, -(CH2)mC(O)(CH2)mRo, -C(O)Rp,

L4 ANSWER 25 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
-(CH2)mC(O)O[(CH2)pRg], -(CH2)mN[(CH2)pRg]C(O)Rb, -(CH2)mOC(O)[(CH2)pRg], -CHORdORe, -CH2XRf, -S(O)2N[(CH2)pRg]Rb, -N[(CH2)pRg]S(O)2Rb, -S(O)2N[(CH2)pRg]Rb, -C(O)H, allyl and 4-hydroxybut-1-en-4-yl. R3', R4' and R5' are independently H, halogen, (C1-C4)alkyl, (C1-C4)alkoxy and halo(C1-C4)alkyl; wherein at least one of R5, R6, R7, R8, R3' and R5' is not H; and R4' is not equal to R7. Rb is H, (C1-C4)alkyl or substituted (C1-C4)alkyl. Rc is H, Ph, Ri, heterocycle, substituted heterocycle, -CO2Rb, -C(O)NRbRb, -S(O)n-Rf, 2-hydroxyisopropyl and cyano. Rd and Re are independently (C1-C4)alkyl; or Rd and Re together are -CH2CH2- or -CH2CH2CH2-. Rf is (C1-C4)alkyl, vinyl, -CH2CO2Rb, Ph or benzyl. Rg is (C1-C10)alkyl, substituted (C1-C10)alkyl, Ph, Ri, heterocycle, substituted heterocycle, -ORb, -NRbRb, -NRjRo, -N(Rj)SO2Rj, -CO2Rb, -C(O)NRjRj, -SO2phenyl and 2-oxopyrrolid-1-yl; or Rg and Rb together form -CH2CH2N(Rj)CH2CH2-, -(CH2)4-, -CH(Rh)CH2CH2CH2-, or -CH2CH2OCH2CH2-. Rh is -CO2Rf or -CH2O-Ph. Ri is Ph, contg. 1-3 substituents selected from halogen, (C1-C6)alkyl, -ORj, -O(substituted phenyl)-NRjRj, halo(C1-C6)alkyl, halo(C1-C4)alkoxy, nitro, -C(O)Rj, -C(O)(substituted phenyl), -(CH2)mC(O)NRjRk, -(CH2)mC(O)N(Rj)SO2[(C1-C6)alkyl], -(CH2)mC(O)NRj(substituted phenyl), -(CH2)nCO2Rj, -OC(O)Rj, -N(Rj)C(O)Rj, -NRjC(O)halo(C1-C4)alkoxy, -C(O)NRjRj, -NRjS(O)2(C1-C4)alkyl, -SOn(C1-C6)alkyl, -SOn(halogen), -SOm(CH2)nphenyl, -SO2NRjRj, -SO2NRjRk, -SO2NRj(substituted (C1-C6)alkyl), -SO2(CH2)nRo, -SO2N(Rj)(CH2)nRo, -SOn(halo(C1-C3)alkyl), -SOn(pyrrolidin-1-yl substituted in the 2 position by Rn), -CN, -SCN, Ph, heterocycle and benzyl. Rj is H or (C1-C6)alkyl. Rk is -(CH2)nCH2OCH2Rb, -C(O)NRjRj or -C(O)Rj. Rm is heterocycle, contg. one or two substituents selected from halogen, (C1-C6)alkyl, -ORj, -O(substituted phenyl)-NRjRj, halo(C1-C6)alkyl, halo(C1-C4)alkoxy, nitro, -C(O)Rj, -C(O)(substituted phenyl), -(CH2)mC(O)NRjRk, -(CH2)mC(O)N(Rj)SO2[(C1-C6)alkyl], -(CH2)mC(O)NRj(substituted phenyl), -(CH2)nCO2Rj, -OC(O)Rj, -N(Ri)C(O)Rj, -NRjC(O)-halo(C1-C4)alkoxy, -C(O)NRjRj, -NRjS(O)2(C1-C4)alkyl, -SOn(C1-C6)alkyl, -SOn(halogen), -SOm(CH2)nphenyl, -SO2NRjRj, -SO2NRjRk, -SO2NRj(substituted (C1-C6)alkyl), -SO2(CH2)nRo, -SO2N(Rj)(CH2)nRo, -SOn(halo(C1-C3)alkyl), -SOn(pyrrolidin-1-yl substituted in the 2 position by Rn), -CN, -SCN, Ph, heterocycle and benzyl. Rn is -C(O)Rj, -CH2ORj or -C(O)NRjRj. Ro is Ph, substituted Ph, heterocycle or substituted heterocycle. Rp is a heterocycle contg. one or two substituents selected from substituted Ph, heterocycle, Ph, benzyl, -SOnRo or SO2NRjRj. M is 0-3; n is 0-2; p is 0-7; X is S, O or N. A method is claimed of treating a mammalian disease selected from cell apoptosis, immune deficiency syndromes, autoimmune diseases, pathogenic infections, cardiovascular and neurol. injury, alopecia, aging, cancer, Parkinson's disease, Alzheimer's disease, Huntington's disease, acute and chronic neurodegenerative disorders, stroke, vascular dementia, head trauma, ALS, neuromuscular disease, myocardial ischemia, cardiomyopathy, macular degeneration, osteoarthritis, diabetes, acute liver failure and spinal cord injury. Although caspase-3 inhibition and apoptosis assay methods are described, quant. assay results are not given. Although the methods of prepn. are not claimed, 17 example prepns. are included.
IT **331642-52-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(arylamino)-4-quinazolinols as inhibitors of cleavage of protein substrates by caspase-3)
RN 331642-52-5 CAPLUS
CN 4(1H)-Quinazolinone, 2-[(3,4-dichlorophenyl)amino]-8-(2-methylphenyl)-6-nitro- (9CI) (CA INDEX NAME)

L4 ANSWER 25 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:185043 CAPLUS
DOCUMENT NUMBER: 134:217215
TITLE: Use of CRF antagonists and related compositions for modifying circadian rhythm and treatment of depression and other conditions
INVENTOR(S): Chen, Yuhpyng Liang
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

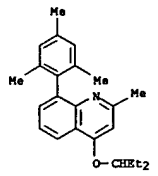
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1082960	A2	20010314	EP 2000-307074	20000818
EP 1082960	A3	20020320		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6432989	B1	20020813	US 2000-587007	20000605
EP 1449532	A1	20040825	EP 2004-12293	20000818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
JP 2001097889	A2	20010410	JP 2000-251836	20000823
ZA 2000004362	A	20020225	ZA 2000-4362	20000824
CA 2316662	AA	20010227	CA 2000-2316662	20000825
NZ 506562	A	20020927	NZ 2000-506562	20000825
AU 776077	B2	20040826	AU 2000-53644	20000825
US 2002156089	A1	20021024	US 2002-161816	20020604
US 2004082597	A1	20040429	US 2003-676201	20031001

PRIORITY APPLN. INFO.:

US 1999-151183P	P	19990827
US 2000-587007	A3	20000605
EP 2000-307074	A3	20000818
US 2002-161816	A3	20020604

AB A corticotropin releasing factor (CRF) antagonist is administered to treat disorders that can be treated by altering circadian rhythm, as well as depression (in which a second compound for treating depression is administered, the second compound having an onset of action that is delayed with respect to that of the CRF antagonist). Methods for treating cardiovascular diseases, migraine, non-migraine headaches, and emesis are also disclosed.
IT 204062-41-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CRF antagonists and related compns. for modifying circadian rhythm and treatment of depression and other conditions, and use with other agents)
RN 204062-41-9 CAPLUS
CN Quinoline, 4-(1-ethylpropoxy)-2-methyl-8-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



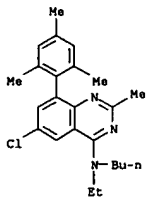
L4 ANSWER 27 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:89329 CAPLUS
DOCUMENT NUMBER: 132:146643
TITLE: Pyrimidine CRF antagonists as antidiabetics
INVENTOR(S): Seio, Yasushi; Tanaka, Hiroshi; Goto, Shinji; Amano, Yusaku
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000038350	A2	20000208	JP 1999-136173	19990517

PRIORITY APPLN. INFO.:

JP 1998-135673	A	19980518

OTHER SOURCE(S): MARPAT 132:146643
AB Pyrimidine derivs. (Markush's structures given), including 4-(N-butyl-N-ethylamino)-2,5-di-methyl-7-(2,4,6-trimethylphenyl)-thieno[3,4-d]pyrimidine, and their pharmaceutically acceptable salts and hydrates are claimed as antidiabetics by acting as CRF antagonists. The hypoglycemic, insulin secretion-promoting, and insulin-enhancing effects were tested, and a formulation example of tablets was given.
IT 209918-17-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pyrimidine CRF antagonists as antidiabetics)
RN 209918-17-2 CAPLUS
CN 4-Quinazolinamine, N-butyl-6-chloro-N-ethyl-2-methyl-8-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

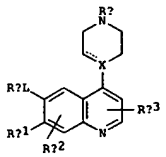


L4 ANSWER 28 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:404951 CAPLUS
DOCUMENT NUMBER: 131:58850
TITLE: Preparation of quinolinepiperazine and quinolinepiperidine derivatives and their use as combined 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists
INVENTOR(S): Gaster, Laramie Mary
PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931086	A1	19990624	WO 1998-EP7804	19981202
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2313125	AA	19990624	CA 1998-2313125	19981202
EP 1047691	A1	20001102	EP 1998-965729	19981202
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2002508366	T2	20020319	JP 2000-539010	19981202

PRIORITY APPLN. INFO.: GB 1997-26364 A 19971212
GB 1997-26905 A 19971219
GB 1998-317 A 19980107
WO 1998-EP7804 W 19981202

OTHER SOURCE(S): MARPAT 131:58850
GI



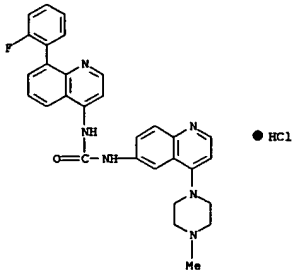
I

AB The title compds. I [Ra = substituted Ph, bicyclic aryl, heterocyclyl, etc.; L = YC(O)DG, C(O)DG, DGC(O) in which Y is -NH-, NR5 where R5 is C1-6alkyl, or Y is -CH2- or -O-; D is nitrogen, carbon or a CH group, or G is hydrogen or C1-6alkyl providing that D is nitrogen or a CH group, or G together with Rb1 forms a group W where W is (CR16R17)t where t is 2, 3 or 4 and R16 and R17 are independently hydrogen or C1-6alkyl or W is (CR16R17)u-J where u is 0, 1, 2 or 3 and J is oxygen, sulfur, CR16:CR17,

L4 ANSWER 28 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CR16:N, :CR16O, :CR16S or :CR16NR17 provided that u is not 0 when J is oxygen or sulfur; X is nitrogen or carbon; Rb1, Rb2 and Rb3 are independently hydrogen, halogen, hydroxy, C1-6alkyl, C2-6alkenyl, C3-6cycloalkyl, trifluoromethyl, C1-6alkoxy or aryl, or Rb1 together with G forms a group W as defined above; Rc is hydrogen or C1-6alkyl] were prepd. E.g., N-[4-(4-methylpiperazin-1-yl)quinolin-6-yl]-N'-[5-(pyridin-4-yl)naphth-1-yl]urea was prepd. Some examples of I had pKi values > 8.5 at 5-HT1A, 5-HT1B, and 5-HT1D receptors.

IT 227956-22-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolinepiperazine and quinolinepiperidine derivs. and their use as combined 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists)

RN 227956-22-1 CAPLUS
CN Urea, N-[8-(2-fluorophenyl)-4-quinolinyl]-N'-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



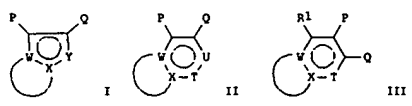
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:244637 CAPLUS
DOCUMENT NUMBER: 130:296678
TITLE: The preparation and use of ortho-sulfonamido bicyclic heteroaryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors
INVENTOR(S): Levin, Jeremy Ian; Zask, Arie; Gu, Yansong; Albright, Jay Donald; Du, Xuemei
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9918076	A1	19990415	WO 1998-US7380	19980414
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2303449	AA	19990415	CA 1998-2303449	19980414
AU 9869685	A1	19990427	AU 1998-69685	19980414
AU 760218	B2	20030508		
EP 1021413	A1	20000726	EP 1998-915523	19980414
EP 1021413	B1	20030611		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9812727	A	20000822	BR 1998-12727	19980414
RU 2202546	C2	20030420	RU 2000-111469	19980414
AT 242768	E	20030615	AT 1998-915523	19980414
JP 2003520183	T2	20030702	JP 2000-514888	19980414
PT 1021413	T	20031031	PT 1998-915523	19980414
ES 2200335	T3	20040301	ES 1998-915523	19980414
NO 2000001755	A	20000531	NO 2000-1755	20000405

PRIORITY APPLN. INFO.: US 1997-944188 A 19971006
US 1998-55856 A 19980406
WO 1998-US7380 W 19980414

OTHER SOURCE(S): MARPAT 130:296678
GI



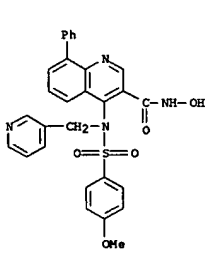
I II III

AB Low mol. weight, non-peptide inhibitors of matrix metalloproteinases and TNF-α converting enzyme (TACE, tumor necrosis factor-α converting enzyme) of formulas I, II, and III [P, Q = R5CH2NSO2Z, CONHOH; T, U, W, X = carbon or nitrogen, provided that when T or U is carbon,

L4 ANSWER 29 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
either may be optionally substituted with R1; Y is carbon, nitrogen, oxygen or sulfur, provided that at least one of T, U, W, X, and Y is not carbon, and further provided that no more than 2 of T, U, W, and X are nitrogen; Z = Ph, naphthyl, heteroaryl, or heteroaryl fused to Ph, wherein the heteroaryl moiety contains 5-6 ring atoms and 1-3 heteroatoms selected from nitrogen, oxygen, or sulfur; wherein the Ph, naphthyl, heteroaryl, or Ph fused heteroaryl moieties may be optionally mono-, di-, or tri-substituted with R1; R1 is hydrogen, halogen, alkyl of 1-8 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, cycloalkyl of 3-6 carbon atoms, -(CH2)nZ, -OR2, -CN, -COR2, perfluoroalkyl of 1-4 carbon atoms, -CONR2R3, -S(O)xR2OPO(OR2)OR3, -PO(OR2)R3, -OC(O)NR2], matrix metalloproteinase and TACE inhibitors, were prepd. E.g., 4-[benzyl(4-methoxybenzenesulfonyl)amino]-7-trifluoromethylquinoline-3-carboxylic acid hydroxyamide was prepd.

IT 206258-39-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and use of ortho-sulfonamido bicyclic heteroaryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors)

RN 206258-39-1 CAPLUS
CN 3-Quinolinecarboxamide, N-hydroxy-4-[[[4-methoxyphenyl]sulfonyl](3-pyridinylmethyl)amino]-8-phenyl- (9CI) (CA INDEX NAME)

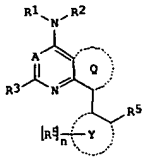


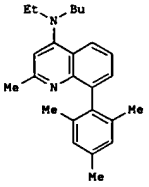
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 30 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:194128 CAPLUS
DOCUMENT NUMBER: 130:237583
TITLE: Preparation of quinoline and quinazoline derivatives having corticotropin releasing factor (CRF) antagonist activity
INVENTOR(S): Den Hartog, Jacobus A. J.; Visser, Gerben M.; Toorop, Gerrit P.; Jansen, Johannes W. C. M.; Ronken, Eric; Tulp, Martinus T. M.; Reinders, Jan H.
PATENT ASSIGNEE(S): Duphar International Research B.V., Neth.
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9912908	A1	19990318	WO 1998-EP5726	19980907
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
NL 1010018	C2	19990310	NL 1998-1010018	19980904
CA 2270777	AA	19990318	CA 1998-2270777	19980907
AU 9896241	A1	19990329	AU 1998-96241	19980907
EP 966442	A1	19991229	EP 1998-950008	19980907
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001505226	T2	20010417	JP 1999-515100	19980907
US 6350750	B1	20020226	US 1999-297837	19990913

PRIORITY APPLN. INFO.: EP 1997-202762 A 19970909
WO 1998-EP5726 W 19980907
OTHER SOURCE(S): MARPAT 130:237583
GI


I

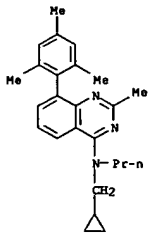

II

L4 ANSWER 30 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB The title compds. [I; A = CH, N; Q = (un)substituted Ph, pyridyl, pyrimidinyl, pyridazinyl; Y = Ph, pyridyl, pyrimidinyl, etc.; R1, R2 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R3 H, alkyl optionally substituted with one or more F atoms; R4 = halo, MeO, EtO, etc.; R5 = halo, alkyl, alkenyl, etc.; n = 0-4], having corticotropin releasing factor (CRF) antagonist activity (no data) and useful in the treatment of a wide range of stress related disorders, were prepared E.g., a 4-step synthesis of quinoline II, starting with 2-methyl-4-hydroxy-8-bromoquinoline, was given.

IT 209918-59-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline and quinazoline derivs. having corticotropin releasing factor (CRF) antagonist activity)

RN 209918-59-2 CAPLUS
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-methyl-N-propyl-8-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

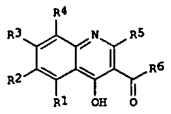


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:175782 CAPLUS
DOCUMENT NUMBER: 130:223969
TITLE: Light stabilizers based on hindered amine derivatives of 4-hydroxy-3-quinolinecarboxylic acids
INVENTOR(S): Stahrfeldt, Thomas
PATENT ASSIGNEE(S): Clariant G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 900794	A1	19990310	EP 1998-116198	19980827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
DE 19738615	A1	19990311	DE 1997-19738615	19970904
TW 457235	B	20011001	TW 1998-87114662	19980902
ZA 9808063	A	19990304	ZA 1998-8063	19980903
US 6172232	B1	20010109	US 1998-146610	19980903

PRIORITY APPLN. INFO.: DE 1997-19738615 A 19970904
OTHER SOURCE(S): MARPAT 130:223969
GI

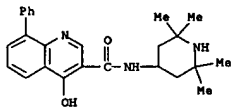

I

AB Hindered amine derivs. of 4-hydroxy-3-quinolinecarboxylic acids (I; R1, R2, R3, R4 = H, NO2, CF3, CN, organic group, R5 = H, CN, SH, organothio group; R6 = hindered 4-iminopiperidyl or 4-oxypiperidyl) are new stabilizers for protection of organic (macromol., cosmetic, pharmaceutical) materials against light, heat, and oxygen. These UV absorbers are effective in the presence of other additives. In an example, 4-amino-2,2,6,6-tetramethylpiperidine was condensed with Et 4-hydroxy-8-phenyl-3-quinolinecarboxylate to give the desired N-(tetramethyl-4-piperidyl)amide, which was effective with polypropylene.

IT 221025-14-5P, 4-Hydroxy-8-phenyl-N-(2,2,6,6-tetramethyl-4-piperidyl)-3-quinolinecarboxamide
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)
(preparation of hindered amine light stabilizer derivs. of hydroxyquinolinecarboxylic acids)

RN 221025-14-5 CAPLUS
CN 3-Quinolinecarboxamide, 4-hydroxy-8-phenyl-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



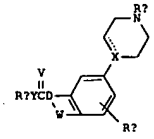
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:745030 CAPLUS
DOCUMENT NUMBER: 130:13915
TITLE: Indole derivatives having combined 5HT1A, 5HT1B, and 5HT1D receptor antagonist activity
INVENTOR(S): Gaster, Laramie Mary; Rami, Harshad Kantilal; Wyman, Paul Adrian
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850358	A1	19981112	WO 1998-EP2262	19980414
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2288662	AA	19981112	CA 1998-2288662	19980414
AU 9874310	A1	19981127	AU 1998-74310	19980414
AU 732863	B2	20010503		
EP 975593	A1	20000202	EP 1998-921462	19980414
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
TR 9902590	T2	20000621	TR 1999-9902590	19980414
JP 2001524116	T2	20011127	JP 1998-547660	19980414
BR 9809092	A	20020122	BR 1998-9092	19980414
ZA 9803242	A	19991018	ZA 1998-3242	19980417
TW 509687	B	20021111	TW 1998-87105843	19980417
NO 9905065	A	19991015	NO 1999-5065	19991015
MX 9909583	A	20000331	MX 1999-9583	19991018

PRIORITY APPLN. INFO.: GB 1997-7829 A 19970418
GB 1998-1882 A 19980129
WO 1998-EP2262 W 19980414

OTHER SOURCE(S): MARPAT 130:13915
GI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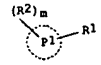
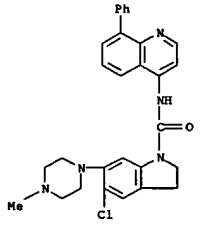


L4 ANSWER 32 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB The title compds. I [Ra is a group of formula Q, in which P1 is Ph, bicyclic aryl, a 5- to 7-membered heterocyclic ring containing 1 to 3 heteroatoms selected from oxygen, nitrogen and sulfur, or a bicyclic heterocyclic ring containing 1 to 3 heteroatoms selected from oxygen, nitrogen and sulfur; R1 = H, halo, C1-6alkyl, C3-6cycloalkyl, COC1-6alkyl, C1-6alkoxy, hydroxy, hydroxyC1-6alkyl, hydroxyC1-6alkoxy, C1-6alkoxyC1-6alkoxy, C1-6alkanoyl, nitro, trifluoromethyl, cyano, SR9, SOR9, SO2R9, SO2NR10R11, CO2R10, CONR10R11, CO2NR10R11, CONR10(CH2)cCO2R11, (CH2)cNR10R11, (CH2)cCONR10R11, (CH2)cCO2C1-6alkyl, CO2(CH2)cOR10, NR10R11, NR10CO2R11, NR10CONR10R11, CR10:NOR11, NR10COOR11, CNR10:NOR11, where R10 and R11 are independently hydrogen or C1-6alkyl and c is 1 to 4; R2 = H, halo, C1-6alkyl, C3-6cycloalkyl, C3-6cycloalkenyl, C1-6alkoxy, acyl, aryl, acyloxy, hydroxy, nitro, trifluoromethyl, cyano, CO2R10, CONR10R11, NR10R11 where R10 and R11 are as defined for R1; a is 1, 2 or 3; or Ra is a group containing bridged rings; Y = NH, alkylamino, CH2, O; V = O, S; D = N, C, CH; W = (CR16R17)t where t = 2-4 and R16 and R17 = H, alkyl, etc.; Rb = H, halo, OH, etc.; Rc = H, alkyl] were prepared and their 5HT1A,, 5HT1B, and 5HT1D receptor binding determined E.g., 5-methoxy-6-(4-methylpiperazin-1-yl)indole was treated with KOCMe3, then with 4-bromo-3-methylphenyl isocyanate to give 1-[(4-bromo-3-methylphenyl)aminocarbonyl]-5-methoxy-6-(4-methylpiperazin-1-yl)indole.

IT 216058-98-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. having combined 5HT1A, 5HT1B, and 5HT1D receptor antagonist activity)

RN 216058-98-9 CAPLUS
CN 1H-Indole-1-carboxamide, 5-chloro-2,3-dihydro-6-(4-methyl-1-piperazinyl)-N-(8-phenyl-4-quinolinyl)- (9CI) (CA INDEX NAME)



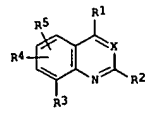
L4 ANSWER 32 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:709055 CAPLUS
DOCUMENT NUMBER: 129:330738
TITLE: Preparation of CRF antagonistic quinolines and quinazolines
INVENTOR(S): Huang, Charles; Wilcoxen, Keith M.; Chen, Chen; Haddach, Mustapha; McCarthy, James R.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; Neurocrine Biosciences, Inc.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9847874	A1	19981029	WO 1998-EP2267	19980415
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2272291	AA	19981029	CA 1998-2272291	19980415
AU 9876446	A1	19981113	AU 1998-76446	19980415
EP 977737	A1	20000209	EP 1998-924134	19980415
EP 977737	B1	20030917		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002501492	T2	20020115	JP 1998-544989	19980415
AT 250035	E	20031015	AT 1998-924134	19980415
PT 977737	T	20040227	PT 1998-924134	19980415
ES 2207834	T3	20040601	ES 1998-924134	19980415
TW 593280	B	20040621	TW 1998-87105979	19980420
ZA 9803358	A	19991021	ZA 1998-3358	19980421
US 6482836	B1	20021119	US 1999-403393	19991019
US 2003119818	A1	20030626	US 2002-266662	20021008
US 6610678	B2	20030826		
US 2004121998	A1	20040624	US 2003-648843	20030826

PRIORITY APPLN. INFO.: US 1997-44525P P 19970422
WO 1998-EP2267 W 19980415
US 1999-403393 A3 19991019
US 2002-266662 A1 20021008

OTHER SOURCE(S): MARPAT 129:330738
GI


I

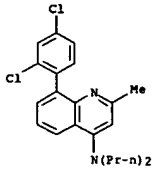
L4 ANSWER 33 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB The title compds. [I; X = N, CH; R1 = C1-6 alkyl, NR6R7, OR6, SR7; R2 = H, C1-6 alkyl, C1-6alkyloxy, C1-6alkylthio; R3 = Ar1, Het1; R4, R5 = H, halo, C1-6 alkyl, etc.; R6 = H, C1-6 alkyl, C1-6 alkylsulfonyl, etc.; R7 = H, C1-8 alkyl, mono- or di(C3-6 cycloalkyl)methyl, etc.; NR6R7 = (un)substituted pyrrolidinyl, piperidinyl, homopiperidinyl, morpholinyl; Ar1 = (un)substituted Ph; Het1 = (un)substituted pyridinyl], useful in treating disorders related to hypersecretion of CRF such as depression, anxiety, substance abuse, feeding disorder, stress-induced immune suppression, stroke, Cushing's disease, epilepsy, and an inflammatory condition, were prepared Thus, reaction of 2-methyl-4-chloro-8-(2',4'-dichlorophenyl)quinoline (preparation described) with dipropylamine in the presence of p-toluenesulfonic acid afforded I [R1 = Pr2N; R2 = Me; R3 = 2,4-Cl2C6H3; R4, R5 = H] which showed Ki of ≤ 250 nM against CRF receptor binding.

IT 215114-80-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of CRF antagonistic quinolines and quinazolines)

RN 215114-80-0 CAPLUS

CN 4-Quinolinamine, 8-(2,4-dichlorophenyl)-2-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

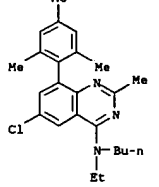
L4 ANSWER 34 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Huntington's chorea, amyotrophic lateral sclerosis, mania, psychophysiol. disorder, senile dementia, panic disorder, cerebral attack, inflammation in autoimmune diseases such as rheumatoid arthritis, pain, obesity, Gilles de la Tourette's disease, alc. dependence, climacteric disturbance and premenstrual tension syndrome, cardiac circulatory drugs such as hypotensive drugs, immunopotentiators, immunosuppressors and drugs for improving the conditions of patients in intensive care units (ICU). In in vitro tests for corticotropin-releasing factor (CRF) receptor antagonism, compds. of this invention showed IC50 of < 500 nM.

IT 209918-17-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyrimidine compds. as corticotropin-releasing factor
(CRF) receptor antagonists)

RN 209918-17-2 CAPLUS

CN 4-Quinazolinamine, N-butyl-6-chloro-N-ethyl-2-methyl-8-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



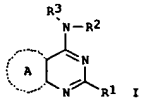
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 34 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:485046 CAPLUS
DOCUMENT NUMBER: 129:109098
TITLE: Preparation and formulation of fused pyrimidine compounds as corticotropin-releasing factor (CRF) receptor antagonists
INVENTOR(S): Tanaka, Hiroshi; Seio, Koji; Kimura, Koreichi; Minoguchi, Masanori; Uehata, Masayoshi; Kohara, Toshiyuki; Ohashi, Yoshitaka; Morio, Yasunori; Yamagami, Keiji
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9829397	A1	19980709	WO 1997-JP4782	19971222
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9878905	A1	19980731	AU 1998-78905	19971222
PRIORITY APPLN. INFO.:			JP 1996-349237 A	19961227
			JP 1997-72410 A	19970325
			WO 1997-JP4782 W	19971222

OTHER SOURCE(S): MARPAT 129:109098
GI



I

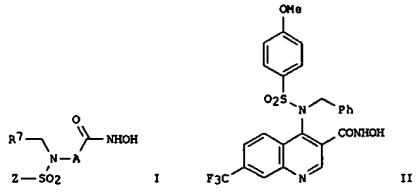
AB The title compds. I [ring A = arylphenyl moiety (generic structure given), phenylindole moiety (generic structure given), etc. (said moiety is fused to pyrimidine ring); when ring A is arylphenyl moiety, R1 = H, alkyl, etc., R2, R3 = H, alkyl, aryl, etc.; when ring A is phenylindole , R1 = H, alkyl, cycloalkyl, aryl, etc., R2, R3 = alkyl, cycloalkyl, etc., or NR2R3 = ring] are prepared I are useful as drugs, in particular, remedies for human depression, eating disturbance including dietary negativism and overeating, Alzheimer's disease, schizophrenia, Parkinson's disease,

L4 ANSWER 35 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:251160 CAPLUS
DOCUMENT NUMBER: 128:321639
TITLE: Preparation of ortho-sulfonamido bicyclic heteroaryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors
INVENTOR(S): Levin, Jeremy Ian; Zask, Arie; Gu, Yansong; Albright, Jay Donald; Dui, Xumei
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9816514	A1	19980423	WO 1997-US18281	19971008
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9749806	A1	19980511	AU 1997-49806	19971008
AU 743901	B2	20020207		
ZA 9709235	A	19990415	ZA 1997-9235	19971015
PRIORITY APPLN. INFO.:			US 1996-732004 A	19961016
			WO 1997-US18281 W	19971008

OTHER SOURCE(S): MARPAT 128:321639
GI



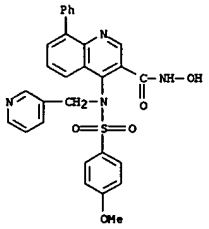
AB The title compds. [I; where the hydroxamic acid moiety and the sulfonamido moiety are bonded to adjacent carbons of the heteroaryl ring of group A, and where A = (un)substituted 5-6 membered heteroaryl having from 1-3 heteroatoms selected from N, O and S fused to Ph ring, or another 5-6 membered heteroaryl having from 1-3 heteroatoms selected from N, O and S; Z = (un)substituted aryl, heteroaryl, heteroaryl fused to a phenyl; R7 = H, C1-6 alkyl, C2-6 alkenyl, etc.] which are a novel, low mol. weight,

L4 ANSWER 35 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
non-peptide inhibitors of matrix metalloproteinases (e.g. gelatinases, stromelysins and collagenases) and TNF-α converting enzyme (TACE, tumor necrosis factor-α converting enzyme) and are therefore useful for the treatment of diseases in which theses enzymes are implicated such as atherosclerosis, arthritis, inflammation, tumor growth and metastasis, angiogenesis, fever, insulin resistance, septic shock, congestive heart failure, inflammatory disease of the central nervous system, inflammatory bowel disease, HIV infection, etc., were prepd. Thus, treatment of 4-[benzyl-(4-methoxybenzenesulfonyl)amino]-7-trifluoromethylquinoline-3-carboxylic acid (prepn. described) with (COCl)2 in DMF followed by addn. of the above prepd. intermediate into a soln. of HZNOH.HCl and Et3N in THF/H2O afforded 75% the title compd. II which showed IC50 of 7 nM against MMP-13 and IC50 of 11 nM against MMP-9, resp.

IT 206258-39-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ortho-sulfonamido bicyclic heteroaryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors)

RN 206258-39-1 CAPLUS

CN 3-Quinolinecarboxamide, N-hydroxy-4-[[(4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-8-phenyl- (9CI) (CA INDEX NAME)



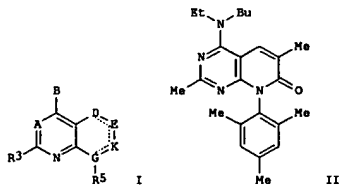
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 36 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:163593 CAPLUS
DOCUMENT NUMBER: 128:204901
TITLE: Preparation of substituted 6,6-hetero-bicyclic derivatives as corticotropin releasing factor (hormone) CRF (CRH) antagonists
INVENTOR(S): Chen, Yuhpyng Liang
PATENT ASSIGNEE(S): Pfizer Inc., USA; Chen, Yuhpyng Liang
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9808846	A1	19980305	WO 1997-IB904	19970721
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 477787	B	20020301	TW 1997-86109990	19970715
CA 2263913	AA	19980305	CA 1997-2263913	19970721
CA 2263913	C	20040629		
AU 9733557	A1	19980319	AU 1997-33557	19970721
AU 726771	B2	20001123		
EP 925298	A1	19990630	EP 1997-929464	19970721
EP 925298	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9711262	A	19990817	BR 1997-11262	19970721
CN 1228091	A	19990908	CN 1997-197355	19970721
JP 2000502722	T2	20000307	JP 1998-511427	19970721
AT 264327	E	20040415	AT 1997-929464	19970721
PT 925298	T	20040730	PT 1997-929464	19970721
ES 2216157	T3	20041016	ES 1997-929464	19970721
AP 1164	A	20030630	AP 1997-1076	19970821
W: BW, GM, KE, MW, UG, ZM, ZW				
ZA 9707652	A	19990226	ZA 1997-7652	19970826
BG 64316	B1	20040930	BG 1999-103192	19990222
NO 9900892	A	19990225	NO 1999-892	19990225
NO 316273	B1	20040105		
KR 2000035898	A	20000626	KR 1999-701619	19990226
HK 1019597	A1	20040827	HK 1999-104747	19991025
CN 1367169	A	20020904	CN 2002-102057	20020118
JP 2004203751	A2	20040722	JP 2002-371663	20021224
US 2003114671	A1	20030619	US 2003-336884	20030106
US 6875769	B2	20050405		
PRIORITY APPLN. INFO.:			US 1996-24659P	P 19960827
			WO 1997-IB904	W 19970721
			US 1999-242777	B1 19991215
OTHER SOURCE(S):	MARPAT 128:204901			

L4 ANSWER 36 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
GI



I

II

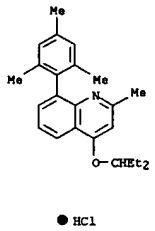
AB The title compds. [I; A = N, CR7; B = NR1R2, CR1R2R10, COR2, etc.; G = N, CR4, C; K = N, CR6, O, S, etc.; D, E = C(O), C(S), S, O, etc.; the 6-7 membered ring that contains D, E, K and G may contain from 1-3 double bonds, from 0-2 heteroatoms selected from O, N and S, and from 0-2 C(O) or C(S) groups; R1 = (un)substituted C1-6 alkyl; R2 = C1-12 alkyl, aryl, (C1-4 alkylene)aryl, etc.; NR1R2, CR1R2R10 = 3-8 membered ring, 5-8 membered ring which may optionally contain 1-2 double bonds and heteroatoms; R3 = H, C1-4 alkyl, halo, etc.; R4, R6 = H, halo, OH, etc.; R5 = substituted Ph, naphthyl, pyridyl, pyrimidinyl; R10 = H, OH, MeO, F], useful as corticotropin releasing factor (hormone) CRF (CRH) antagonists, were prepared Thus, reaction of 4-chloro-2,6-dimethyl-8-(2,4,6-trimethylphenyl)-5,8-dihydro-6H-pyrido[2,3-d]pyrimidin-7-one with N-butylethylamine in DMSO afforded the title compound II. Compds. I are effective at 0.1-50 mg/kg/day.

IT 204062-40-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted 6,6-hetero-bicyclic derivs. as corticotropin releasing factor (hormone) CRF (CRH) antagonists)

RN 204062-40-8 CAPLUS

CN Quinoline, 4-(1-ethylpropoxy)-2-methyl-8-(2,4,6-trimethylphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 37 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:467270 CAPLUS
DOCUMENT NUMBER: 125:168006
TITLE: Preparation of 2,4-diaminoquinazolines as insecticides
INVENTOR(S): Henrie, Robert N., II; Peake, Clinton J.; Cullen, Thomas G.; Lew, Albert C.; Chaguturu, Munirathnam K.; Ray, Partha S.; Yeager, Walter H.; Silverman, Ian R.; Buser, John W.; et al.
PATENT ASSIGNEE(S): FMC Corp., USA
SOURCE: U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 149,491, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5534518	A	19960709	US 1994-267340	19940628
ZA 9401038	A	19940825	ZA 1994-1038	19940215
US 5616718	A	19970401	US 1995-426541	19950420
US 5874579	A	19990223	US 1996-640610	19960501
PRIORITY APPLN. INFO.:			US 1993-19389 B2	19930218
			US 1993-149491 B2	19931109
			US 1994-267340 A3	19940628
OTHER SOURCE(S):	MARPAT 125:168006			

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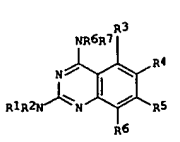
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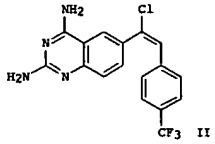
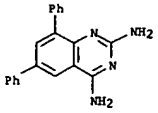

II

AB Title compds. [I; R1,R6 = H or alkyl; R2,R7 = H, alkyl, alkanoyl, alkoxycarbonyl, etc.; R1R2 = O-interrupted alkylene; R1R2,R6R7 = dialkylaminomethylene, pyrrolidinomethylene, etc.; R3,R5,R6 = H halo, alkyl, alkoxy, etc.; R4 = H halo, alkyl, alkoxy, substituted aryl(oxy), NHCH2C6H4(CO2H)-4, etc.] were prepared Thus, 2-methyl-6-nitrobenzonitrile was converted in 4 steps to 2-amino-5-ethynyl-6-methylbenzonitrile which was arylated with 4-IC6H4CF3 and the product condensed with ClC(:NH)NH2.HCl to give title compound II which gave 90 and 100% kill of Trichoplusia ni and Spodoptera exigua, resp., at 30ppm foliar spray.

IT **159018-95-8P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic

L4 ANSWER 37 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of 2,4-diaminoquinazolines as insecticides)
RN 159018-95-8 CAPLUS
CN 2,4-Quinazolinediamine, 6,8-diphenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 38 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:994932 CAPLUS
DOCUMENT NUMBER: 124:117320
TITLE: Preparation of 8-[2-(1H-tetrazol-5-yl)phenyl]quinoline antihypertensives
INVENTOR(S): Daumas, Marc; Hoornaert, Christian
PATENT ASSIGNEE(S): Synthelabo S. A., Fr.
SOURCE: Fr. Demande, 26 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2716196	A1	19950818	FR 1994-1738	19940216
FR 2716196	B1	19960405		
PRIORITY APPLN. INFO.:			FR 1994-1738	19940216
OTHER SOURCE(S):	MARPAT 124:117320			

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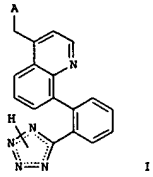
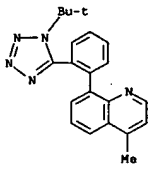

I

AB The title compds. [I; A = (un)substituted imidazolyl, (un)substituted imidazolone, (un)substituted (un)substituted imidazolopyridyl, (un)substituted triazolyl, etc.], useful as angiotensin II antagonists (no data) in the treatment of hypertension (no data) or glaucoma (no data), are prepared Thus, Et 4-ethyl-2-propyl-1-[[8-[2-(1H-tetrazol-5-yl)phenyl]quinolin-4-yl]methyl]-1H-imidazol-5-carboxylate, m.p. 118-128°, was prepared from 2-bromoaniline in 7 steps.

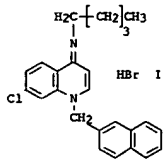
IT **172939-51-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 8-[2-(1H-tetrazol-5-yl)phenyl]quinoline antihypertensives)
RN 172939-51-4 CAPLUS
CN Quinoline, 8-[2-[1-(1,1-dimethylethyl)-1H-tetrazol-5-yl]phenyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 38 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

L4 ANSWER 39 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:568954 CAPLUS
DOCUMENT NUMBER: 123:283
TITLE: Novel Inhibitors of Potassium Ion Channels on Human T Lymphocytes
AUTHOR(S): Michne, William F.; Guiles, Joseph W.; Treasurywala, Adi M.; Castonguay, Laurie A.; Weigelt, Carolyn A.; Oconnor, Bernard; Volberg, Walter A.; Grant, Alison M.; Chadwick, Christopher C.; et al.
CORPORATE SOURCE: Sanofi Research Division, Sanofi Winthrop Inc., Collegeville, PA, 19426, USA
SOURCE: Journal of Medicinal Chemistry (1995), 38(11), 1877-83
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

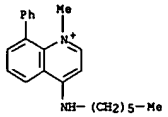


AB The in vitro biol. characterization of a series of 4-(alkylamino)-1,4-dihydroquinolines is reported. These compds. are novel inhibitors of voltage-activated n-type potassium ion (K+) channels in human T lymphocytes. This series, identified from random screening, was found to inhibit [125I]charybdotoxin binding to n-type K+ channels with IC50 values ranging from 10-6 to 10-8 M. These analogs also inhibit whole cell n-type K+ currents with IC50 values from 10-5 to 10-7 M. The preparation of a series of new 4-(alkylamino)-1,4-dihydroquinolines is described. Structure-activity relationships are discussed. Naphthyl analog I, the most potent compound prepared, exhibited >100-fold selectivity for inhibition of [125I]charybdotoxin binding to n-type K+ channels compared with inhibition of [3H]dofetilide binding to cardiac K+ channels. These compds. represent a potent and selective series of n-type K+ channel inhibitors that have the potential for further development as anti-inflammatory agents.
IT 163562-43-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and structure-potassium channel blocking relationships of (alkylamino)dihydroquinolines)
RN 163562-43-4 CAPLUS

L4 ANSWER 39 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Quinolinium, 4-(hexylamino)-1-methyl-8-phenyl-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 163562-42-3
CMF C22 H27 N2



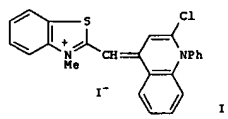
CM 2

CRN 16053-58-0
CMF C H3 O3 S

L4 ANSWER 40 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:367554 CAPLUS
DOCUMENT NUMBER: 122:163503
TITLE: Cyclic-substituted unsymmetrical cyanine dyes, their use in staining of nucleic acids, and pyridinium and quinolinium intermediates in their preparation
INVENTOR(S): Roth, Bruce L.; Millard, Paul J.; Yue, Stephen T.; Wells, K. Sam; Haugland, Richard P.
PATENT ASSIGNEE(S): Molecular Probes, Inc., USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9424213	A1	19941027	WO 1994-US4127		19940413
W: AU, CA, JP					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9466345	A1	19941108	AU 1994-66345		19940413
AU 676317	B2	19970306			
EP 675924	A1	19951011	EP 1994-914173		19940413
EP 675924	B1	20011212			
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL					
AT 210703	E	20011215	AT 1994-914173		19940413
PRIORITY APPLN. INFO.:			US 1993-47683	A	19930413
			US 1993-90890	A	19930712
			US 1993-146328	A	19931101
			US 1993-148847	A	19931108
			WO 1994-US4127	W	19940413

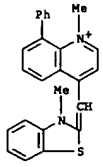
OTHER SOURCE(S): MARPAT 122:163503
GI



I

AB Fluorescent stains for nucleic acid are derived from unsym. cyanine dyes comprising a substituted benzazolium ring system linked by a methine bridge to a pyridinium or quinolinium ring system having ≥1 saturated or unsatd. cyclic substituent. Superior fluorescence characteristics when complexed with nucleic acids give the dyes utility for the detection of oligonucleotides and nucleic acids in cells, gels, and solns. The presence of the cyclic substituent results in improved permeability in a wide range of cells and gels. Thus, 4-methyl-1-phenyl-2(1H)-quinolinone was prepared and chlorinated with POCl3, and the resulting quinolinium salt was condensed with 3-methyl-2-(methylthio)benzothiazolium tosylate to give monomethinecyanine I, which was shown to be an effective stain for reticulocytes.
IT 161057-86-9P
RL: IMF (Industrial manufacture); PREP (Preparation)

L4 ANSWER 40 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(prepn. of unsym. cyanine dyes for use in staining of nucleic acids)
RN 161057-86-9 CAPLUS
CN Quinolinium, 1-methyl-4-[(3-methyl-2(3H)-benzothiazolylidene)methyl]-8-phenyl-, iodide (9CI) (CA INDEX NAME)

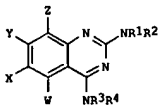


● I-

L4 ANSWER 41 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:695126 CAPLUS
DOCUMENT NUMBER: 121:295126
TITLE: Preparation of insecticidal substituted 2,4-diaminoquinazolines.
INVENTOR(S): Henrie, Robert Neil, II; Peake, Clinton Joseph; Cullen, Thomas Gerard; Lew, Albert C.; Chaguturu, Munirathnam Krishnappa; Ray, Partha Sarathi
PATENT ASSIGNEE(S): FMC Corp., USA
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9418980	A1	19940901	WO 1994-US1658	19940217
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9401038	A	19940825	ZA 1994-1038	19940215
AU 9462986	A1	19940914	AU 1994-62986	19940217
EP 684824	A1	19951206	EP 1994-910694	19940217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI				

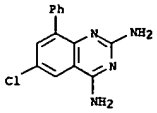
PRIORITY APPLN. INFO.: US 1993-19389 A 19930218
US 1993-149491 A 19931109
WO 1994-US1658 W 19940217
OTHER SOURCE(S): MARPAT 121:295126
GI



I

AB The title compds. I [R1= H, alkyl; R2,R3= R1, alkylcarbonyl, alkoxycarbonyl; R4 = H; R1R2= alkylenoxyalkylene; W, Y, Z = H,, halo, (halo)alkyl, (halo)alkoxy, (un)substituted thienyl or aroyl, etc.; X = H, halo, (halo)alkyl, NHCH2C6H4CO2H-4, etc.] are prepared as insecticides. 2-Amino-6-methyl-5-[3,5-di(trifluoromethyl)phenyl]benzonitrile (preparation given) was reacted with chloroformamidine-HCl (preparation given) in diglyme, to yield 2,4-diamino-6-methyl-5-[3,5-di(trifluoromethyl)phenyl]quinazoline (II). Diets containing 4% II were lethal to the tobacco budworm (Heliothis virescens).

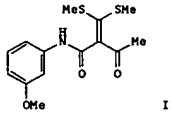
L4 ANSWER 41 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
IT 159018-92-5P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of insecticidal diaminoquinazolines)
RN 159018-92-5 CAPLUS
CN 2,4-Quinazolinediamine, 6-chloro-8-phenyl- (9CI) (CA INDEX NAME)



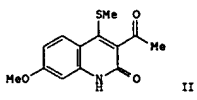
L4 ANSWER 42 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:517134 CAPLUS
DOCUMENT NUMBER: 119:117134
TITLE: 4-(Alkylthio)-2-quinolinones, 4-(alkylsulfinyl)-2-quinolinones and 3l4-(alkylamino)-2-quinolinones, a method for their preparation and their use as fungicides and insecticides
INVENTOR(S): Pak, Chwang Siek; Choi, Eun Bok; Yang, Huei Cheol; Yon, Gyu Hwan; Lee, Ge Hyeong; Lee, Hyeon Kyu; Kim, Sung Kee; Lee, Yeon Soo
PATENT ASSIGNEE(S): Korea Research Institute of Chemical Technology, S. Korea
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9217452	A1	19921015	WO 1992-KR10	19920403
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
EP 533882	A1	19930331	EP 1992-908080	19920403
EP 533882	B1	20010207		
R: DE, FR, GB, IT				
JP 05506461	T2	19930922	JP 1992-507521	19920403
JP 07072176	B4	19950802		
US 5430153	A	19950704	US 1993-952491	19930203

PRIORITY APPLN. INFO.: KR 1991-5391 A 19910403
KR 1991-5392 A 19910403
WO 1992-KR10 W 19920403
OTHER SOURCE(S): CASREACT 119:117134; MARPAT 119:117134
GI



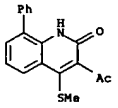
I



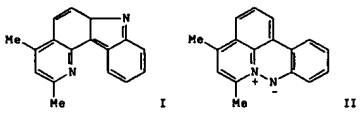
II

AB Some 4-(alkylthio)-2-quinolinone derivs. and 4-(alkylsulfinyl)-2-quinolinone derivs. or 4-(alkylamino)-2-quinolinone derivs. are claimed. These compds. are fungicides or insecticides and miticides (acaricides). Cyclocondensation of N-(3-methoxyphenyl)-α-[bis(methylthio)methylene]acetoacetamide (I) gave 3-acetyl-7-methoxy-4-(methylthio)-2-quinolinone (II) (82% yield).
IT 145499-53-2P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as fungicide or insecticide)
RN 145499-53-2 CAPLUS
CN 2(1H)-Quinolinone, 3-acetyl-4-(methylthio)-8-phenyl- (9CI) (CA INDEX

L4 ANSWER 42 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
NAME)

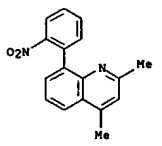


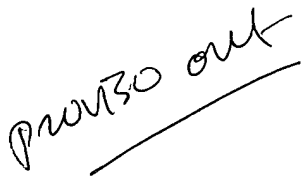
L4 ANSWER 43 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:632740 CAPLUS
DOCUMENT NUMBER: 111:232740
TITLE: Heterocyclic mesomeric betaines. Part 2. Synthesis of a hetero derivative of the benzo[b]phenalenide anion
AUTHOR(S): Ollis, W. David; Stanforth, Stephen P.; Ramsden, Christopher A.
CORPORATE SOURCE: Dep. Chem., Univ. Sheffield, Sheffield, S3 7HF, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1989), (5), 953-6
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:232740
GI



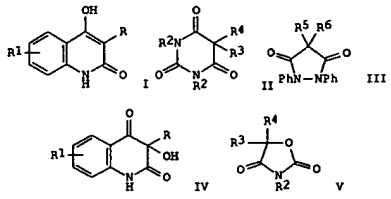
I II

AB Reductive cyclization of 2,4-dimethyl-8-(2-nitrophenyl)quinoline with hot (EtO)3P afforded 2,4-dimethyl-7H-pyrido[3,2-c]carbazole (I) and 4,6-dimethyl-6aλ5,7-diazabenz[de]anthracen-6a-ium-7-ide (II). The latter is the first example of a conjugated heterocyclic mesomeric betaine isoconjugate with the benzo[b]phenalenide anion.
IT 123730-14-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reductive cyclization of, with triethylphosphite)
RN 123730-14-3 CAPLUS
CN Quinoline, 2,4-dimethyl-8-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



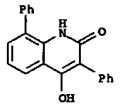


L4 ANSWER 44 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:497413 CAPLUS
DOCUMENT NUMBER: 105:97413
TITLE: Oxidative hydroxylation of heterocyclic β-dicarbonyl compounds
AUTHOR(S): Stadlbauer, Wolfgang; Kappe, Thomas
CORPORATE SOURCE: Inst. Org. Chem., Karl-Franzens-Univ., Graz, A-8010, Austria
SOURCE: Monatshefte fuer Chemie (1985), 116(8-9), 1005-15
CODEN: MOCMB7; ISSN: 0026-9247
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 105:97413
GI



I II III IV V

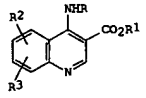
AB 4-Hydroxy-2-quinolones I (R = Ph, Et, PhCH2; R1 = 6-, 8-Me, 6-, 7-, 8-MeO, 8-Ph), barbituric acids II (R2 = R4 = H, Me, Ph; R3 = Ph, PhCH2) and pyrazolidine-2,4-diones III (R5 = Ph, Bu, R6 = H) were oxidized to quinolinediones IV, II (R4 = OH), and IV (R6 = OH), resp. II also gave oxazolidinediones V.
IT 103929-51-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidative hydroxylation of)
RN 103929-51-7 CAPLUS
CN 2(1H)-Quinolinone, 4-hydroxy-3,8-diphenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 45 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:143284 CAPLUS
DOCUMENT NUMBER: 98:143284
TITLE: 4-Amino-3-quinolinecarboxylic acids and esters as antisecretory anti-ulcer compounds
INVENTOR(S): Munson, Harry R., Jr.; Alphin, Reevis S.
PATENT ASSIGNEE(S): A. H. Robins Co., Inc., USA
SOURCE: U.S., 13 pp. Cont.-in-part of U.S. Ser. No. 23,981, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

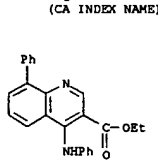
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4343804	A	19820810	US 1980-127153	19800304
ZA 8001526	A	19810826	ZA 1980-1526	19800314
IL 59628	A1	19830615	IL 1980-59628	19800314
FI 8000910	A	19800927	FI 1980-910	19800324
FI 77452	B	19881130		
FI 77452	C	19890310		
FR 2452485	A1	19801024	FR 1980-6516	19800324
FR 2452485	B1	19860912		
AT 8001564	A	19830215	AT 1980-1564	19800324
AT 372373	B	19830926		
BE 882414	A1	19800716	BE 1980-199934	19800325
DK 8001283	A	19800927	DK 1980-1283	19800325
DK 156056	B	19890619		
DK 156056	C	19891106		
SE 8002292	A	19800927	SE 1980-2292	19800325
SE 435837	B	19841022		
SE 435837	C	19850131		
NO 8000858	A	19800929	NO 1980-858	19800325
NO 153927	B	19860310		
NO 153927	C	19860618		
NL 8001752	A	19800930	NL 1980-1752	19800325
BR 8001779	A	19801118	BR 1980-1779	19800325
GB 2047244	A	19801126	GB 1980-9991	19800325
GB 2047244	B2	19830223		
ES 489887	A1	19810216	ES 1980-489887	19800325
DE 3011490	A1	19810312	DE 1980-3011490	19800325
DE 3011490	C2	19881222		
CS 216527	P	19821126	CS 1980-2073	19800325
PL 125030	B1	19830331	PL 1980-222993	19800325
IN 151446	A	19830423	IN 1980-CA345	19800325
HU 26330	O	19830928	HU 1980-699	19800325
HU 184253	B	19840730		
CH 644105	A	19840713	CH 1980-2340	19800325
AU 8056848	A1	19801002	AU 1980-56848	19800326
AU 528388	B2	19830428		
JP 55147222	A2	19801117	JP 1980-38837	19800326
JP 02027329	B4	19900615		
CA 1147338	A1	19830531	CA 1980-348417	19800326
CA 1161757	A2	19840207	CA 1982-416431	19821125
JP 02117663	A2	19900502	JP 1989-250369	19890926
PRIORITY APPLN. INFO.:			US 1979-23981 A2	19790326
			US 1980-127153 A	19800304
			CA 1980-348417 A3	19800326
OTHER SOURCE(S):		CASREACT 98:143284		

L4 ANSWER 45 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
GI



I

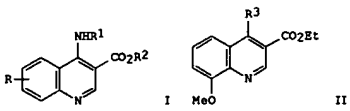
AB Aminoquinolinecarboxylates I [R = alkyl, Ph, phenylalkyl, R4C6H4 (R4 = alkyl, alkoxy, alkylthio, halo, cyano, HO, H2NCO, CO2H, Ac, F3C, NO2); R1 = H, alkyl, dimethylaminoalkyl, alkoxyalkyl, allyl; R2, R3 = H, alkyl, Ph, alkoxy, alkylthio, halo, F3C, cyano, dialkylamino] were prepared and inhibited gastric secretions. Thus, cyclocondensation of EtOCH2:C(CO2Et)2 with 2-MeOC6H4NH2 gave Et 4-hydroxy-8-methoxy-3-quinolinecarboxylate, which was chlorinated by POCl3 to give Et 4-chloro-8-methoxy-3-quinolinecarboxylate. Amination of the latter by 2-MeC6H4NH2 gave I (R = 2-MeC6H4, R1 = Et, R2 = 8-MeO, R3 = H), which at 0.3-8.1 μg/kg in rats inhibited histamine-stimulated gastric secretion 43-96%.
IT 77157-43-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 77157-43-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 8-phenyl-4-(phenylamino)-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 46 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1981:174910 CAPLUS
DOCUMENT NUMBER: 94:174910
TITLE: 4-Amino-3-quinolinecarboxylic acids and their esters as antacid and anti-ulcer compounds
PATENT ASSIGNEE(S): A. H. Robins Co., Inc., USA
SOURCE: Neth. Appl., 25 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

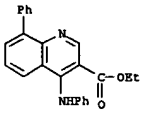
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 8001752	A	19800930	NL 1980-1752	19800325
US 4343804	A	19820810	US 1980-127153	19800304
PRIORITY APPLN. INFO.:			US 1979-23981 A	19790326
			US 1980-127153 A	19800304

GI



I II

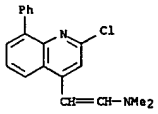
AB Aminoquinolinecarboxylates I [R = H, alkyl, Ph, alkoxy, alkylthio, halo, CF3, cyano, dialkylamino; R1 = alkyl, (un)substituted Ph, phenylalkyl; R2 = H, alkyl, dimethylaminoalkyl, alkoxyalkyl, allyl] were prepared Thus, treating 2-MeOC6H4NH2 with EtOCH:C(CO2Et)2 gave II (R3 = OH) which was chlorinated with POCl3 and the resulting II (R3 = Cl) treated with 2-MeC6H4NH2 to give II (R3 = 2-MeC6H4NH)(III). At 0.3-8.1 μmol/kg i.v. in rats III gave 43-96% inhibition of histamine-induced stomach acid secretion.
IT 77157-43-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 77157-43-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 8-phenyl-4-(phenylamino)-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 47 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1980:639193 CAPLUS
DOCUMENT NUMBER: 93:239193
TITLE: Nitriles
INVENTOR(S): Biere, Helmut
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 11 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

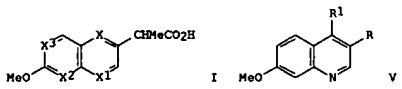
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2909871	A1	19800918	DE 1979-2909871	19790309
EP 16978	A1	19801015	EP 1980-101059	19800303
EP 16978	B1	19870513		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AT 27145	E	19870515	AT 1980-101059	19800303
DK 8000993	A	19800910	DK 1980-993	19800307
JP 56036445	A2	19810409	JP 1980-29272	19800310
JP 63040181	B4	19880810		
PRIORITY APPLN. INFO.:			DE 1979-2909871 A	19790309
			EP 1980-101059 A	19800303

AB RR1CHCN [R = (substituted) (cyclo)aliphatic, -aromatic, or -hetero, aromatic group; R1 = H, alkyl, CN, (esterified) CO2H, alkylsulfonyl; RR1 = alkylene] were prepared by the reaction of RR1C:CHNR2R3 (R2, R3 = alkyl; R2R3N = ring) with H2NOSO3H (I). Thus, 4-[2-(dimethylamino)vinyl]pyridine reacted with I in aqueous solution to give 68% 4-pyridineacetonitrile.
IT 73389-22-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion of, to nitrile)
RN 73389-22-7 CAPLUS
CN Ethenamine, 2-(2-chloro-8-phenyl-4-quinolinyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



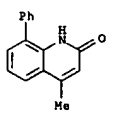
L4 ANSWER 46 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 48 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1980:180966 CAPLUS
DOCUMENT NUMBER: 92:180966
TITLE: Non-steroidal antiinflammatory agents. IV. Substituted aza-naphthylacetic acids with antiinflammatory activity
AUTHOR(S): Schroeder, E.; Lehmann, M.; Boettcher, I.
CORPORATE SOURCE: Forschungslab., Schering A.-G. Berlin/Bergkamen, Berlin, 1000/65, Fed. Rep. Ger.
SOURCE: European Journal of Medicinal Chemistry (1979), 14(6), 499-506
CODEN: EJMCA5; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 92:180966
GI



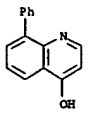
I V

AB The azanaphthylacetic acids I [X = N, X1 = X2 = X3 = CH; X = X2 = X3 = CH, X1 = N (II); X = X1 = X3 = CH, X2 = N; X1 = X2 = CH, X3 = N] and analogs were prepared Thus, II was prepared from III (R = CN, R1 = OH) via III (R = Cl, R1 = CHO) and III (R = Cl, CHMeCO2H). All of the compds. show antiinflammatory activity comparable to that of Naproxen (I; X = X3 = CH). In the adjuvans arthritis test the aza derivs. do not reach the inhibitory effect of Naproxen. Aza analogs of 4- and 5-phenylnaphthalene-1-acetic acids were inactive.
IT 73389-26-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(chlorination of)
RN 73389-26-1 CAPLUS
CN 2(1H)-Quinolinone, 4-methyl-8-phenyl- (9CI) (CA INDEX NAME)

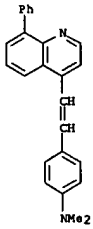


L4 ANSWER 49 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1962:53317 CAPLUS
DOCUMENT NUMBER: 56:53317
ORIGINAL REFERENCE NO.: 56:10097e-i,10098a-b
TITLE: Intensities of the carbonyl bands in the infrared spectra of 2- and 4-quinolones
AUTHOR(S): McCorkindale, N. J.
CORPORATE SOURCE: Univ. Glasgow, UK
SOURCE: Tetrahedron (1961), 14, 223-9
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB Measurement of the intensities of the CO bands in 65 2-(I, II) or 4-quinolones (III) showed that the high intensities of I and II distinguished them from III. I, II, and III were readily soluble in 1:3 or 1:4 Me2SO-CHCl3 in which the KBr of the cells was virtually insol. Integrated intensities were calculated by the method of Cabana and Sandorfy (CA 54, 17034h). Measurements were made on 6-12 mg. samples in 5 ml. solvent using 0.5-mm. cells. Properties of new compds. are listed [series, R, R' and uncor. m.p. (solvent) given]. I: OH, 8-MeO, 226-7° (alc.); OH, 5,8-(MeO)2,217-18° (alc.); OH, 8-Ph, 233-5° (alc.); OH, 5,6-benzo, 270-5° (AcOH); OH, 8-MeO2C, 245° (alc.); OAc, 8-MeO, 180-2° (alc.); OAc, 7-MeO, 223° (dilute alc.); OAc, 5,8-(MeO)2, 184-8° (dilute alc.); OAc, 8-Ph, 215-17° (alc.); OAc, 8-MeO2C, 171-2° (alc.); OAc, 5,6-benzo, 266-71° (alc.); Cl, H, 222-5° (dilute alc.); Cl, 5,8-(MeO)2, 201-4°(alc.); Cl, 8-MeO2C, 142.0-2.5° (dilute alc.); Cl, 8-MeO, 206-8° (alc.); OMe, 8-MeO, 116-18° (ligroine, b. 60-80°); OMe, 7-MeO, 152.5-4.0° (C6H6-ligroine); OMe, 6-MeO, 167-9° (C6H6-ligroine); OMe, 5,8-(MeO)2, 149-50° (C6H6-ligroine); OMe, 6,8-(MeO)2, 130-1° (ligroine) (identical with the hydrogenolysis product of maculosidine); OMe, 8-Ph, 135-6° (ligroine). II: OH, 8-MeO2C, 242-3° (dilute alc.); OMe, H, 82.0-3.5° (petr. ether); OMe, 7-MeO, 0.1 mm., 72-4° (petr. ether) (b0.1 160-80°); OMe, 8-MeO2C, 119-20° (petr. ether). III: 5,8-dimethoxy-2-methyl-4-quinolone, 216-17° (HCONMe2); 3-carbethoxy-8-phenyl-4-quinolone, 245-8° (C5H5N-alc.); 3-carboxy-5,8-dimethoxy-4-quinolone, 270-1° (Me2CO); 8-phenyl-4-quinolone, 203.5-4.5° (dilute alc.); α-ethylmalondi(o-anisidide), 152-4° (alc.). The CO intensities of the 4-quinolones (8.9-25.8 units) were comparable to those found for a group of anilides and to those recorded for some acetamides, benzamides, and acetanilides (11.6-22.5 units). The CO intensities of the 2-quinolones were found at a higher range (33.7-76.7 units). Some applications of the findings in alkaloid chemistry were discussed, including proof that the ring system of maculosidine is linear.
IT **92724-47-5**, 4-Quinolinol, 8-phenyl-
(and its spectrum)
RN 92724-47-5 CAPLUS
CN 4-Quinolinol, 8-phenyl- (7CI) (CA INDEX NAME)

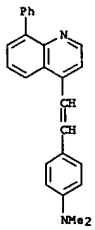
L4 ANSWER 49 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 50 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1960:131677 CAPLUS
DOCUMENT NUMBER: 54:131677
ORIGINAL REFERENCE NO.: 54:25291b-d
TITLE: Effects of variations in chemical structure on antitumor activity and toxicity of styrylquinolines and similar compounds
AUTHOR(S): Bahner, Carl Tabb
CORPORATE SOURCE: Carson Newman Coll., Jefferson City, TN
SOURCE: Acta Unio Internationalis contra Cancrum (1960), 16, 542-4
CODEN: AICCA6; ISSN: 0365-3056
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. preceding abstract Chronic oral toxicity to rats of 4-(4-dimethylaminostyryl)-3-methylquinoline (I), 4(4-diethylaminostyryl)quinoline (II), 1-(4-dimethylaminostyryl)isoquinoline (III), 4-(4-dimethylaminostyryl)quinoline (IV), and 4-(4-dimethylaminostyryl)-8-phenylquinoline (V) decreased in the order given and all produced bone marrow damage. I-IV and 1-(4-diethylaminostyryl)isoquinoline (VI) showed acute oral toxicity at a dose of 100 mg./kg. V and 1-(4-morpholinylstyryl)isoquinoline (VII) were not toxic at this level. The most toxic, I was active against Walker 256 tumor and lymphoma 8. II, III, IV and VI were active against Walker 256 tumor and less so against lymphoma 8. V and VII were least toxic and inactive against lymphoma 8. 5-, 6-, 7- or 8-Methyl-IV had reduced activity against lymphoma 8 as did similar substitution with Cl or Br. 4-(4-Dimethylaminostyryl) derivs. of pyridine, acridine, 5,6-benzoquinoline, and quinazoline had some activity but less than IV.
IT **102883-59-0**, Quinoline, 4-(p-dimethylaminostyryl)-8-phenyl-
(neoplasm inhibition by and toxicity of)
RN 102883-59-0 CAPLUS
CN Quinoline, 4-(p-dimethylaminostyryl)-8-phenyl- (6CI) (CA INDEX NAME)

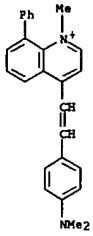


L4 ANSWER 51 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1959:51679 CAPLUS
DOCUMENT NUMBER: 53:51679
ORIGINAL REFERENCE NO.: 53:9357e-g
TITLE: Comparative study of the use of microorganisms in the screening of potential antitumor agents
AUTHOR(S): Foley, G. E.; McCarthy, R. E.; Binns, V. M.; Snell, E. E.; Guirard, B. M.; Kidder, G. W.; Dewey, V. C.; Thayer, P. S.
CORPORATE SOURCE: Children's Med. Center, Boston, MA
SOURCE: Annals of the New York Academy of Sciences (1958), 76, 413-41
CODEN: ANYAA9; ISSN: 0077-8923
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Collaborative studies were organized to include 16 microbial systems, with bacteria, fungi, and protozoa as the assay microorganisms. A series of 200 compds. were studied. It appears that 95% of the compds. adjudged to be tumor-active in animal assays can be detected by virtue of their inhibitory effects on microorganisms, with as few as 4 selected bioassay systems. 34 refs.
IT **102883-59-0**, Quinoline, 4-(p-dimethylaminostyryl)-8-phenyl-
(inhibition of Neurospora crassa growth by)
RN 102883-59-0 CAPLUS
CN Quinoline, 4-(p-dimethylaminostyryl)-8-phenyl- (6CI) (CA INDEX NAME)



L4 ANSWER 52 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1958:30001 CAPLUS
DOCUMENT NUMBER: 52:30001
ORIGINAL REFERENCE NO.: 52:5410h-i,5411a-b
TITLE: Quaternary salts similar to 4-(p-dimethylaminostyryl)quinoline methiodide
AUTHOR(S): Bahner, Carl T.; Dale, John; Fain, John; Franklin, Edgar; Goan, J. C.; Stump, Wm.; West, Mary; Wilson, Joan
CORPORATE SOURCE: Carson-Newman Coll., Jefferson City, TN
SOURCE: Journal of Organic Chemistry (1957), 22, 1110
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Since the MeI derivative of the rat anti-tumor active 4-(p-Me2NC6H4CH:CH)C9H6N was less toxic than the more physiologically potent base, a series of similar quaternary salts was prepared by adding equimolar amts. of the p-aminobenzaldehyde and lepidine methiodide (or propiodide) to boiling Ac2O and refluxing 30 min. (method A), or by refluxing the reactants 4 hrs. in MeOH in the presence of C5H11N (method B) and recrystg. the products from MeOH. The m.ps. were determined by rapid heating and all melted with decomposition The quaternary MeI salts of substituted dimethylamino-styrylquinolines (I), x-(p-Me2NC6H4CH:CH)C9H5(R)N, dimethylaminostyryl-5,6-benzoquinolines (II), x-(p-Me2NC6H4CH:CH)C13H8N, substituted styrylquinolines (III), x-(p-RC6H4CH:CH)C9H6N, and dimethylaminostyrylpyrazines (IV), x-(p-Me2NC6H4CH:CH)C9H6(R)N, were tabulated [quaternary salt, method of preparation, % yield, and m.p.° (decomposition) given]: I (x = 4, R = 6-I), A, 21, 307-8; I (x = 4, R = 3-Me), A, 72, 284-5; I (x = 4, R = 8-Me), A, 68, 272; I(x = 4, R = 8-Ph), A, -, 231-2; II (x = 4), B, 36, 241; II (x = 2), A, 39, 253; III (x = 4, R = AcNH), B, 45, 320; III (x = 4, R = O2N), B, 79, 260; III (x = 4, R = F), B, 4, 237; III (x = 2, R = F) (IVa), B, 50, 249; IV (x = 2, R = 5-Me), B, -, 237-8°. 4-(p-Me2NC6H4CH:CH)C9H6N.PrI (V), A, -, 226. V shared the activity of the corresponding MeI and EtI derivs. in producing regression of Lymphoma 8 tumors in rats. IVa was inactive under the same conditions.
IT 119698-51-0, Quinolinium, 4-(p-dimethylaminostyryl)-1-methyl-8-phenyl-, iodide
(preparation of)
RN 119698-51-0 CAPLUS
CN 4-(p-Dimethylaminostyryl)-1-methyl-8-phenylquinolinium iodide (6CI) (CA INDEX NAME)

L4 ANSWER 52 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● I⁻

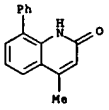
L4 ANSWER 53 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1957:10931 CAPLUS
DOCUMENT NUMBER: 51:10931
ORIGINAL REFERENCE NO.: 51:2287g-i,2288a-i,2289a-b
TITLE: 6-Aminocarbostyrilazo dyes
INVENTOR(S): Brody, Frederick; Leavitt, Julian J.; Long, Robert S.
PATENT ASSIGNEE(S): American Cyanamid Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2754293		19560710	US	

GI For diagram(s), see printed CA Issue.
AB A new series of azo dyes of the general formula I are described, where A represents the radical of a coupling component and in which rings B and C may be further substituted. 2,5-(EtO)2C6H3NH2 (II) 12 parts in AcCH2CO2Et refluxed, cooled, and diluted with petr. ether yielded 2,5-(EtO)2C6H3NHCOCH2Ac (III). III 66.4 added at 85° to concentrated H2SO4 184 parts, the dark-brown solution kept at 85-90° until the cyclization is complete, cooled, poured into H2O and ice, made alkaline and filtered, and the residue recrystd. from aqueous EtOH gave pure 5,8-diethoxy-4-methylcarbostyril (IV). IV 5.7 in AcOH 31.5 treated in the cold dropwise with concentrated HNO3 2.5 parts, and the resulting slurry poured into cold H2O and filtered gave the 6-NO2 derivative (V) of IV, bright greenish yellow solid. V 6.2 in EtOH 197 hydrogenated over Pd-C 0.5 parts, filtered, and evaporated, and the residue dissolved in dilute HCl, clarified with C, and repptd. with NH4OH gave the 6-NH2 analog (VI) of V. 5,8-di-MeO analog 4.96 of IV in AcOH 21 treated with concentrated HNO3 2.1 parts gave similarly the 5,8-di-MeO analog (VII) of V. VII 7.9 in EtOH 119 parts hydrogenated over Pd-C, filtered, and evaporated, the residue dissolved in CHCl3, and the solution treated with dry HCl precipitated the 6-NH2 analog (VIII) HCl salt of VII. 4,5-Dimethyl-8-methoxycarbostyril 50 in AcOH 525 treated with stirring with 96% HNO3 30 parts, the mixture heated on the steam bath, and poured into H2O and ice, and the precipitate recrystd. from EtOH gave the 6-NO2 derivative, (IX), yellow solid. IX 3.44 in EtOH 120 parts hydrogenated with gentle warming over Pd-C, the resulting greenish slurry filtered, the product dissolved in dilute HCl, and the solution clarified and treated with NH4OH gave the 6-NH2 analog (X) of IX, greenish yellow needles. 8-Chloro-4-methylcarbostyril 11.95 in AcOH 52.5 refluxed with 96% HNO3 6.0 parts gave a pale-yellow product which recrystd. from AcOH yielded the 6-NO2 derivative (XI), white needles. XI 7.38 in H2O 120 parts hydrogenated at room temperature over Pd-C gave the 6-NH2 analog (XII) of XI, recrystd. from C6H4Cl2. 5-Chloro-8-methoxy-4-methylcarbostyril (XIII) 26.8 in AcOH 157.5 heated with stirring on the steam bath with 95% HNO3 11.25 parts, cooled, and filtered gave the 6-NO2 derivative (XIV) of XIII, bright-yellow solid, recrystd. from AcOH. XIV 8.06 in AcOH 52.5 treated with SnCl2.2H2O 27 in concentrated HCl 41, the mixture, which heats spontaneously to the b.p., cooled, poured into 50% aqueous NaOH 145 and ice 200 parts, and filtered, and the residue washed with H2O, dried, and recrystd. from EtOH gave 6-amino-8-methoxy-4-methylcarbostyril (XV), greenish yellow solid.

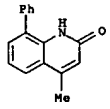
L4 ANSWER 53 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
4-Phenylcarbostyril (XVI) 8.0 in AcOH 157.5 refluxed with stirring with 96% HNO3 12.0 parts and cooled gave the 6-NO2 deriv. (XVII) of XVI, pale-yellow solid. XVII 3.7 in EtOH 200 hydrogenated at about 60° over Pd-C 0.5 parts, filtered, and evapd. to dryness in vacuo, the bright yellow residue dissolved in dil. HCl, and the soln. clarified with diatomaceous earth and made alk. with dil. NH4OH yielded the 6-NH2 analog (XVIII) of XVII which was recrystd. from PhCl. o-PhC6H4NHCOCH2Ac 20 in concd. H2SO4 110 kept at room temp. until the cyclization is completed, the mixt. poured into H2O 1000, made alk. with 50% aq. NaOH 150, and filtered, the filter cake washed, slurried in H2O 300 and 20% aq. NaOH 30 parts, and stirred overnight, and the product filtered and dried at room temp. in vacuo gave a clear, sticky, pale-amber glass which slowly turned to a hard white cryst. solid of 4-methyl-8-phenylcarbostyril (XIX), recrystd. from aq. EtOH. XIX 20.0 in concd. H2SO4 184 treated at 0-5° with 96% HNO3 7.5 in concd. H2SO4 37 parts, and the mixt. stirred at room temp. and drowned in H2O gave the 6-NO2 deriv. (XX) of XIX mixed with a di-NO2 deriv.; the yellow solid mixt. was sepd. by fractional crystn. from AcOH. XX 8.3 in EtOH 80 hydrogenated at 40-50° over Pd-C 0.5 parts and the product recrystd. from PhCl yielded the 6-NH2 analog (XXI) of XX, greenish yellow solid. II 8.1, BzCH2CO2Et 12.9, PhCl 83, and (HOCH2CH2)2NH 1.5 parts refluxed and dild. with petr. ether, and the ppt. recrystd. from EtOH gave 2,5-(EtO)2C6H3NHCOCH2Bz (XXII), white needles. XXII 1.0, p-MeC6H4SO3H.H2O 1.0, and C6H6 26.5 parts refluxed, the mixt. steam distd. to remove the C6H6, and the residual slurry filtered and crystd. from BuOH gave 5,8-diethoxy-4-phenylcarbostyril (XXIII). XXIII 8.1 in AcOH 26.3 treated with cooling and stirring with concd. HNO3 3 parts and the product recrystd. from dioxane gave the 6-NO2 deriv. (XXIV), yellow solid. XXIV 1.77 in EtOH 160 hydrogenated over Pd-C 0.5 parts and the product recrystd. from BuOH gave the 6-NH2 deriv. (XXV) of XXIV, bright-yellow needles. 7,8-Benzo-4-methylcarbostyril 10.0 in AcOH 262.5 refluxed with 96% HNO3 4.5 parts until no more product pptd. and filtered, and the filter residue recrystd. from AcOH gave the 6-NO2 deriv. (XXVI), bright-yellow solid. XXVI 10.2 in EtOH 120 parts hydrogenated over Pd-C, the crude slurry filtered and leached with dil. HCl, and the soln. filtered and made alk. with NH4OH gave the 6-NH2 analog (XXVII) of XXVI, olive-yellow crystals from o-C6H4Cl2. VI 1.20 in hot H2O 2.5 treated with concd. HCl 2.4, cooled, treated with ice and H2O 10 and then with NaNO2 0.35 in H2O 0.35 parts, the resulting clear orange-yellow soln. filtered through Filter-Cel, dild. with H2O, and neutralized with aq. NaOAc to Congo red, and the resulting bath used to dye cotton previously padded with 2% by wt. of 3,2-PhNHOCC10H6OH (XXVIII) gave a strong blue shade of good fastness properties. X 20.0, H2O 150, and concd. HCl 42 cooled to 20°, dild. with H2O 150, diazotized at 19-20° with N aq. NaNO2 90, clarified, and added to an aq. soln. of MeNHCH2CO2Na 9.55 and Na2CO3 59.4 at 10-15°, the soln. clarified with Cl and diatomaceous earth 5, salted with NaCl to 10% concn., chilled, and filtered, the residual compound dried in vacuo at 50°, a portion 4.33 mixed with XXVIII 3.16 and dextrin 2.51, a portion 3 of the resulting blend dissolved in EtO(CH2)2OH 3, aq. NaOH (30° B.acte.e.) 1.25, and H2O 22.75, the soln. stirred into 5% medium viscosity carboxymethylcellulose 70 parts, the resulting paste printed on cotton, and the cloth dried, steamed at 100° in an atm. contg. AcOH, soaped, and dried gave prints of deep-violet shade of excellent fastness properties.
IT 73389-26-1, Carbostyril, 4-methyl-8-phenyl-
(preparation of)
RN 73389-26-1 CAPLUS
CN 2(1H)-Quinolinone, 4-methyl-8-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 53 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



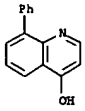
L4 ANSWER 54 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1956:44595 CAPLUS
DOCUMENT NUMBER: 50:44595
ORIGINAL REFERENCE NO.: 50:8642g-i,8643a-c
TITLE: The preparation and cyclization of substituted acetoacetanilides
AUTHOR(S): Searles, A. Langley; Kelly, Richard J.
CORPORATE SOURCE: New York Univ., New York, NY
SOURCE: Journal of the American Chemical Society (1955), 77, 6075-6
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 50:44595
AB The following PhNHCOCHRAc were prepared by published methods (R, m.p., and % yield given): 2-PhCH2CH2, 101.5-102°, 35; p-O2NC6H4CH2, 141-3° (from C6H6-EtOH), 65; iso-Pr, 139-40° (from aqueous MeOH), 81; cyclopentyl, 150.5-1.5°, 67; Am, 72-3° (from petr. ether), 72; C6H13, 70-1°, 85 (unstable form, m. 55-6°); C7H15, 64-6° (from petr. ether), 58; 2,5-Me(O2N)C6H3-NHCOCH2Ac, 119-19.5°, 72 (straw-colored rods which gave a magenta solution with aqueous alc. FeCl3); o-ClC6H4NH-COCHMeAc, 94-4.5°, 48; p-MeC6H4NHCOCHMeAc, 88-9° (from petr. ether), 65; o-MeC6H4NHCOCHMeAc, 109.5-11° (with emollescence), 60; o-PhC6H4NHCOCHMeAc, 115-15.5°, 77. PhCH2CHAcCONHPh (4.0 g.) heated 0.5 h. at 96° with 50 cc. 74% H2SO4, the mixture poured into 200 cc. cold H2O, the precipitate filtered, washed with cold H2O, and recrystd. from EtOH-C6H6 gave 3-benzyl-4-methylcarbostyril (I), white needles, m. 238-40°; the mother liquor concentrated and refrigerated gave addnl. 3.3 g. I. o-MeC6H4CH2CHAcCONHPh (2.0 g.) and 40 cc. 74% H2SO4 heated 1.5 h. on the steam bath with occasional stirring, the mixture poured into H2O and crushed ice, stirred briefly, and filtered, the cake suspended in 300 cc. cold H2O and allowed to stand 36 h., and the pale tan solid filtered, dried (1.7 g.) and triturated with three 20-cc. portions 1:1 Et2O-Me2CO, and the residue recrystd. twice from aqueous EtOH gave 3-benzyl-4,8-dimethylcarbostyril, clusters of white needles, m. 226.5-7.5°. o-H2NC6H4Ph (33.8 g.) in 300 cc. dry refluxing xylene treated with 1 cc. pyridine and 41.6 g. AcCH2CO2Et while removing the volatile material which boiled below 80°, after 1 h. 220 cc. liquid distilled off during 0.5 h., the residual solution refrigerated, and the pale straw-colored deposit (44.7 g.) washed with cold petr. ether and recrystd. from 50% aqueous EtOH gave 42.1 g. o-PhC6H4NHCOCH2Ac (II), white needles, m. 83.5-85°. II (1.27 g.), 1.3 g. P2O5, and 25 cc. xylene refluxed 1 h., the mixture cooled, diluted with H2O, neutralized with KOH, and steam distilled, the residual mixture refrigerated and filtered, and the orange precipitate leached with three 5-cc. portions Me2CO and recrystd. twice from aqueous EtOH with C gave 0.100 g. 8-phenyl-4-methylcarbostyril, colorless needles, m. 224.5-25°. Similarly were prepared the following 3-substituted-4-methyl-carbostyrils (3-substituent, m.p., and % yield given): Ph-(CH2)2, 211-11.5°, 25; p-O2NC6H4CH2, 294-6°, 81; iso-Pr, 244-5°, 77; Am, 163-4.5° (with emollescence), 68; C6H13, 154-4.5° (from aqueous MeOH), 82; C7H15, 161.5-3.5°, 89; 3-ethyl-4,8-dimethylcarbostyril, 192.5-93° (with emollescence), 63; 8-chloro-3,4-dimethylcarbostyril, 208-9°, 80; 3,4,6-trimethylcarbostyril,

L4 ANSWER 54 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
277-7.5°, 91; 3,4,8-trimethylcarbostyril, 216.5-17.5° (from C6H6), 71; 8-Me deriv. of I, 226.5-7.5°, 91.
IT 73389-26-1, Carbostyril, 4-methyl-8-phenyl-
(preparation of)
RN 73389-26-1 CAPLUS
CN 2(1H)-Quinolinone, 4-methyl-8-phenyl- (9CI) (CA INDEX NAME)



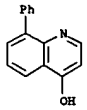
L4 ANSWER 55 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1953:3338 CAPLUS
DOCUMENT NUMBER: 47:3338
ORIGINAL REFERENCE NO.: 47:580g-i,581a-d
TITLE: Phenylquinolines
AUTHOR(S): Kaslow, C. E.; Hayek, Mason
CORPORATE SOURCE: Indiana Univ., Bloomington
SOURCE: Journal of the American Chemical Society (1951), 73, 4986-7
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 47:3338
AB cf. C.A. 40,3452.9. p-H2NC6H4Ph (I) (67.3 g.) in 200 cc. MeOH, treated at room temperature with 46.6 cc. Ac2O, yielded 72 g. p-AcNHC6H4Ph (II), m. 171-2° (from MeOH). II (21.1 g.), 8.3 g. o-O2NC6H4OH, 6.5. g. H3BO3, and 37.5 g. anhydrous glycerol at 110° treated portionwise with 18 cc. concentrated H2SO4 (temperature kept at 125-30°), the solution held 2 h. at 130-3°, refluxed 5 h., cooled, treated with ice, neutralized with concentrated NaOH, filtered, the solid dissolved in 30 cc. EtOH and treated with C, and the filtrate diluted with water to turbidity yielded 8.6 g. 6-phenylquinoline (III). o-H2NC6H4Ph (IV) instead of the Ac derivative by the method used for III except for extraction with C6H6 and distillation in vacuo yielded 11.8 g. of the 8-compound, b13 198-200°. I (169.3 g.) and 131.5 g. MeCOCH2CO2Me in 375 cc. CHCl3 containing 4 drops dilute HCl refluxed until no more water collected, the product cooled, diluted with 200 cc. petr. ether, and filtered yielded 235.5 g. Me β-(p-biphenylylamino)-crotonate (V), white platelets from EtOH, m. 165-6.5°. V (32.3 g.) added in 1 portion to 130 cc. boiling Ph2O, the solution boiled until no more MeOH distilled, cooled to room temperature, diluted with 25-30 cc. petr. ether, and filtered yielded 23.5 g. 6-phenyl-4-hydroxyquinaldine, m. 315-16° (from iso-PrOH). MeCOCH2CO2Me and IV condensed in CHCl3 by the method used for V and the crude Me β-(o-biphenylylamino)crotonate heated in an oil bath at 180-90°/13 mm. and the product cooled yielded 106 g. 8-phenyl-4-hydroxyquinaldine (VI), m. 207-9° (from dilute EtOH and from C6H6). I and diketene in C6H6 yielded 85% p-phenylacetoacetanilide (VII), m. 147-8.5°. VII (18 g.) heated 2 min. in 150 cc. mineral oil at 275°, the mixture cooled, diluted with 100 cc. petr. ether, and filtered yielded 11.7 g. 6-phenyl-4-methylcarbostyril, m. 213-14.5°. I.HCl (41 g.) and 43.5 g. Et sodioethoxalylacetate in 250 cc. absolute EtOH, stirred 40 h. at room temperature with 60 g. anhydrous Na2SO4, the solid filtered off and dissolved in Et2O, the Et2O solution shaken with 125 cc. 10% HCl, then with 2% NaHCO3, and the Et2O evaporated yielded 57 g. oil, Et β-carbethoxy-β-(p-biphenylamino)crotonate (VIII). VIII (5 g.) added in 1 portion to 40 cc. boiling Ph2O, the solution allowed to cool after 5 min. and filtered, and the process repeated with the remainder of VIII in 5-g. portions yielded 37 g. 6-phenyl-4-hydroxy-2-carbethoxyquinoline (IX), light yellow crystals, m. 226-7°. IX (10 g.) refluxed 1 h. with 75 cc. 10% NaOH, the product filtered, the filtrate diluted with 400 cc. water and acidified H3PO4 yielded 8.5 g. 6-phenyl-4-hydroxy-2-quinolinecarboxylic acid, m. 261-1.5° (decomposition). IX (7.5 g.) added portionwise to 65 cc. boiling Ph2O during 5-10 min., the mixture heated 5-10 min., and cooled yielded 3.9 g.

L4 ANSWER 55 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
6-phenyl-4-hydroxyquinoline (X), light gray needles from EtOH, m. 279-81°. IV (0.2 mol) and Et sodiooxaloacetate by the method used for IX yielded 29 g. 8-phenyl-4-hydroxy-2-carbethoxyquinoline (XI), m. 154.5-56°. I (8 g.) on sapon. yielded 5.2 g. of the acid, m. 236-8° (decompn.). XI (4.8 g.) on decarboxylation yielded 2.4 g. 8-phenyl-4-hydroxyquinoline, m. 203.5-204° (from aq. EtOH).
IT 92724-47-5, 4-Quinolinol, 8-phenyl-
(preparation of)
RN 92724-47-5 CAPLUS
CN 4-Quinolinol, 8-phenyl- (7CI) (CA INDEX NAME)



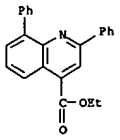
L4 ANSWER 56 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1950:35844 CAPLUS
DOCUMENT NUMBER: 44:35844
ORIGINAL REFERENCE NO.: 44:6861b-i,6862a-b
TITLE: Some meso-aminoacridines and -quinolines derived from 2-aminodiphenyl
AUTHOR(S): Wilkinson, J. H.
CORPORATE SOURCE: Univ. London
SOURCE: Journal of the Chemical Society, Abstracts (1950) 464-8
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB 2,4-Cl2C6H3CO2H (9.55 g.) and 7 g. K2CO3 in 40 cc. AmOH, treated with 8.45 g. 2-PhC6H4NH2 and 0.1 g. Cu, refluxed 6 h., give 51% 5'-chloro-2'-phenyldiphenylamine-2-carboxylic acid (I), pale yellow, m. 203°; 2 g. I and 5 cc. POCl3, refluxed 1 h. and the residue in 30 cc. CHCl3 stirred 30 min. with 30 cc. NH4OH (d. 0.88) (cooled with ice), give 2 g. 3,9-dichloro-5-phenylacridine (II) (C.A. numbering), bright yellow, m. 164°. II (5 g.) in 15 g. PhOH at 80°, treated with 1.5 g. (NH4)2CO3, heated 1 h. at 140°, and the cooled melt treated with Me2CO, gives 71%, of the HCl salt, with 3 mols. H2O, bright yellow, m. above 300°, of 3-chloro-9-amino-5-phenylacridine, yellow, m. 189°; Ac derivative (III), pale yellow, m. 309°. III (0.7 g.) and 0.7 cc. Me2SO4 in 7 cc. PhNO2, heated 1 h. at 140-50°, and the residue heated 1 h. on the water bath with 5 cc. 48% HBr, give 74% 3-chloro-9-amino-5-phenyl-10-methylacridinium bromide, bright yellow, m. above 300°. o-ClC6H4CO2H (1.56 g.), 1.4 g. K2CO3, 1.91 g. 4-O2NC6H4C6H4NH2-2, 0.1 g. Cu, and 8 cc. AmOH, refluxed 6 h., give 81% 2'-(p-nitrophenyl)diphenylamine-2-carboxylic acid (IV), bright orange-yellow, m. 243°. IV (0.7 g.) with 2 cc. POCl3 gives 0.45 g. 4-(p-nitrophenyl)-9(10H)acridone (V), pale yellow, m. 262-3°, and 0.25 g. 9-chloro-4-(p-nitrophenyl)acridine, which is very susceptible to hydrolysis during isolation and was therefore transformed into 9-amino-4-(p-nitrophenyl)acridine (90 mg.), red, m. 277-8°. Reduction of V with SnCl2 and HCl (2 h. on the water bath) gives 4-(p-aminophenyl)-9(10H)acridone (VI), orange, m. above 300°. V did not react with PCl5 in xylene or with POCl3. IV, reduced with SnCl2 in HCl and EtOH (refluxed 3 h.), gives 2'-(p-aminophenyl)diphenylamino-2-carboxylic acid (VII), buff, m. 188-9°; neither VI nor VII reacts satisfactorily with POCl3. 9-Chloroacridine (10.67 g.) in 30 g. PhOH at 70°, treated with 6.1 g. PhCH2CH2NH2 and heated 1 h. at 120°, gives 70% of the HCl salt, lemon-yellow, m. 214-15°, of 9-(phenethylamino)acridine, bright yellow, m. 79-80° (Ac derivative, m. 230°). 2-PhC6H4NH2 (16.9 g.) and 21.6 g. EtOCH:C(CO2Et)2 in 70 cc. Dowtherm, gradually heated to 270°, give 80% of the Et ester, m. 249°, of 4-hydroxy-8-phenyl-3-quinolinecarboxylic acid (VIII), m. 241-2°; 16.2 g. VIII, added (15 min.) to 80 cc. Dowtherm at 250°, gives 13.5 g. 4-hydroxy-8-phenylquinoline (IX), m. 201°; 2.2 g. IX and 6 cc. POCl3, refluxed 2 h., give 95% 4-chloro-8-phenylquinoline (X), m. 93°; 2 g. X in 6 g. PhOH at 70°, treated with 1 g. (NH4)2CO3 and the temperature raised (2 h.) to 180°, gives 1.1 g. 4-amino-8-phenylquinoline, m. 166° [Ac derivative (XI), m. 206-7°]. XI (1 g.) and 1 g. p-MeC6H4SO3Me, heated 30 min. at 160°, give 4-acetamido-8-phenyl-1-methylquinolinium p-toluenesulfonate (XII), m. 254-5°; XII is not hydrolyzed by heating 2 h. at 90° with 2 N H2SO4 or 2 N HBr; refluxed 2 h. with 4

L4 ANSWER 56 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
N HBr, XII gives a compd. m. 155-6°, which may be 4-hydroxy-2-keto-8-phenyl-1-methyl-1,2-dihydroquinoline or its tautomer. 4-O2NC6H4C6H4NH2-2 yields 82% Et 4-hydroxy-8-(p-nitrophenyl)-3-quinolinecarboxylate, pale yellow, m. 285°; hydrolysis and decarboxylation give 95% 4-hydroxy-8-(p-nitrophenyl) quinoline, yellow, m. above 300°; 4-Cl analog, pale yellow, m. 224°, 100%; 4-NH2 analog, lemon-yellow, m. 224-5°, 65% [Ac deriv. (XIII), pale yellow, m. 242°]. XIII (1.9 g.) in 7 cc. PhNO2, heated 1 h. at 150° with 2 cc. Me2SO4 and the product hydrolyzed with 48% HBr (1 h. on the steam bath), gives 85% 4-amino-8-(p-nitrophenyl)-1-methylquinolinium bromide (XIV), pale yellow, m. 279-81° (decompn.). XIV (1.5 g.) in 8 cc. 4 N HCl, refluxed 3 h. with 3 g. SnCl2, gives 94% 4,4'-diamino-8-phenyl-1-methylquinolinium bromide-HBr, with 3 mols. H2O, buff, m. above 300°. 4,2-O2N(H2N) C6H3C6H4NO2-4 and EtOCH:C(CO2Et)2 heated with Dowtherm 4 h. at 250° yields 56% Et (4',5-dinitro-2-phenylanilinomethylene)malonate, bright yellow, m. 188°; this could not be cyclized.
IT 92724-47-5, 4-Quinolinol, 8-phenyl-
(preparation of)
RN 92724-47-5 CAPLUS
CN 4-Quinolinol, 8-phenyl- (7CI) (CA INDEX NAME)



L4 ANSWER 57 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1947:9869 CAPLUS
DOCUMENT NUMBER: 41:9869
ORIGINAL REFERENCE NO.: 41:2053b-h
TITLE: Potential antimalarials. Additional (2-phenyl-4-quinolyl)-α-piperidylcarbinols
AUTHOR(S): Buchman, E. R.; Howton, D. R.
CORPORATE SOURCE: California Inst. Technol., Pasadena
SOURCE: J. Am. Soc. (1946), 68, 2718-21
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. preceding and following abstrs. o-H2NC6H4Ph (I) yields 2,8-diphenylcinchoninic acid, m. 243-3.6° (m. ps. corrected); Et ester (II) m. 104.6-5.2° (22.6% on basis of I). Et 6-methyl-2-phenylcinchoninate (III) (116.8 g.), 105.8 g. EtO2C(CH2)5NHBz, the NaNH2 from 11.4 g. Na, and 240 ml. C6H6, heated 24 hrs., the product hydrolyzed by refluxing 54 hrs. with 300 ml. H2O and 210 ml. concentrated H2SO4, the base extracted with CHCl3, and the residue cautiously added to 150.5 g. 48% HBr, give 48.3% (about 100% on basis of recovered III) 5-(6-methyl-2-phenylcinchoninoyl)amylamine-2HBr (IV), yellow, m. 244-5° (decomposition). IV (77.3 g.) in hot 18% HBr, treated rapidly with 24.9 g. Br in an equal volume 48% HBr and the crude product dispersed in 400 ml. boiling EtOH and treated with 57 ml. H2O, gives 67.7% of the 5-Br derivative (V) of IV, light yellow, m. 187.7-8.1°. Cyclization of V and reduction for 2 hrs. give 21.4% (based on III or 44.4% on ester reacted) α-(6-methyl-2-phenyl-4-quinolyl)(2-piperidyl)carbinol (VI) (SN 9875), m. 182.5 2.9°; di-HCl salt, with 1 mol. H2O, m. 233.6°; recrystn. of this salt from 6 N HCl gives a product m. about 244° (decomposition); another hydrate (?) m. 208°; the free base, crystals with MeCN, m. 109-14° (decomposition) and then 182°. Et 8-methyl-2-phenylcinchoninate (116.8 g.), condensed with EtO2C(CH2)5NHBz (20 hrs.), the product hydrolyzed 43 hrs., the dark brown viscous oil (98 g.) treated with 40 g. 48% HBr and diluted with 100 ml. Me2CO, gives 14.7 g. of the 8-Me isomer of IV, with 2 mols. H2O, light yellow, m. 136-7°; dilution of the mother liquor with ether gives 49.9 g. crude mono-HBr salt (VII), m. 178-9°. VII (38.4 g.) in 93 ml. hot 48% HBr and 14.9 g. Br in 15 ml. 48% HBr, diluted with 200 ml. iso-PrOH, give 51.5 g. of (possibly) the di-HBr salt which, crystallized from iso-PrOH-H2O, gives the 5-Br derivative (VIII) of VII, yellow, m. 175.8-6.0° (decomposition). VIII (56.1 g.) gives 26.6 g. of the 8-Me isomer (SN 12,238) of VI, m. 187.8-8.3°; mono-HCl salt m. 247° (decomposition) (24.7% from the ester or 44.1% on the basis of the recovered acid). II (145.7 g.), condensed with HO2C(CH2)5NHBz (40 hrs.), hydrolyzed 17 hrs., and the basified hydrolyzate extracted with CHCl3-C6H6, gives 143.4 g. viscous oil; treatment with 62% 48% HBr and 100 ml. iso-PrOH gives 43% 5-(2,8-diphenylcinchoninoyl)amylamine-HBr and -di-HBr (IX); crystallization from AcOH gives the mono-HBr salt, m. 270.6-1.1°; dilution of the mother liquor with Me2CO gives the di-HBr salt, m. 224-6°. IX (81.4 g.) in 172 ml. hot 48% HBr, treated with 27.4 g. Br in 27 ml. 48% HBr and the product diluted with 250 ml. hot EtOH, gives 84.7 g. (may contain some di-HBr salt) of the 5-Br derivative as the HBr salt (with 1.5 mols. H2O), m. 177.1-7.4° (decomposition); this yields 20% (2,8-diphenyl-4-quinolyl)(2-piperidyl)carbinol (SN 12,239), m. 195.8-6.2°; HCl salt m. 242-3° (decomposition).
IT 854860-79-0, Cinchoninic acid, 2,8-diphenyl-, ethyl ester
(preparation of)
RN 854860-79-0 CAPLUS
CN Cinchoninic acid, 2,8-diphenyl-, ethyl ester (5CI) (CA INDEX NAME)

L4 ANSWER 57 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 58 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1947:754 CAPLUS
DOCUMENT NUMBER: 41:754
ORIGINAL REFERENCE NO.: 41:123a-i,124a-i,125a-i,126a-i,127a-i,128a
TITLE: Antimalarials. α-Alkyl and dialkylaminomethyl-2-phenyl-4-quinolinemethanols
AUTHOR(S): Lutz, Robert E.; Bailey, Philip S.; Clark, Marion T.; Codington, John F.; Deinet, Adolph J.; Freek, James A.; Harnest, Grant H.; Leake, Norman H.; Martin, Tellis A.; Rowlett, Russell J., Jr.; Salsbury, Jason M.; Shearer, Newton H., Jr.; Smith, J. Doyle; Wilson, James H., III
CORPORATE SOURCE: Univ. of Virginia, Charlottesville
SOURCE: Journal of the American Chemical Society (1946), 68, 1813-31
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 41:754

AB This work stemmed from the discovery of the high anti-malarial activity of many of the α-piperidyl-2-phenyl-4-quinolinemethanols. The general synthetic method used starts with the appropriate cinchophen and involves reaction of the acid chloride with CH2N2 to give the diazomethyl ketone, formation of the α-bromomethyl ketone, reduction to the bromohydrin, and condensation with the appropriate amine. Cinchophens were prepared by the Pfitzinger reaction (cf. Lindwall, et al., C.A. 25, 960), using an excess of 30-3% KOH with sufficient EtOH to insure solution of the isatin alkali salt; an excess (2-18 mol-%) of PhAc was employed; the process gives good yields but the isatins are sometimes difficult to isolate (certain general directions are given). The Doebner-Miller process (cf. John, C.A. 25, 4884) gives uniformly low yields but is preferred when the materials are readily available. For best yields, freshly distilled AcCO2H is necessary; if AcCO2H is added to p-ClC6H4CHO and the solution refluxed before the addition of m-ClC6H4NH2, the yield of cinchophen is less than 1%. The yield of acid is not significantly increased by prolonging the time of the preliminary refluxing of p-ClC6H4CHO and m-ClC6H4NH2 from 10 min. to 1 h. Maximum yields were obtained by the following method: 421.8 g. of p-ClC6H4CHO in 1.2 l. warm absolute EtOH is gently heated, treated with 382.8 g. of m-ClC6H4NH2, the mixture refluxed 10 min., treated during 1 h. with 264.3 g. AcCHO in 265 mL. absolute EtOH, the mixt refluxed and stirred for an addnl. 6 h., cooled to room temperature, and stirred overnight, giving a mixture of 4',7-dichlorocinchophen (I), m. 281-2.5° (m.ps. corrected), and 1-(3-chlorophenyl)-5-(4-chlorophenyl)-3-(3-chlorophenylimino)-2-ketopyrrolidine (II) (SN 13,572), m. 206-8°. I was extracted from the dry powdered mixture by digestion with 160 g. Na2CO3.H2O in 2.2 l. H2O at 95-8° for 30 min. and with a 2nd portion of 32 g. in 240 mL. H2O; the Na salt of I may be purified before liberation of the acid by addition of AcOH to the hot aqueous solution The yield of I is 29% and of II 42%. 1-(4-Chlorophenyl)-3-(4-chlorophenylimino)-5-phenyl-2-ketopyrrolidine (SN 13,523), m. 202-3°, 42%; 1 - (2,5 -dichlorophenyl)-3-(2,5-dichlorophenylimino)-5-phenyl-2-ketopyrrolidine, m. 177-9°, 31%; 1-(3-chlorophenyl)-3-(3-chlorophenylimino)-5-(4-methoxyphenyl)-2-ketopyrrolidine (SN 13,586), m. 178-9°, 21%; 1-(3-chloro-4-

L4 ANSWER 58 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

methoxyphenyl)-3-(3-chloro-4-methoxyphenylimino)-5-phenyl-2-ketopyrrolidine, m. 191-2°, 4.5%; 5-(4-chlorophenyl) analog, m. 204.5-6.5°, 17%; 1-(3-chlorophenyl)-5-(4-fluorophenyl)-2,3-diketopyrrolidine, m. 195-8, 10%. Cinchophens: 7-Me (as amide, prepd. from the acid chloride and 15% NH4OH for 12 h., m. 237-8°); 4'-chloro-6-methoxy, m. 269-72°, 33%; 4'-chloro-6,8-dimethyl, m. 262-3°, 35% (Na salt, with 1 mol. H2O); 4'-chloro-7-Me, m. 254-5°, 50% (Me ester, m. 136-9°); 4'-chloro-8-Me, m. 246-8° 26%; 4'-chloro-8-Ph, m. 273-4°, 19%; 5-Cl, m. 232-4°, 16% (Et ester, m. 62-3; Me ester, m. 112-13°; amide, prepd. by heating the acid chloride in 28% NH4OH for 0.5 h., m. 232°); 7-Cl, as amide (prepd. from the acid chloride and 28% NH4OH for 5 days), m. 260-1°; 7-chloro-4'-methoxy, m. 222-5°, 46% (Na salt, with 1 mol. H2O); 7-chloro-6-methoxy, m. 267-72°, 20% (Me ester, m. 192-3°); 7-chloro-8-Me, m. 278-9°, 24%; 3',4'-di-Cl, m. 255-6° (decompn.), 82%; 4'5-di-Cl, m. 260° (decompn.), 71%; 4',5-dichloro-2'-Me, m. 243°, 76%; 4',6-di-Cl, m. 273-5°; Et ester of I, m. 130-1°, 94%; 4',7-dichloro-6-methoxy, m. 284-6°, 22% (Et ester, m. 146-8°); 4',7-dichloro-8-Me, m. 200-1°, 22% (Me ester, m. 164-5°); 4',8-di-Cl, m. 265-7°, 51%; 5,8-di-Cl, m. 215-16°, 65% (Me ester, m. 97-9°; Et ester, m. 104-5°, 96%; the acid chloride can be recrystd. from abs. EtOH but yields the esters on heating with MeOH or EtOH for 24 h.); 6,8-di-Cl, m. 250-2°, 91% (Me ester, m. 143-4°, 90%); 7-chloro-4'-fluoro, m. 281-5°, 24% (Et ester, m. 121-4°); 4',5',7-trichloro-2'-Me, m. 292-4°, 45%; 4',6,8-tri-Cl, m. 276-9° (decompn.), 87%; 3',4',6,8-tetra-Cl, m. 295-6° (decompn.), 53%. 2-Phenylcinchoninyl chlorides (III) were prepd. by refluxing with an excess of SOCl2 (time given) and the excess SOCl2 removed by distn. and evapn. with C6H6 or petr. ether; in some cases they were isolated as the HCl salts; the 8-substituted derivs. did not form stable HCl salts; in general, the acid chlorides reacted with alcs. to form esters; however, the 5-Cl compds. showed characteristic steric hindrance effects of a high order; the ease of alcoholysis of the acid chloride has been used to distinguish between 5- and 7-substituted cinchophens and thus establish the mode of ring closure in the Doebner-Miller synthesis. In some cases anal. samples were not prepd. (denoted by na following the name). Derivs. of III: 7-Me (HCl salt), 1 h., m. 155-6°; 8-Me (na), 1 h., 94-6°, 56%; 8-Ph, 2.5 h., m. 174-6°, 98%; 4'-Cl, 4 h., m. 130-2°, 85%; 4'-chloro-6-methoxy (HCl salt), 1.5 h., m. 166-9°, 92%; 4'-chloro-6,8-dimethyl, 3 h., m. 169-71°, 96%; 4'-chloro-8-methoxy (HCl salt), 3 h., m. 179-81°; 4'-chloro-8-methoxy (na), 6 h., m. 143-60°, 95%; 4'-chloro-8-Ph, 4.5 h., m. 198-200°, 99%; 5-Cl, 5 h., m. 118-20°; 6-Cl (na), 4 h., m. 76-8°, 75%; 7-Cl (HCl salt) (na), 1 h., m. 128-30; 7-chloro-4'-methoxy (na), 4 h., m. 164-7°, 72%; 7-chloro-6-methoxy (HCl salt), 2.5 h., m. 194-8°, 92%; 7-chloro-8-Me, 3 h., m. 145-9°, 97%; 8-Cl (na), 2 h., m. 116-20°, 100%; 3',4'-di-Cl, 4 h., m. 142-4%, 98%; 4',6-di-Cl (na), 2 h., 93%; 4',7-di-Cl, 0.75 h., m. 159-61°, 96%; 4',7-dichloro-6-methoxy, 16 h., m. 243-5°, 81%; 4',7-dichloro-8-Me, 3 h., m. 148-50°, 99%; 4',8-di-Cl, 6 h., m. 161-2°, 97%; 5,8-di-Cl, 20 h., m. 140-1°, 86%; 6,8-di-Cl, 5 h., m. 141-2°, 96%; 7-chloro-4'-fluoro (na), 1 h., m. 178-98°, 96%; 4',5',7-trichloro-2-Me, 7 h., m. 151-3; 4',6,8-tri-Cl (na), 1 h., m. 167-70°; 3',4',6,8-tetra-Cl, 17 h., m. 197-8°, 99%. Diazomethyl 2-phenyl-4-quinolyl ketones (IV) were prepd. from the acid chlorides and CH2N2 in ether or CH2Cl2 (method of prepg. this soln. described). In some cases the CH2Cl2 soln. could not be used because of the deleterious effect on the yields and quality of the product. The 5-Cl

L4 ANSWER 58 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

and 5,8-di-Cl derivs. reacted slowly and, when the reaction was prolonged, a mixt. resulted with a high N content. In a brief discussion of the structure of diazomethyl ketones, it is stated that several typical compds. were attacked only very slowly by (iso-PrO)3Al under conditions which caused complete redn. of the bromomethyl ketones to the bromohydrins. Derivs. of IV (practically all m. with decompn.): 6-MeO, bright yellow, m. 149-50°; 7-Me, m. 152-3°; 8-Ph, m. 165°; 4'-Cl, m. 123-5°; 4'-chloro-6-methoxy, m. 151-7°; 4'-chloro-6,8-dimethyl, brilliant yellow, m. 162-5°, 82%; 4'-chloro-7-Me, m. 163-5°; 4'-chloro-8-Ph, m. 160°; 6-Cl, m. 128-30°; 7-Cl, m. 141-2°; 7-chloro-4'-methoxy, m. 169-70°; 7-chloro-6-methoxy, m. 157-9°; 3',4'-di-Cl, m. 145°; 4',6-di-Cl, m. 172-3°; 4',7-di-Cl, m. 173°; 4',7-dichloro-6-methoxy, m. 165-7°; 4',7-dichloro-8-Me, m. 160-2°; 5,8-di-Cl, m. 155°; 7-chloro-4'-fluoro, m. 124-6°; 4',5',7-trichloro-2'-Me, m. 179-80°; 4',6,8-tri-Cl, m. 150-4°; 3',4',-6,8-tetra-Cl, m. 179-80°. Bromomethyl 2-phenyl-4-quinolyl ketones (V) were prepd. by the action of 48% HBr in ether or AcOH at room temp., except in the case of the 4'-Cl deriv., where it was necessary to heat to 90°; typical examples are given. Derivs. of V: 6-MeO, m. 120-1° (HBr salt, m. 205-6° (decompn.); yields based on original acid); 7-Me (HBr salt), m. 204-5°, 61%; 8-Me, m. 101-2°, 44%; 8-Ph, m. 133-4° (decompn.), 70%; 4'-Cl, cream, m. 121-2° (HBr salt, m. 259-61°, 70%); the corresponding chloromethyl ketone, m. 117-19° (HCl salt, m. 212-14°, 85%; these were prepd. with concd. HCl); 4'-chloro-6-methoxy (HBr salt), m. 233-5° (decompn.), 83%; 4'-chloro-6,8-dimethyl, m. 147-8°, 50%; 4'-chloro-7-Me (HBr salt), m. 224-7° (decompn.), 46%; 4'-chloro-8-Me, m. 108-9°, 56%; 4'-chloro-8-Ph, m. 156-8°, 70%; 5-Cl, m. 130-2° (HBr salt, m. 220-6, 37%); 6-Cl, m. 140-1°, 31% (HBr salt, m. 210-12° (decompn.), 42%); 7-Cl, m. 106-7° (HBr salt, m. 210-13° (decompn.), 44%; 7-chloro-4'-methoxy (HBr salt), m. 233-7° (decompn.), 43%); 7-chloro-6-methoxy(HBr salt), m. 213-15° (decompn.), 56%; 7-chloro-8-Me, m. 128-9°, 63%; 8-Cl, m. 114-15°, 63%; 3',4'-di-Cl, m. 114-16°, 26% (HCl salt, m. 189-91° (decompn.)); 4',6-di-Cl, m. 170-1°, 67% (HBr salt, m. 250-3° (decompn.), 86%); 4',7-di-Cl (HBr salt), m. 238-40°, 63%; 4',7-dichloro-6-methoxy, m. 166-8° (HBr salt, m. 233-5° (decompn.), 76%); 4',7-dichloro-8-Me, m. 150-2°, 66%; 4',8-di-Cl, m. 144-7°, 55%; 5,8-di-Cl, m. 181-2°, 14%; 6,8-di-Cl, m. 154-5° (decompn.), 78%; 7-chloro-4'-fluoro, m. 121-4°, 69%; 4',5',7-trichloro-2'-Me, m. 138-9° (HBr salt, m. 214-15°, 72%); 4',6,8-tri-Cl, m. 195°, 57%; 3',4',6,8-tetra-Cl, m. 166-8°, 71%. The 6-MeO deriv. of V (5 g.) in 48 mL. of 4 N HCl contg. 6.7 g. SnCl2.2H2O, heated on the water bath for 5 h., gives 83.5% of 6-methoxy-2-phenyl-4-quinolyl Me ketone, yellow, m. 103-4°; this was prepd. also by the Claisen condensation route. 6-Chloro-2-phenyl-4-quinolyl Me ketone m. 89-90°; this was formed also by pyrolysis of the dihexylamino alc. (see below) at 165°; 7-Cl isomer, m. 104-5° (HBr salt, m. 235-7°). 6-Chloro-2-(4-chlorophenyl)-4-quinolyl Me ketone, m. 157-9°; the 6,8-di-Cl analog m. 157-9°. A mixt. of 60.9 g. MeONa, 400 mL. dry C6H6, 347 g. Me 6,8-dichloro-2-phenylcinchoninate, and 133 g. AcOEt, refluxed for 4 h., treated dropwise with 253 mL. concd. H2SO4 and 420 mL. H2O, a total of 500 mL. of solvent removed by distn., treated with 650 mL. dioxane, the mixt. refluxed 18 h., cooled to room temp., and poured into 700 g. NaOH in 4 l. H2O, gives 70% of 6,8-dichloro-2-phenyl-4-quinolyl Me ketone, m. 133-4°. Me 7-chloro-2-(4-chlorophenyl)-8-methylcinchoninate, treated as above, gives about 30% of Et

L4 ANSWER 58 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
7-chloro-2-(4-chlorophenyl)-8-methylcinchoninoylacetate, m. 133-5°, and 70% of the original 4',7-dichloro-8-methylcinchophen. Direct redn. of the diazomethyl ketone with SnCl2 in a 1:2:1 mixt. of concd. HCl, H2O, and EtOH gives 97% (crude yield) of 2-(4-chlorophenyl)-4-quinolyl Me ketone, m. 101-2° (HCl salt, m. 212-14°). 5-Chloro-2-phenyl-4-quinolyl Me ketone, m. 120-2°; 5,8-di-Cl analog, m. 143-4°. The diazomethyl ketone (1.3 g.) in 50 mL. ether, treated during 20 min. with 0.64 g. Br at room temp., gives 33% of dibromomethyl 2-(4-chlorophenyl)-4-quinolyl ketone, m. 121-3°; the 6,8-di-Cl deriv., m. 183-4°, was prepd. by bromination of 2-(p-chlorophenyl)-6,8-dichloro-4-quinolyl Me ketone with KBrO3. The V (with the exception of the 5-Cl types) were easily reduced to the bromohydrins by (iso-PrO)3Al on heating a few hrs.; overredn. did not often complicate the method; the V were usually reduced in the form of the HBr or HCl salts, although the free base may be used with equally good results. Details are given of 5 methods of prepn. The free bases were prepd. by suspending the salt in 10% Na2CO3 and extg. with ether. α-Bromomethyl-2-phenyl-4-quinolinemethanol (VI), m. 132-3°, 43% (HCl salt, m. 161-2°, 85%). Derivs. of VI: 6-MeO, m. 169-71°, 72% (HCl salt, m. 235-6° (decompn.), 84%); 7-Me (HCl salt), m. 129-30°, 49%; 8-Me, m. 69-81°, 87%; 8-Ph, m. 123-4°, 77%; 4'-Cl, m. 145-6° (HCl salt, m. 257-9° (decompn.), 97%); 4'-chloro-6-methoxy (HCl salt), m. 227-9° (decompn.), 85%; 4'-chloro-6,8-dimethyl, m. 179-80°, 79%; 4'-chloro-7-Me (HCl salt), m. 139-41° and 239-42°, 60%; 4'-chloro-8-Me, m. 149-50°, 71%; 4'-chloro-8-Ph, m. 142-3°, 99%; 6-Cl, m. 135-6° and then 242-5° (HCl salt, m. 168-70° and then 238-40° (decompn.)); 7-Cl (HCl salt), m. 170.5° and 228-38° (decompn.)); 7-chloro-4'-methoxy (HCl salt), m. 188-90°, 64%; 7-chloro-6-methoxy (HCl salt), m. 222-3° (decompn.), 84%; 7-chloro-8-Me, m. 152-3°, 78%; 8-Cl, m. 140-1°, 76%; 3',4'-di-Cl, m. 149-50°, 85%; 4',6-di-Cl, m. 139-40° and then m. 255-60°, 50% (HCl salt, m. 248-52° (decompn.), 97%)); 4',7-di-Cl (HCl salt, m. 270-1°, 82%); 4',7-dichloro-8-Me, m. 152-4°, 81%; 4',7-dichloro-6-methoxy, m. 196-7° and then 224-7° (HCl salt, m. 225-6° (decompn.), 83%); 4',8-di-Cl, m. 154-5° (decompn.), 99%; 6,8-di-Cl, m. 130-1°, 99%; 4',6,8-tri-Cl, m. 130-1° and then 183°, 97%; 3',4',6,8-tetra-Cl, m. 129-30° (decompn.), 90%. α-Chloromethyl-2-(4-fluorophenyl)-7-chloro-4-quinolinemethanol-HCl, m. 126-35° and then 205-10° (decompn.), 83% 7-Chloro-2-(4-chlorophenyl)-8-methyl-4-quinolylethylene oxide, light yellow, m. 165-6°, results in 73.6% yield by addn. of 8 g. of KOH in 30 mL. KOH to 41.1 g. of the α-BrCH2 deriv. in 700 mL. abs. EtOH. 7-Chloro-2-(4-chlorophenyl)-4-quinolylethylene oxide, m. 143-4°; 8-Cl isomer, m. 111-12°; 6,8-dichloro-2-(3,4-dichlorophenyl)-4-quinolylethylene oxide, m. 218-19°; 4-chlorophenyl analog, m. 195-6° 6-chloro-2-phenyl-4-quinolylethylene oxide, orange, m. 119°, 64%. The following amino alcs. were prepd. by direct condensation of the appropriate amine with the bromohydrin, the chlorohydrin, or the oxide. The condensation temps. varied between 25° and 140° and the time from 3 to 47 h. Troublesome side reactions were encountered at temps. much above 100°. The best yields were usually realized by employing a temp. in the range of 70-95° and a time of 10-20 h. At temps. considerably below 75°, the reactions were frequently incomplete and in several

L4 ANSWER 58 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
instances the intermediate oxides were the chief products. In those cases where amines of high mol. wt. were employed, the amino alc. and the excess of amine were sepd. by fractional pptn. with standardized ether-HCl from ether-Me2CO. Details are given of the prepn. of a few typical compds. α-Alkyl-aminomethyl-2-phenyl-4-quinolinemethanols (VI): di-Et 2HCl(SN 10,508) (4 h. at 140°), m. 175-8°, 88%; di-Bu 2HCl (SN 10,509) (8 h. at 140°), m. 70°, 88%; di-Am 2HCl (SN 10,510) (8 h. at 140°), m. 138-9°, 75%; dihexyl HCl (SN 11,394) (15 h. at 78°), m. 120-2°, 63%; dioctyl HCl (SN 10,511) (11 h. at 70°), m. 116-18°, 50%; didecyl HCl (18 h. at 70°), m. 113-14°, 15%. 6-MeO derivs. of VI: di-Et 2HCl (SN 10,524) (6 h. at 141°), m. 192-4°, 56%; di-Bu 2HCl (SN 10,525) (3 h. at 130°), m. 203-12° (decompn.), 61% (the free base m. 66-8°)); di-Am HCl (SN 10,526) (10 h. at 135°), m. 176-7°, 44%; dihexyl 2HCl (SN 11,395) (12 h. at 125°), m. 163-5°, 48%; monooctyl HCl (SN 12,213) (3 h. at 130°), m. 189-93°, 58%; dioctyl 2HCl (SN-10,527) (3 h. at 130°), m. 165-71°, 52%; didecyl HCl (SN 15,029) (13 h. at 90°), m. 118-19°, 49%. 7-Me derivs. of VI: dihexyl 2HCl (SN 13,585) (20 h. at 70°), m. 138-40°, 42%; dioctyl 2HCl (SN 13,524) (18 h. at 68°), m. 111-13°, 28%. 8-Me deriv. of VI: dioctyl HCl (SN 13,373) (8 h. at 80°), m. 128-30° 56%. 8-Ph derivs. of VI: di-Bu HCl (SN 13,631) (19 h. at 80°), m. 154-5°, 36%; dioctyl HCl (SN 13,409) (11 h. at 80°), m. 149-50°, 45%. 4'-Cl derivs. of VI: mono-Et HCl (47 h. at 25°), m. 189-91° (decompn.), 34%; di-Et 2HCl (SN 14,687) (21 h. at 55°), m. 152-4°, 35%; di-Bu 2HCl (SN 13,841) (14 h. at 84°), m. 184-6° (decompn.), 31%; dihexyl HCl (SN 13,648)(15 h. at 83°), m. 145-7°, 36%; dioctyl HCl (SN 13,030)(16 h. at 90°), m. 135-7°, 44%; 4-methyl-1tpiperidyl (SN 14,726)(20 h. at 100°), m. 143-5° (HCl salt, m. 170-2° (decompn.), 53%).
4'-Chloro-6-methoxy derivs. of VI: di-Et (31 h. at 55°), m. 117-18°, 42%; di-Bu HCl (SN 14,285) (20 h. at 70°), m. 195-8°, 28%; dihexyl HCl (19 h. at 70°), m. 168-9°, 24%; dioctyl HCl (SN 13,031)(13 h. at 80°), m. 156-7°, 50%. 4-Chloro-6,8-dimethyl derivs. of VI: di-Et HCl (SN 14,994) (12 h. at 55°), m. 209-10°, 28%; di-Bu (SN 14,270)(17 h. at 76°), m. 106-7°, 67% (HCl salt, m. 202-4°, 64%); dihexyl HCl (SN 15,031) (15 h. at 75°), m. 189-90°, 62%. 4'-Chloro-7-Me deriv. of VI: di-Bu HCl (18 h. at 70°), m. 175-6°, 20%; dihexyl HCl (SN 13,630)(24 h. at 72°), m. 161-2°, 24%. 4'-Chloro-8-Me derivs. of VI: di-Bu HCl (SN 13,721)(8 h. at 90°), m. 193-5°, 85% (crude yield); dihexyl HCl (SN 13,649)(15 h. at 90°), m. 147-9°, 66%. 4'-Chloro-8-Ph derivs. of VI: di-Et (25 h. at 75°), m. 126-7°, 45%; di-Bu HCl (SN 13,601)(23 h. at 70°), m. 171-2°, 44%. 6-Cl derivs. of VI: di-Bu HCl (SN 15,209)(10 h. at 70°), m. 166°, 22%; dihexyl HCl (SN 12,714)(10 h. at 70°), m. 160-1°, 43%. 7-Cl. derivs. of VI: di-Et (15 h. at 55°), m. 101-2° (HCl salt, m. 178-9° (decompn.), 46%); di-Bu 2HCl (SN 10,521)(10 h. at 108°), m. 108-10°, 62% (crude yields); (mono-HCl salt, m. 181-3°); di-Am 2HCl (SN 10,522)(3 h. at 130°), m. 114-15°, 49%; dihexyl 2HCl (SN 11,441)(8 h. at 108°), m. 117°, 67%; monooctyl (SN 13,283)(18 h. at 68°), m. 100°, 25%; dioctyl 2HCl (SN 10,523)(18 h. at 68°), m.

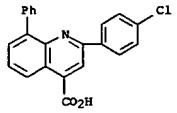
L4 ANSWER 58 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
140°, 35%; didecyl HCl (SN 12,672)(18 h. at 68°), m. 167°, 42%. 7-Chloro-4'-methoxy derivs. of VI: di-Et HCl (21 h. at 80°), m. 186-9°, 30% (crude); di-Bu HCl (12 h. at 75°), m. 189-93° (decompn.), 58% (crude); dihexyl HCl (12 h. at 75°), m. 166-9°, 60% (crude); dioctyl HCl (SN 13,027) (12 h. at 75°), m. 148-50°, 20%. 7-Chloro-8-Me derivs. of VI: di-Bu HCl (SN 13,711)(16 h. at 70°), m. 189-91°, 58%; dihexyl HCl (SN 13,602) (15 h. at 70°), m. 156-60°, 70%. 8-Cl derivs. of VI: di-Et (SN 15,028)(25 h. at 75°), m. 155-6° (HCl salt, m. 210-12°, 58%); di-Bu HCl (SN 13,633)(12 h. at 100°), m. 173-4°, 75%; dihexyl HCl (SN 12,713)(25 h. at 99°), m. 173-5°, 60%; dioctyl HCl (SN 13,085)(15 h. at 98°), m. 117-19°, 49%. 3',4'-Di-Cl deriv. of VI: di-Bu HCl (17 h. at 82°), m. 170-1°, 32%. 4',6-Di-Cl derivs. of VI: di-Et HCl (SN 14,995)(10 h. at 70°), m. 181°, 23% (free base, m. 103-4°); di-Bu HCl (SN 14,273)(10 h. at 70°), m. 184-6°, 50% (free base, m. 83-4°); dihexyl HCl (SN 14,934) (10 h. at 70°), m. 174-6°, 41%. 4',7-Di-Cl derivs. of VI: di-Et (21 h. at 55°), m. 105-6°, 23% (HCl salt, m. 171-2° (decompn.)); 1-piperidyl (SN 14,996) (11 h. at 72°), m. 142-8° (HCl salt, m. 199-200° (decompn.), 35%); 4-methyl-1-piperidyl 2HCl (SN 15,060) (36 h. at 62°), m. 171-3° (decompn.), 25%. 4',7-Di-Cl derivs. of VI: di-Bu HCl (SN 13,710)(10 h. at 74°), m. 188-9°, 82% (crude); dihexyl HCl (SN 12,711) (12 h. at 80°), m. 190-2°, 79% (crude). 4',7-Dichloro-6-methoxy deriv. of VI: di-Bu HCl (SN 14,883)(9 h. at 70°), m. 205-7°, 45%. 4',7-Dichloro-8-Me derivs. of VI: di-Et HCl (SN 14,070)(16 h. at 80°), m. 218-20°, 30%; di-Pr HCl (19 h. at 70°), m. 209-11°, 56%; di-Bu HCl (SN 13,815)(16 h. at 70°), m. 203-5°, 61%; di(iso-Bu) HCl (19 h. at 70°), m. 196-8°, 46%; di-Am HCl (40 h. at 80°), m. 196-7°, 73%; di(iso-Am) HCl (19 h. at 70°), m. 215-17°, 56%; dihexyl HCl (SN 13,720)(16 h. at 70°), m. 195-7°, 61%. 4',8-Di-Cl derivs. of VI: di-Et HCl (11 h. at 58°), m. 211-12° (decompn.), 45%; di-Bu HCl (SN 13,634)(7 h. at 95°), m. 184-6°, 53%; dihexyl HCl (SN 12,673) (12 h. at 95°), m. 168-9°, 70%; dioctyl HCl (SN 12,675)(10 h. 92°), m. 162-3°, 47%; didecyl HCl (SN 12,676)(12 h. at 94°), m. 168-9°, 58%. 6,8-Di-Cl derivs. of VI: di-Et (SN 13,571)(11 h. at 75°), m. 118-20°, 62% (crude) (HCl salt, m. 223-4°, 34%); di-Pr HCl (SN 13,632)(15 h. at 85°), m. 212-13°, 43%; di-Bu HCl (SN 12,209) (15 h. at 85°), m. 183-5°, 71%; di-Am HCl (SN 13,635) (15 h. at 85°), m. 201-2° (decompn.), 44%; dihexyl HCl (SN 12,674)(12 h. at 94°), m. 195-6°, 26%; didodecyl (18 h. at 80°), m. 107-9°, 56% (HCl salt, m. 206-7°, 35%); dioctyl HCl (SN 12,208)(13 h. at 83°), m. 192-3°, 47%. 7-Chloro-4'-fluoro derivs. of VI: di-Et HCl (16 h. at 80°), m. 176-80°, 31%; di-Bu HCl (16 h. at 80°), m. 189-91°, 44%; dihexyl HCl (16 h. at 80°), m. 178-80°, 29%. 4',6,8-Tri-Cl derivs. of VI: di-Me (16 h. at 78°), m. 167-8°, 33% (HCl salt, m. 231-2°, 33%); di-Et (SN 14,182)(22 h. at 48°), m. 122-3° (HCl salt, m. 221-3°, 33%); methylisopropyl HCl (SN 14,220) (17 h. at 45°), m. 208-9°, 31%; mono-Bu HCl (SN 14,817)(16 h. at 73°), m. 223-5° (decompn.), 33%; morpholinyl (SN 14,935)(14 h. at 75°), m. 182-3°, 63% (crude)(HCl salt, m. 218-19°, 31%); ethyl-(hydroxyethyl) HCl (SN 14,265)(17 h. at 73°), m. 196-7° (decompn.), 48%; di-Bu HCl (SN 14,062)(8 h. at 73°), m. 199-201° (decompn.), 48%; dihexyl HCl (SN 12,678)(19 h. at 73°), m. 182-4°, 54%;

L4 ANSWER 58 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
dioctyl HCl (SN 12,679)(14 h. at 70°), m. 181-3°, 50%. 3',4',6,8-Tetra-Cl derivs. of VI: di-Me (36 h. at 75°), m. 205-6°, 27%; di-Et (SN 14,912)(24 h. at 80°), m. 175-6° (HCl salt, m. 225-7°, 61%); di-Bu (SN 15,068) (19 h. at 90°), m. 128-9° (HCl salt, m. 209-10°, 73%). Most of the above compds. proved to be highly active against avian malaria and showed activities ranging from below 1 up to 32 times that of quinine. Pharmacol. data are given for 22 of the drugs which were not assigned SN nos.

IT **500345-76-6**, Cinchophen, 4'-chloro-8-phenyl-
(preparation of)

RN 500345-76-6 CAPLUS

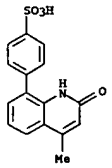
CN 4-Quinolinecarboxylic acid, 2-(4-chlorophenyl)-8-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 59 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1946:5231 CAPLUS
DOCUMENT NUMBER: 40:5231
ORIGINAL REFERENCE NO.: 40:877g-i,878a-i,879a-c
TITLE: Phenanthridine series. III. Influence of the acyl group in the Morgan-Walls reaction and the mechanisms of the reaction
AUTHOR(S): Ritchie, E.
CORPORATE SOURCE: Univ. of Sydney, Australia
SOURCE: Journal and Proceedings of the Royal Society of New South Wales (1945), 78, 147-58
CODEN: JPRSA5; ISSN: 0035-9173
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB In order to gain addnl. information on the effect of the acyl group on the cyclization of acyl derivs. of 2-aminobiphenyl (I) with POCl3 (II) the work begun by Walls (cf. C.A. 28, 2008.6) was continued. A solution of 15 g. I in 70 g. CH2(CO2Et)2 was refluxed 8 h. After steam distillation the oily residue was dissolved in Et2O, washed with acid and H2O, and dried. Removal of the Et2O yielded 20 g. Et N-(o-xenyl)malonamate as a thick light brown oil which, when heated with II, evolved HCl and turned to a tar. Reaction of 26 g. I with 11.5 g. MeO2CCH2CH2COCl in 600 cc. dry Et2O yielded 22 g. Me N-(o-xenyl)succinamate (III), colorless needles from petr. ether, m. 73°. A solution of 8.4 g. I in 25 cc. dry C6H6 was treated with 5 g. (CH2CO)2O in 75 cc. hot dry C6H6 and the mixture boiled 1 h. The precipitate was recrystd. from dilute EtOH to give 12.4 g. N-(o-xenyl)succinamic acid (IV), colorless needles, m. 126°. Both III and IV resinified when boiled with II. Boiling for 1 h. a mixture of 25 g. CH2(CH2CO)2O, 37 g. I, and 600 cc. dry C6H6 yielded 100% N-(o-xenyl)glutaramic acid (V), colorless needles from AcOEt, m. 137°, which yielded a tar upon boiling with II. Melting and refluxing for 10 min 25 g. V and recrystg. the product from EtOH with charcoal yielded 15 g. N-(o-xenyl)glutarimide (VI), plates, m. 158°. VI (13 g.) in 100 cc. dry MeOH containing 0.8 g. H2SO4 was refluxed for 3 h. An excess of Na2CO3 was added and the mixture evaporated, diluted with H2O, and extracted with Et2O, which was washed with dilute Na2CO3, H2O, and then dried, and evaporated The residue (11 g.) was recrystd. from Me2CO-petr. ether to give Me N-(o-xenyl)glutaramate (VII), colorless needles, m. 85°. VII (6 g.) was refluxed 1 h. with 12 cc. II and the excess II distilled The residue was dissolved in EtOH, treated with dilute NH4OH, and extracted with Et2O. Purification and evaporation of the ether yielded 3.6 g. Me 6-phenanthridinebutyrate (VIII), colorless rods, m. 71°; picrate, yellow plates, m. 198° (decomposition). Solns. of VIII in AcOH or dilute H2SO4, showed a blue fluorescence. Hydrolysis of VIII with alc. KOH gave 6-phenanthridinebutyric acid (IX), colorless needles from EtOH, m. 158°, whose AcOH, dilute H2SO4, and H2O solns. showed a blue fluorescence, but EtOH, C6H6, and dilute alkaline solns. did not. Boiling of 25 g. Et N-(o-xenyl)adipamate (from 28.2 g. I and 16 g. EtO2CCH2CH2CH2COCl) with 35 cc. II in the above described manner yielded 16 g. Et 6-phenanthridinevalerate (X), colorless needles from petr. ether, m. 54°; picrate, yellow needles from EtOH, m. 131°. Hydrolysis of X gave 6-phenanthridinevaleric acid, colorless needles from aq. MeOH, m. 108-15°, whose solns., along with those of X, show the same fluorescence phenomena as those of IX. The N,N'-di-o-xenylamides of the dicarboxylic acids were then studied. Reaction of 33.8 g. I and 9.2 g. (CH2CH2COCl)2 in 1100 cc. dry Et2O and extn. of the ppt. with C6H6 yielded 21 g. N,N'-di-o-xenyladipamide (XI), colorless needles from EtOH, m. 171°. Boiling of 19 g. XI with 50 cc. II in the regular manner yielded 0.5 g. 1,4-di(6-phenanthridyl)butane (XII), colorless hexagons from C6H6, m. 214°, whose AcOH and hot H2SO4 solns. showed blue fluorescence and whose C6H6 soln. gave an immediate ppt. with alc. picric acid, and 9.0 g. of a compd. with the same anal. as XII as colorless needles, m. 167°, and whose solns. in AcOH and H2SO4 were nonfluorescent and which would not form a picrate or react with Me2SO4 in boiling PhMe. Reaction of 39 g. I with 9.8 g. CH2(CH2COCl)2 in 700 cc. dry C6H6 gave 90% N,N'-di-o-xenylglutaramide, colorless needles from EtOH, m. 162°, which yielded only a red gum when boiled with II. The next series were of the ω-phenylalkyl type. PhCH2COCl (31 g.) and 34 g. I reacted in 50 cc. dry pyridine to yield 55 g. 2-(phenylacetamido)biphenyl (XIII), waxlike solid from petr. ether, m. 37°. Similarly 11 g. PhCH2CH2COCl and 22 g. I in 600 cc. dry Et2O yielded 15 g. 2-(β-phenylpropionamido)biphenyl (XIV), colorless needles from petr. ether, m. 81°, and 10 g. PhOCH2COCl and 10 g. I in 20 cc. dry pyridine yielded 15 g. 2-(phenoxyacetamido)biphenyl (XV), colorless needles from MeOH, m. 91°. Cyclization of XIII, XIV, and XV with II yielded 20% 6-benzylphenanthridine, colorless needles from MeOH, b18 270-5°, m. 112° (picrate, yellow needles, sintered 190° (decompn.)); 70% 6-phenethylphenanthridine, colorless tablets from MeOH, m. 93° (picrate, yellow plates, m. 170-98° (decompn.)); and 65% 6-(phenoxymethyl)phenanthridine, colorless needles from EtOH-C6H6, m. 142° (picrate, yellow needles, decomp. 170°), resp. In order to det. whether the principle of vinylogy held in this reaction, the following unsatd. amides were prepd.: 2-crotonamidobiphenyl (XVI), from 1 mol. MeCH:CHCOCl and 2 mols. I in 90% yield, colorless needles, m. 96° (Me2CO-petr. ether); 2-cinnamamidobiphenyl (XVII) (24 g. from 15 g. PhCH:CHCOCl and 15 g. I), fine needles from EtOH, m. 141°; and N-(o-xenyl)maleamic acid (XVIII) (from 8.4 g. I and 4.9 g. maleic anhydride in dry C6H6 in quant. yield), colorless needles, m. 167°. Reaction of II with XVI and XVIII resulted in tars, but reaction with XVII yielded 12% 6-styrylphenanthridine, colorless needles, m. 133°; picrate, yellow needles, decomp. 237°. I (10 g.) was melted and added to 40 g. MeCOCH2CO2Et at 160°. After 10 min. the EtOH evolution ceased, and the excess ester was removed. The residue was recrystd. from petr. ether contg. C6H6 to give 9 g. 2-acetoacetamidobiphenyl (XIX), colorless needles, m. 84°. II converted XIX to a tar, but H2SO4 cyclized XIX by the Knorr reaction to 2-hydroxy-4-methyl-8-(4-sulfophenyl)quinoline. Attempts to cyclize XIX without concomitant sulfonation were unsuccessful. The possibility of retardation of the reaction by a bulky acyl group was studied. Reaction of 11.3 g. I with 13.7 g. 1-C10H7COCl yielded 2-(1-naphthamido)biphenyl, colorless needles from EtOH, m. 142°, which was cyclized by II to 6-(1-naphthyl)phenanthridine, colorless plates from MeOH, m. 125°; picrate, yellow ferns from EtOH, m. 245° (decompn.); methiodide, yellow rods and orange prisms from EtOH (the yellow rods disappeared on standing or rubbing), m. 211° (decompn.). I (16.7 g.) and 9 g. mesitoyl chloride in dry ether for 2 wk yielded 4 g. 2-mesitamidobiphenyl, colorless needles from petr. ether contg. a little Me2CO, m. 125°, which was cyclized by II to 6-(2,4,6-trimethylphenyl)phenanthridine, colorless rhombs from MeOH, m. 157°; picrate, yellow plates, m. 231° (decompn.); methiodide, yellow prisms from Me2CO-AcOEt, m. 202° (decompn.). The last 2 cyclizations indicate that the size of the acyl group is not an important factor in the Morgan-Walls reaction. A new mechanism for the reaction is proposed and discussed.

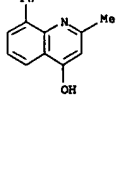
IT **855176-63-5**, Carbostyril, 4-methyl-8-(p-sulfophenyl)- (preparation of)
RN 855176-63-5 CAPLUS
CN Carbostyril, 4-methyl-8-(p-sulfophenyl)- (4CI) (CA INDEX NAME)



L4 ANSWER 60 OF 60 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1939:4009 CAPLUS
DOCUMENT NUMBER: 33:4009
ORIGINAL REFERENCE NO.: 33:611e-i,612a
TITLE: Derivatives of 4-hydroxyquinoline
AUTHOR(S): Hughes, Gordon K.; Lions, Francis
SOURCE: Journal and Proceedings of the Royal Society of New South Wales (1938), 71, 458-61
CODEN: JPRSA5; ISSN: 0035-9173
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB A series of 4-hydroxyquinaldines (I) were prepared from arylamines and AcCH2CO2Et by the method of Conrad and Limpach (Ber. 20, 944,948(1887); C. A. 25, 3999) which was also applied to the preparation of 1,2,3,4-tetrahydroacridones (II) from arylamines and Et cyclohexanone-2-carboxylate (III). The general procedure involved treating equimol. parts of the amine and β-keto ester in presence of a drop of HCl (1:1), warming on a steam bath in some cases to start the reaction, allowing to stand overnight, cyclizing the intermediate arylamino ester by first warming to 100° and then adding to paraffin preheated to 280°, removing the paraffin from the product with petr. ether and finally crystallizing from a suitable solvent, usually much alc. Intermediate arylaminocyclohexene-2-carboxylate esters were obtained from III and the following amines with their resp. m. ps.: o-MeC6H4NH2, 84°, p-BrC6H4NH2, 78°; p-PhC6H4NH2, 107°; o-MeOC6H4NH2, 80°; p-MeOC6H4NH2, 71°; p-EtOC6H4NH2, 87°; p-NH2C6H4CO2H, 166°; 3-aminoacenaphthene, 122° (EtOH); except in the last case, the intermediates were crystallized from MeOH. Substituted derivs. of II were obtained from III and the following amines with their resp. m. ps.: o-MeC6H4NH2, > 300°; p-MeC6H4NH2, > 300°; o-MeOC6H4NH2, 270°; p-MeOC6H4NH2, 284°; o-EtOC6H4NH2, 237°; p-EtOC6H4NH2, > 300°; α-C10H7NH2, > 300°; β-C10H7NH2, > 300°; o-PhC6H4NH2, 200°; p-PhC6H4NH2, > 300°; p-NO2C6H4NH2, > 300°; p-BrC6H4NH2, > 300°; o-ClC6H4NH2, 260°; p-ClC6H4NH2, > 300°; 2,4-Cl2C6H3NH2, 296°; p-NH2C6H4CO2H, > 300°; p-NH2C6H4CO2Et, > 300°; 3-aminoacenaphthene, > 300°; p-AcNHC6H4NH2, > 300°; p-xylidine, 255°. Substituted derivs. of I were obtained, from AcCH2CO2Et and the following arylamines, given with their resp. m. ps.: p-BrC6H4NH2, > 300°; m-BrC6H4NH2, > 300°; o-PhC6H4NH2, 280°; p-AcNHC6H4NH2, > 300°; o-ClC6H4NH2, 220°; 2,4-Cl2C6H3NH2, 290°.

IT **500584-72-5**, 4-Quinolinol, 2-methyl-8-phenyl- (preparation of)
RN 500584-72-5 CAPLUS
CN 4-Quinolinol, 2-methyl-8-phenyl- (9CI) (CA INDEX NAME)



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